```
ring nodes:
1 2 3 4 5 6 64 65 66
chain bonds:
1-20 2-73 3-72 4-7 6-8 10-11 14-16 20-21 21-22 22-47 23-25 23-28 24-26 24-29
27-30 31-32 33-34 47-48 48-50 50-75 50-76 59-60 60-61 62-66
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 64-65 64-66 65-66
exact/norm bonds:
1-2 1-6 1-20 2-3 2-73 3-4 3-72 4-5 4-7 5-6 6-8 10-11 14-16 20-21 21-22 22-47
23-25 23-28 24-26 24-29 27-30 47-48 48-50 50-75 50-76 59-60 62-66
exact bonds:
31-32 33-34 60-61 64-65 64-66 65-66
isolated ring systems:
containing 1: 64:

G1:C,O,S
G2:O,N
G3:[*1-*2],[*3-*4],[*5-*6],[*7-*8]
G4:O,N,[*9-*10],[*11-*12],[*13-*14],[*15-*16],[*17-*18]
G5:C,Si
G6:CH2,O,N
G7:H,CH3
```

G8:Cy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS 14:CLASS 16:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 47:CLASS 48:CLASS 50:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 64:Atom 65:Atom 66:Atom 72:CLASS 73:CLASS 75:CLASS 76:CLASS 66:CLASS 66

22:

Saturation : Saturated Number of Carbon Atoms : less than 7

48:

Saturation : Saturated Number of Carbon Atoms : less than 7

Element Count :

Node 22: Limited C,C1-4

Node 48: Limited C,C1-4

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 2016 OR 2039 OR 2040 OR 2045 OR 2047

L1 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10585283.str

chain nodes :

```
7 8 10 11 14 16 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34
47 48 50
ring nodes :
1 2 3 4 5 6
chain bonds :
1-20 \quad 4-7 \quad 6-8 \quad 10-11 \quad 14-16 \quad 20-21 \quad 21-22 \quad 22-47 \quad 23-25 \quad 23-28 \quad 24-26 \quad 24-29
27-30 31-32 33-34 47-48 48-50
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 \quad 1-6 \quad 1-20 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 6-8 \quad 10-11 \quad 14-16 \quad 20-21 \quad 21-22 \quad 22-47
23-25 23-28 24-26 24-29 27-30 47-48 48-50
exact bonds :
31-32 33-34
isolated ring systems :
containing 1 :
G1:C,O,S
G2:0,N
G3:[*1-*2],[*3-*4]
G4:0,N,[*5-*6],[*7-*8],[*9-*10],[*11-*12],[*13-*14]
G5:C,Si
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS
11:CLASS 14:CLASS 16:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS
33:CLASS 34:CLASS 47:CLASS 48:CLASS 50:CLASS
Generic attributes :
22:
                      : Saturated
Number of Carbon Atoms : less than 7
48:
                        : Saturated
Number of Carbon Atoms : less than 7
Element Count:
Node 22: Limited
   C,C1-4
Node 48: Limited
   C,C1-4
```

L2 STRUCTURE UPLOADED

=> que L2 NOT L1

L3 QUE L2 NOT L1

=> d 13

L3 HAS NO ANSWERS

SCR 2016 OR 2039 OR 2040 OR 2045 OR 2047 L1

L2 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation. QUE L2 NOT L1

=> s 13 sss sam

SAMPLE SEARCH INITIATED 10:29:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 26194 TO ITERATE

7.6% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

8 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 514193 TO 533567 PROJECTED ANSWERS: 1481 TO 2709

8 SEA SSS SAM L2 NOT L1 L4

=> =>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 2005

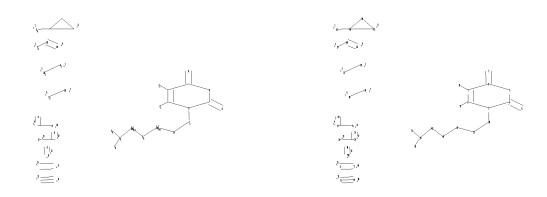
L5 SCREEN CREATED

=> screen 2016 OR 2039 OR 2040 OR 2045 OR 2047

L6 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10585283 (a).str



chain nodes :
7 8 10 11 14 16 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34
47 48 50 59 60 61 62 72 73 75 76
ring nodes :
1 2 3 4 5 6 64 65 66

```
chain bonds :
1-20 \quad 2-73 \quad 3-72 \quad 4-7 \quad 6-8 \quad 10-11 \quad 14-16 \quad 20-21 \quad 21-22 \quad 22-47 \quad 23-25 \quad 23-28 \quad 24-26 \quad 24-
24-29 \quad 27-30 \quad 31-32 \quad 33-34 \quad 47-48 \quad 48-50 \quad 50-75 \quad 50-76 \quad 59-60 \quad 60-61 \quad 62-66
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 64-65 64-66 65-66
exact/norm bonds :
1-2 \quad 1-6 \quad 1-20 \quad 2-3 \quad 2-73 \quad 3-4 \quad 3-72 \quad 4-5 \quad 4-7 \quad 5-6 \quad 6-8 \quad 10-11 \quad 14-16 \quad 20-21
21-22 22-47 23-25 23-28 24-26 24-29 27-30 47-48 48-50 50-75 50-76 59-60
62-66
exact bonds :
31-32 33-34 60-61 64-65 64-66 65-66
isolated ring systems :
containing 1 : 64 :
G1:C,O,S
G2:0, N
G3:[*1-*2],[*3-*4],[*5-*6],[*7-*8]
G4:O,N,[*9-*10],[*11-*12],[*13-*14],[*15-*16],[*17-*18]
G5:C,Si
G6:CH2,O,N
G7:H, CH3
G8:Cy, Ak
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS
11:CLASS 14:CLASS 16:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS
33:CLASS 34:CLASS 47:CLASS 48:CLASS 50:CLASS 59:CLASS 60:CLASS 61:CLASS
62:CLASS 64:Atom 65:Atom 66:Atom 72:CLASS 73:CLASS 75:CLASS 76:CLASS
Generic attributes :
                                                                               : Saturated
Number of Carbon Atoms : less than 7
48:
                                                                               : Saturated
Saturation
Number of Carbon Atoms : less than 7
Element Count :
Node 22: Limited
            C,C1-4
Node 48: Limited
            C,C1-4
```

L7 STRUCTURE UPLOADED

=> que L7 AND L5 NOT L6

L8 QUE L7 AND L5 NOT L6

=> d 18

L8 HAS NO ANSWERS

L5 SCR 2005

L6 SCR 2016 OR 2039 OR 2040 OR 2045 OR 2047

L7 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

1 ANSWERS

Structure attributes must be viewed using STN Express query preparation. L8 $$\tt QUE \tt L7 \ AND \ L5 \ NOT \ L6$$

=> s 18 sss sam
SAMPLE SEARCH INITIATED 10:38:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 26194 TO ITERATE

7.6% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 514193 TO 533567

PROJECTED TIERATIONS: 514193 TO 533567
PROJECTED ANSWERS: 44 TO 478

L9 1 SEA SSS SAM L7 AND L5 NOT L6

=> => s 18 sss ful FULL SEARCH INITIATED 10:39:10 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 525766 TO ITERATE

100.0% PROCESSED 525766 ITERATIONS 343 ANSWERS SEARCH TIME: 00.00.07

L10 343 SEA SSS FUL L7 AND L5 NOT L6

=> => s 110 L11 121 L10

=> d 111 1-50 bib, ab, hitstr

L11 ANSWER 1 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:762006 CAPLUS

DN 151:94705

TI Preparations of oligonucleotide analogs with promoted resistance to nucleases and knock-down effects

IN Kitade, Yukio; Ueno, Yoshihito

PA Gifu University, Japan

SO Jpn. Kokai Tokkyo Koho, 29pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE _____ JP 2007-312713 JP 2009136157 20090625 20071203 РΤ Α PRAI JP 2007-312713 20071203 MARPAT 151:94705 OS

Oligonucleotides containing nucleoside analogs I (R1 = purine, pyrimidine, or their analogs containing F or C1; R2 = lipophilic moiety such as OCOR21, O(CH2)xR22, OR23, NHR24 (x = 1-3); a, b, c, d = 1-10; R21,R24 = aryl or alkyl with(out) substitution, R22 = aryl with(out) substitution) which exhibit enhanced resistance to nucleases and knock-down effects have been provided. The oligonucleotides containing the base analogs can hybridize to form duplex strands. These oligonucleotides or their salts are applied to the gene targeted (gene knock-down, RNA interference) for therapeutic purposes and administered to the patients with diseases caused by abnormal over transcription of the target genes for suppression. The oligonucleotides containing the base analogs or their salts are also applied as hybridization probes to detect the gene associated with diseases. The probes made of the oligonucleotides containing the base analogs are provided as the part of DNA chip in the diagnostic test kit.

IT 1162644-97-4DP, conjugates with CPG resin 1162644-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepns. of oligonucleotide analogs with promoted resistance to nucleases and knock-down effects) $\,$

RN 1162644-97-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(benzoyloxy)-2-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2-(hydroxymethyl)propyl]-5-methyl-(CA INDEX NAME)

RN 1162644-98-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

- L11 ANSWER 2 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:102901 CAPLUS
- DN 150:230451
- TI N2' \rightarrow P3' phosphoramidate glycerol nucleic acid as a potential alternative genetic system
- AU Chen, Jesse J.; Cai, Xin; Szostak, Jack W.
- CS Howard Hughes Medical Institute, Department of Molecular Biology and Center for Computational and Integrative Biology, Massachusetts General Hospital, Boston, MA, 02114, USA
- SO Journal of the American Chemical Society (2009), 131(6), 2119-2121 CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English
- AB Glycerol nucleic acid (GNA) is an interesting base-pairing system with an acyclic, three-carbon backbone. In the present study, GNA analogs with N2' \rightarrow P3' phosphoramidate linkages (npGNA) have been synthesized and their base-pairing properties examined Thermal denaturation and CD studies show that npGNA can form stable duplexes with itself and with GNA. Furthermore, we show that npGNA can be assembled by template-directed ligation of 3'-imidazole-activated-2'-amino GNA dinucleotides. These results suggest that npGNA is a potential candidate for a self-replicating system based upon phosphoramidate linkages.
- IT 168332-12-5
 - RL: RCT (Reactant); RACT (Reactant or reagent)
 (n2' → P3' phosphoramidate glycerol nucleic acid as potential
 alternative genetic system)
- RN 168332-12-5 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

- IT 1112280-22-4P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (n2' \rightarrow P3' phosphoramidate glycerol nucleic acid as potential alternative genetic system)
- RN 1112280-22-4 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-amino-3-[bis(4-methoxyphenyl)phenylmethoxy]propyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:1383646 CAPLUS

DN 149:575976

TI Synthesis of nucleosides

AU Vorbrueggen, Helmut; Ruh-Pohlenz, Carmen

CS Research Laboratories of Schering AG, Berlin, Germany

SO Organic Reactions (Hoboken, NJ, United States) (2000), 55, No pp. given CODEN: ORHNBA

URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME

PB John Wiley & Sons, Inc.

DT Journal; General Review; (online computer file)

LA English

OS CASREACT 149:575976

AB A review of the article Synthesis of nucleosides.

RN 136083-18-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[[1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2-propen-1-yl]oxy]methyl]-5-methyl-(CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{CH}_2\text{--}\text{O}\text{--}\text{Si}\text{--}\text{Bu}\text{--}\text{t} \\ | \\ | \\ \text{CH}_2\text{--}\text{O}\text{--}\text{CH}\text{--}\text{CH} \\ | \\ | \\ \text{CH}_2 \\ \end{array}$$

RN 141619-32-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[1-cyclopropyl-2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

RN 141619-35-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[1-cyclopropyl-2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

RN 146061-97-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-[2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]cyclopropyl]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

- L11 ANSWER 4 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:1134021 CAPLUS
- DN 149:548245
- TI Application of molecular topology to the prediction of the antimalarial activity of a group of uracil-based acyclic and deoxyuridine compounds
- AU Garcia-Domenech, Ramon; Lopez-Pena, Wanda; Sanchez-Perdomo, Yessenia; Sanders, Jose R.; Sierra-Araujo, Mercedes M.; Zapata, Claudy; Galvez, Jorge
- CS Department Quimica Fisica, Facultad de Farmacia, Universitat de Valencia, Valencia, 46100, Spain
- SO International Journal of Pharmaceutics (2008), 363(1-2), 78-84 CODEN: IJPHDE; ISSN: 0378-5173
- PB Elsevier B.V.
- DT Journal
- LA English
- AB A topol.-math. model has been arranged to search for new derivs. of deoxyuridine and related compds. acting as antimalarials against Plasmodium falciparum. By using linear discriminant and multilinear regression anal. a model with two functions was capable to predict adequately the IC50 for each compound of the training and test series. After carrying out a virtual screening based upon such a model, new structures potentially active against P. falciparum are proposed.
- ΙT 121749-94-8 860266-80-4 860266-81-5 860266-83-7 860266-84-8 860266-85-9 860266-87-1 860266-88-2 860266-89-3 860266-90-6 860266-91-7 860266-92-8 860266-93-9 860266-94-0 860266-96-2 860267-03-4 860267-11-4 904907-21-7 904907-23-9 904907-27-3 904907-28-4

1027312-28-2

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(application of mol. topol. to the prediction of antimalarial activity of a group of uracil-based acyclic and deoxyuridine compds.)

- RN 121749-94-8 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

O
$$\stackrel{\text{H}}{\underset{\text{N}}{\text{N}}}$$
 O $\stackrel{\text{Me}}{\underset{\text{CH}_2-\text{O-CH}_2-\text{CH}_2-\text{O-Si-Bu-t}}{\text{Bu-t}}}$

- RN 860266-80-4 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(triphenylmethoxy)propyl]- (CA INDEX NAME)

RN 860266-81-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-(triphenylmethoxy)hexyl]- (CA INDEX NAME)

RN 860266-83-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

RN 860266-84-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[(triphenylsily1)oxy]butyl]- (CA INDEX NAME)

RN 860266-85-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-(triphenylmethoxy)ethoxy]methyl]- (CA INDEX NAME)

RN 860266-87-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-(triphenylmethoxy)pentyl]- (CA INDEX NAME)

RN 860266-88-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(triphenylmethyl)amino]pentyl]- (CA INDEX NAME)

RN 860266-89-3 CAPLUS

CN 2,4(1H,3H) -Pyrimidinedione, 1-[4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

RN 860266-90-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[(triphenylsily1)oxy]hexyl]- (CA INDEX NAME)

RN 860266-91-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(triphenylsily1)oxy]propy1]- (CA INDEX NAME)

RN 860266-92-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(triphenylsily1)oxy]pentyl]- (CA INDEX NAME)

RN 860266-93-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(triphenylmethyl)amino]propyl]- (CA INDEX NAME)

RN 860266-94-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[(triphenylmethyl)amino]hexyl]- (CA INDEX NAME)

RN 860266-96-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(hydroxymethyl)-4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

RN 860267-03-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{CH}_2)_3 - \text{O} - \text{Si-Bu-t} \\ & \text{Me} & \text{Me} \end{array}$$

RN 860267-11-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(acetyloxy)methyl]-4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

RN 904907-21-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[[(1,1-dimethylethyl)diphenylsilyl]oxy]hexyl]- (CA INDEX NAME)

RN 904907-23-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]-(CA INDEX NAME)

Double bond geometry as shown.

RN 904907-27-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(hydroxymethyl)-4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

RN 904907-28-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-hydroxy-2-[(triphenylmethoxy)methyl]butyl]- (CA INDEX NAME)

RN 1027312-28-2 CAPLUS

CN Carbamic acid, N-[4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[(triphenylmethoxy)methyl]butyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph}_3\text{C}-\text{O}-\text{CH}_2 & \text{O} \\ & & & \parallel \\ & \text{CH}_2-\text{CH}_2-\text{CH}-\text{CH}_2-\text{NH}-\text{C}-\text{OMe} \\ & & & \\ & & & \\ \end{array}$$

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 5 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:1087794 CAPLUS
- DN 149:524541
- TI Synthesis, structural studies and biological properties of new TBA analogues containing an acyclic nucleotide
- AU Coppola, Teresa; Varra, Michela; Oliviero, Giorgia; Galeone, Aldo; D'Isa, Giuliana; Mayol, Luciano; Morelli, Elena; Bucci, Maria-Rosaria; Vellecco, Valentina; Cirino, Giuseppe; Borbone, Nicola
- CS Dipartimento di Chimica delle Sostanze Naturali, Universita degli Studi di Napoli "Federico II", Naples, 80131, Laly
- SO Bioorganic & Medicinal Chemistry (2008), 16(17), 8244-8253 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 149:524541
- AΒ A new modified acyclic nucleoside, namely N 1-(3-hydroxy-2-hydroxymethyl-2-methylpropyl)-thymidine, was synthesized and transformed into a building block useful for oligonucleotide (ON) automated synthesis. A series of modified thrombin binding aptamers (TBAs) in which the new acyclic nucleoside replaces, one at the time, the thymidine residues were then synthesized and characterized by UV, CD, MS, and 1H NMR. The biol. activity of the resulting TBAs was tested by Prothrombin Time assay (PT assay) and by purified fibrinogen clotting assay. From a structural point of view, nearly all the new TBA analogs show a similar behavior as the unmodified counterpart, being able to fold into a bimol. or monomol. quadruplex structure depending on the nature of monovalent cations (sodium or potassium) coordinated in the quadruplex core. From the comparison of structural and biol. data, some important structure-activity relationships emerged, particularly when the modification involved the TT loops. In agreement with previous studies the authors found that the folding ability of TBA analogs is more affected by modifications involving positions 4 and 13, rather than positions 3 and 12. On the other hand, the highest antithrombin activities were detected for aptamers containing the modification at T13 or T12 positions, thus indicating that the effects produced by the introduction of the acyclic nucleoside on the biol. activity are not tightly connected with structure stabilities. It is noteworthy that the modification at T7 produces an ON being more stable and active than the natural TBA.
- IT 1075753-83-1P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (synthesis, structural studies and biol. properties of new TBA analogs containing an acyclic nucleotide)
- RN 1075753-83-1 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-(hydroxymethyl)-2-methylpropyl]-5-methyl-(CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 6 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN
     2007:1424791 CAPLUS
DN
    148:262838
     Synthetic nucleic acid secondary structures containing the four
TΙ
     stereoisomers of 1,4-bis(thymine-1-yl)butane-2,3-diol
ΑU
     Christensen, Mikkel S.; Bond, Andrew Q.; Nielsen, Poul
CS
     Nucleic Acid Center, University of Southern Denmark, Odense M, 5230, Den.
SO
     Organic & Biomolecular Chemistry (2008), 6(1), 81-91
     CODEN: OBCRAK; ISSN: 1477-0520
РΒ
     Royal Society of Chemistry
DT
    Journal
LA
    English
OS
    CASREACT 148:262838
AΒ
     The four stereoisomers of the double-headed acyclic nucleoside
     1,4-bis(thymine-1-yl)butane-2,3-diol were incorporated in the central
     position of four 13-mer oligonucleotides. The phosphoramidite building
     blocks were synthesized in four or six steps from either D- or
     L-2,3-O-isopropylidene-threitol. Two epimeric and fully deprotected
     double-headed nucleosides were analyzed by X-ray crystallog. The
     incorporation into oligonucleotides was hampered by steric hindrance and
     formation of a cyclic phosphate. The use of pyridinium chloride as the
     activator and a kinetic anal. based on 31P NMR of the coupling and
     detritylation processes led to improved yields of the oligonucleotides.
     In comparison with the (S)-GNA monomer, one of the four stereoisomers was
     found to show a similar destabilization of a DNA duplex, indicating that
     the addnl. base can be introduced without a thermal penalty. Another
     stereoisomer was found to induce a thermal stabilization of a DNA:RNA
     three-way junction. Thus, the stereochem. of this acyclic double-headed
     nucleoside motif is important, indicating potential for the design of
     artificial nucleic acid secondary structures.
                      1006048-46-9P
                                         1006048-49-2P
ΤТ
     1006048-45-8P
     1006048-50-5P
                       1006048-51-6P
                                         1006048-52-7P
     1006048-53-8P
                      1006048-54-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (synthetic nucleic acid secondary structures containing four stereoisomers
        of 1,4-bis(thymine-1-yl)butane-2,3-diol)
RN
     1006048-45-8 CAPLUS
CN
     2,4(1H,3H) -Pyrimidinedione, 1,1'-[(2R,3R)-2-[bis(4-
     methoxyphenyl)phenylmethoxy]-3-hydroxy-1,4-butanediyl]bis[3-benzoyl-5-
```

Absolute stereochemistry.

methyl- (CA INDEX NAME)

PAGE 2-A

Ие

RN 1006048-46-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[(2S,3S)-2-[bis(4-methoxyphenyl)phenylmethoxy]-3-hydroxy-1,4-butanediyl]bis[3-benzoyl-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

| Me

RN 1006048-49-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[(2R,3R)-2-[bis(4-methoxyphenyl)phenylmethoxy]-3-hydroxy-1,4-butanediyl]bis[5-methyl-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 2-A \mid Me

RN 1006048-50-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[(2S,3S)-2-[bis(4-methoxyphenyl)phenylmethoxy]-3-hydroxy-1,4-butanediyl]bis[5-methyl-(CAINDEX NAME)

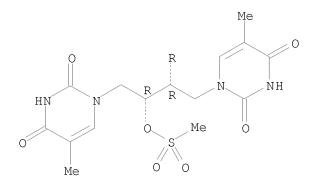
Absolute stereochemistry. Rotation (-).

PAGE 2-A \mid Me

RN 1006048-51-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[(2R,3R)-2-[bis(4methoxyphenyl)phenylmethoxy]-3-[(methylsulfonyl)oxy]-1,4-butanediyl]bis[5methyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



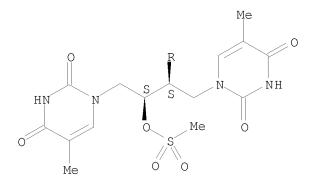
PAGE 2-A

RN

1006048-52-7 CAPLUS
2,4(1H,3H)-Pyrimidinedione, 1,1'-[(2S,3S)-2-[bis(4-methoxyphenyl)phenylmethoxy]-3-[(methylsulfonyl)oxy]-1,4-butanediyl]bis[5-methyl- (CA INDEX NAME) CN

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

RN 1006048-53-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[(2R,3S)-2-[bis(4-methoxyphenyl)phenylmethoxy]-3-hydroxy-1,4-butanediyl]bis[5-methyl-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

PAGE 2-A

| Me RN 1006048-54-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[(2S,3R)-2-[bis(4-methoxyphenyl)phenylmethoxy]-3-hydroxy-1,4-butanediyl]bis[5-methyl-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

PAGE 2-A

| Me

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 7 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:1393642 CAPLUS
- DN 149:448661
- TI Some Novel Aminopropyl Nucleoside Phosphonates
- AU Zhou, Ding; Lagoja, Irene M.; Van Aerschot, Arthur
- CS Laboratory of Medicinal Chemistry, Rega, Institute, Louvain, Belg.
- SO Nucleosides, Nucleotides & Nucleic Acids (2007), 26(6-7), 563-566 CODEN: NNNAFY; ISSN: 1525-7770
- PB Taylor & Francis, Inc.
- DT Journal
- LA English
- AB Aminopropyl nucleoside phosphonates have an amino function within either the acyclic chain or as substituent of HPMPC (Cidofovir) were prepared Both purine and pyrimidine nucleoside analogs have been synthesized. In contrast to HPMPC, only a weak antiherpes virus activity could be demonstrated.
- IT 918869-00-8P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of aminopropyl nucleoside phosphonates as antiherpes agents)
- RN 918869-00-8 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-amino-3-[(4-methoxyphenyl)diphenylmethoxy]propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{NH}_2 \\ \hline & \text{C-O-CH}_2\text{-CH-CH}_2 \\ \hline & \text{NH} \end{array}$$

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:1374612 CAPLUS

DN 148:144992

TI Towards new MraY inhibitors: a serine template for uracil and 5-amino-5-deoxyribosyl scaffolding

AU Le Corre, Laurent; Gravier-Pelletier, Christine; Le Merrer, Yves

CS Laboratoire de Chimie er Biochimie Pharmacologiques et Toxicologiques, Universite Paris Descartes, CNRS UMR, Paris Sedex 06, Fr.

SO European Journal of Organic Chemistry (2007), (32), 5386-5394 CODEN: EJOCFK; ISSN: 1434-193X

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 148:144992

AB The bacterial translocase MraY is a good target for the development of new antibiotics as it is ubiquitous and essential for bacterial growth. The goal of this work was the synthesis of simplified analogs of naturally occurring inhibitors of this enzyme to investigate the essential character of the uridine moiety of these inhibitors with regards to biol. activity. Thus, the structure of the targeted enantiomerically pure N-(uracilylpentyl)- β -D-O-(5-amino-5-deoxyribosyl)-L-serine retains uracil and 5-amino-5-deoxyribose parts linked by a serinyl template. The synthetic strategy towards this compound relies on sequential O-glycosylation and N-alkylation by reductive amination of a serine derivative IT 1001671-07-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of (uracilylpentyl) (aminodeoxyribosyl) serine as a potential inhibitor of translocase MraY for development of antibiotics)

RN 1001671-07-3 CAPLUS

CN L-Serine, O-(5-amino-5-deoxy- β -D-ribofuranosyl)-N-[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)pentyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

IT 1001671-12-0P

RL: BYP (Byproduct); PREP (Preparation)

(preparation of (uracilylpentyl) (aminodeoxyribosyl) serine as a potential inhibitor of translocase MraY for development of antibiotics)

RN 1001671-12-0 CAPLUS

CN Serine, O-(5-amino-5-deoxy- β -D-ribofuranosyl)-N-[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)pentyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 1001671-11-9P 1001671-14-2P 1001671-15-3P 1001671-16-4P 1001671-18-6P 1001671-23-3P 1001671-24-4P 1001671-25-5P 1001671-26-6P 1001671-27-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (uracilylpentyl) (aminodeoxyribosyl) serine as a potential inhibitor of translocase MraY for development of antibiotics)

RN 1001671-11-9 CAPLUS

CN L-Serine, O-[5-amino-5-deoxy-2,3-0-(1-ethylpropylidene)- β -D-ribofuranosyl]-N-[5-[3,4-dihydro-3-(hydroxymethyl)-2,4-dioxo-1(2H)-pyrimidinyl]pentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 1001671-14-2 CAPLUS

CN L-Serine, N-[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)pentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 1001671-15-3 CAPLUS

CN L-Serine, N-[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)pentyl]- (CA

INDEX NAME)

Absolute stereochemistry.

RN 1001671-16-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[(1,1-dimethylethyl)diphenylsilyl]oxy]pentyl]- (CA INDEX NAME)

RN 1001671-18-6 CAPLUS

CN L-Serine, N-[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)pentyl]-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 1001671-23-3 CAPLUS

CN L-Serine, O-[5-amino-5-deoxy-2,3-O-(1-ethylpropylidene)- β -D-ribofuranosyl]-N-[5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)pentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

$$\begin{array}{c|c} & & & \\ & & & \\ Et & & & \\ \hline & & & \\ R & & \\ \hline & & \\ R & & \\ \hline & & \\ R & \\ \hline & & \\ R & \\ \hline & & \\ & & \\ \hline & & \\ & & \\ & & \\ \hline & & \\ \hline$$

RN 1001671-24-4 CAPLUS

CN L-Serine, N-[5-[3,4-dihydro-2,4-dioxo-3-[(phenylmethoxy)methyl]-1(2H)-pyrimidinyl]pentyl]-O-(phenylmethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 1001671-25-5 CAPLUS

CN L-Serine, N-[5-[3,4-dihydro-2,4-dioxo-3-[(phenylmethoxy)methyl]-1(2H)-pyrimidinyl]pentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 1001671-26-6 CAPLUS

CN L-Serine, N-[5-[3,4-dihydro-2,4-dioxo-3-[(phenylmethoxy)methyl]-1(2H)-pyrimidinyl]pentyl]-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-O-(phenylmethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 1001671-27-7 CAPLUS

CN L-Serine, N-[5-[3,4-dihydro-2,4-dioxo-3-[(phenylmethoxy)methyl]-1(2H)-pyrimidinyl]pentyl]-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 9 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:1142935 CAPLUS

DN 148:256274

 ${\tt TI}$ Experimental Evidence That GNA and TNA Were Not Sequential Polymers in the Prebiotic Evolution of RNA

AU Yang, Ying-Wei; Zhang, Su; McCullum, Elizabeth O.; Chaput, John C.

CS The Center for BioOptical Nanotechnology, The Biodesign Institute, and Department of Chemistry and Biochemistry, Arizona State University, Tempe, AZ, 85287, USA

SO Journal of Molecular Evolution (2007), 65(3), 289-295 CODEN: JMEVAU; ISSN: 0022-2844

PB Springer

DT Journal

LA English

OS CASREACT 148:256274

AΒ Systematic investigation into the chemical etiol. of ribose has led to the discovery of glycerol nucleic acid (GNA) and threose nucleic acid (TNA) as possible progenitor candidates of RNA in the origins of life. Coupled with their chemical simplicity, polymers for both systems are capable of forming stable Watson-Crick antiparallel duplex structures with themselves and RNA, thereby providing a mechanism for the transfer of genetic information between successive genetic systems. Investigation into whether both polymers arose independently or descended from a common evolutionary pathway would provide addnl. constraints on models that describe the emergence of a hypothetical RNA world. Here we show by thermal denaturation that complementary GNA and TNA mixed sequence polymers are unable, even after prolonged incubation times, to adopt stable helical structures by intersystem cross-pairing. This exptl. observation suggests that GNA and TNA, whose structures derive from one another, were not consecutive polymers in the same evolutionary pathway to RNA.

IT 168332-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(exptl. evidence that GNA and TNA were not sequential polymers in prebiotic evolution of RNA)

RN 168332-12-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS) RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 10 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
     2007:1064569 CAPLUS
ΑN
     147:357157
DN
     Prevention and treatment of cancer and other diseases
ΤI
ΙN
     Bondarev, Igor E.
PA
     Alt Solutions, Inc., USA
     PCT Int. Appl., 94 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
                                     DATE....
     PATENT NO.
                            KIND
                                                   APPLICATION NO.
                                                                              DATE
                                                   _____
                             ____
                                     20070920
                                                   WO 2007-US6538
     WO 2007106561
                              A2/
                                                                              20070314
PΙ
     WO 2007106561
                              А3
                                     20080814
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              MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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     WO 2006125166
                                  20061123
                                                WO 2006-US19488
                                                                              20060518
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                                     20080320
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                             А3
     WO 2006125166
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               IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
               CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
               GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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     CA 2644297
                                     20070920
                                                 CA 2007-2644297
                             Α1
                                                                              20070314
     EP 2001488
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                             Α2
                                     20081217
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               IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
               AL, BA, HR, MK, RS
                                     20090527
                                                   CN 2007-80017408
                                                                              20081113
     CN 101443021
                              Α
                                                   US 2009-225199
     US 20090203636
                             Α1
                                     20090813
                                                                              20090129
PRAI US 2006-782559P
                             Ρ
                                     20060314
     US 2006-801693P
                             Ρ
                                     20060518
                            A
P
P
     WO 2006-US19488
                                     20060518
     US 2006-860518P
                                     20061121
     US 2005-682110P
                                     20050518
                        P
W
     US 2006-801698P
                                     20060520
     WO 2007-US6538
                                    20070314
     Nucleoside chemical compds., which interact with specific structures of DNA
AΒ
```

(DNA) or RNA (RNA) are disclosed. The compds. interfere with the activities of telomerase and reverse transcriptase, and are useful as antivirals, antibacterials and anticancer agents. Methods of treating or preventing cancers in patients involving administration of a therapeutically effective amount of a composition having an inhibitor or antagonist of the reverse transcriptases (RTs) expressed in cells of the patients are also disclosed. Method of using nucleoside analogs and other inhibitors of RTs in conjunction with DNA damaging agents such as genotoxic agents or radiation or photodynamic therapy or combinations these for the treatment of various cancers are also disclosed. Administration of an acyclic nucleoside analog combination comprised of Retrovir, Zovirax, Valcyte and Valtrex along with genotoxic agent, Xeloda, to a patient suffering from inoperable stomach carcinoma prevented tumor growth based on examination of the abdominal cavity. Acyclic nucleoside analogs and prodrugs were prepared and their antitumor activity in vitro in osteosarcoma cells was evaluated.

IT 949891-65-0P

RL: ADV (Adverse effect, including toxicity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(acyclic nucleoside analog combinations for prevention and treatment of cancer)

RN 949891-65-0 CAPLUS

CN L-Valine, 2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]ethyl ester, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

● H2O

IT 949891-63-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(acyclic nucleoside analog combinations for prevention and treatment of cancer)

RN 949891-63-8 CAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-,

2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

- L11 ANSWER 11 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:606948 CAPLUS
- DN 148:496262
- TI Short synthesis and antiviral evaluation of C-fluoro-branched cyclopropyl nucleosides
- AU Oh, Chang Hyun; Hong, Joon Hee
- CS Medicinal Chemistry Research Center, Korea Institute of Science and Technology, Seoul, S. Korea
- SO Nucleosides, Nucleotides & Nucleic Cids (2007), 26(4), 403-411 CODEN: NNNAFY; ISSN: 1525-7770
- PB Taylor & Francis, Inc.
- DT Journal
- LA English
- OS CASREACT 148:496262
- AB A series of novel fluorocyclopropyl nucleosides were synthesized using the Simmons-Smith reaction as a key reaction starting from 1,3-dihydroxyacetone. All the nucleosides synthesized were assayed against several viruses. Among the compds. synthesized, the 5-fluorouracil analog showed significant anti-HCMV activity (9.22 $\mu\text{M})$.
- IT 1021327-75-2P 1021327-76-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 - (synthesis and antiviral activity of C-fluoro-branched cyclopropyl nucleosides)
- RN 1021327-75-2 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2,2-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-fluorocyclopropyl]methyl]-5-methyl- (CA INDEX NAME)

- RN 1021327-76-3 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2,2-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-fluorocyclopropyl]methyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 12 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:494023 CAPLUS
- DN 147:183202
- TI Chemical etiology of nucleic acids: aminopropyl nucleic acids (APNAs)
- AU Zhou, Ding; Froeyen, Matheus; Rozenski, Jozef; Van Aerschot, Arthur; Herdewijn, Piet
- CS Laboratory of Medicinal Chemistry, Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.
- SO Chemistry & Biodiversity (2007), 4(4), 740-761 CODEN: CBHIAM; ISSN: 1618-1872
- PB Verlag Helvetica Chimica Acta AG
- DT Journal
- LA English
- AB Aminopropyl nucleic acids (APNAs) are constitutionally simple nucleic acid alternatives with one stereogenic center per nucleotide, and with the potential to hybridize with RNA and to exert catalytic functions. We have developed a protecting group strategy to synthesize APNAs, although in a not very efficient way. Isolation and purification of APNAs proved to be difficult. Their structures might be more suited to function as potential catalytic polymers than as information systems that may evolve into RNA.
- IT 944132-80-3
 - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of aminopropyl nucleoside derivs. (APNs))
- RN 944132-80-3 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-hydroxy-3-[(4-methoxyphenyl)diphenylmethoxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

- IT 944132-84-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminopropyl nucleoside derivs. (APNs))

- RN 944132-84-7 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-amino-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:403424 CAPLUS

DN 147:53090

TI Synthesis and antiviral activity of C-fluoro-branched cyclopropyl nucleosides

AU Kim, Aihong; Hong, Joon Hee

CS College of Pharmacy, Chosun University, Kwangja, 501-759, S. Korea

SO European Journal of Medicinal Chemistry (2007), 42(4), 487-493 CODEN: EJMCA5; ISSN: 0223-5234

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 147:53090

AB A series of novel fluorocyclopropyl nucleosides were synthesized starting from acetol using the Simmons-Smith reaction as a key reaction. All the nucleosides synthesized were assayed against several viruses. Among the compds. synthesized, I, (B is uracil), showed moderate anti-HCMV activity (10.61 μ g/mL, in AD-169).

IT 940003-91-8P 940003-92-9P 940003-95-2P 940003-96-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis, cytotoxicity and antiviral activity of C-fluoro-branched cyclopropyl nucleosides)

RN 940003-91-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-fluoro-2-methylcyclopropyl]methyl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 940003-92-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-fluoro-2-methylcyclopropyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 940003-95-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2S)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-fluoro-2-

methylcyclopropyl]methyl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 940003-96-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2S)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-fluoro-2-methylcyclopropyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:1288478 CAPLUS

DN 146:176173

TI N1-Substituted Thymine Derivatives as Mitochondrial Thymidine Kinase (TK-2) Inhibitors

AU Hernandez, Ana-Isabel; Familiar, Olga; Negri, Ana; Rodriguez-Barrios, Fatima; Gago, Federico; Karlsson, Anna; Camarasa, Maria-Jose; Balzarini, Jan; Perez-Perez, Maria-Jesus

CS Instituto de Quimica Medica (C.S.I.C.), Madrid, E-28006, Spain

SO Journal of Medicinal Chemistry (2006), 49(26), 7766-7773 CODEN: JMCMAR; ISSN: 0022-262

PB American Chemical Society

DT Journal

LA English

OS CASREACT 146:176173

AΒ Novel N1-substituted thymine derivs. related to 1-[(Z)-4-(triphenylmethoxy)-2-butenyl]thymine have been synthesized and evaluated against thymidine kinase-2 (TK-2) and related nucleoside kinases [i.e., Drosophila melanogaster deoxynucleoside kinase (Dm-dNK) and herpes simplex virus type 1 thymidine kinase (HSV-1 TK)]. The thymine base has been tethered to a distal triphenylmethoxy moiety through a polymethylene chain (n = 3-8) or through a (2-ethoxy) ethyl spacer. Moreover, substitutions at position 4 of one of the Ph rings of the triphenylmethoxy moiety have been performed. Compds. with a hexamethylene spacer (I, II, and III) displayed the highest inhibitory values against TK-2 (IC50 = $0.3-0.5~\mu M$). Compound II competitively inhibited TK-2 with respect to thymidine and uncompetitively with respect to ATP. A rationale for the biol. data was provided by docking some representative inhibitors into a homol.-based model of human TK-2. Moreover, two of the most potent TK-2inhibitors, I and II, that also inhibit HSV-1 TK were able to reverse the cytostatic activity of 1-(β -D-arabinofuranosyl)thymine (Ara-T) and ganciclovir in HSV-1 TK-expressing OST-TK-/HSV-1 TK+ cell cultures. 892392-58-4P 921588-11-6P ΙT

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(N1-substituted thymine derivs. as mitochondrial thymidine kinase <math>(TK-2) inhibitors)

RN 892392-58-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-(diphenyl-4-pyridinylmethoxy)hexyl]-5-methyl- (CA INDEX NAME)

RN 921588-11-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2Z)-4-(diphenyl-4-pyridinylmethoxy)-2-buten-1-yl]-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

IT 921587-91-9P 921587-92-0P 921587-93-1P 921587-94-2P 921587-99-7P 921588-00-3P

921588-07-0P 921588-10-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N1-substituted thymine derivs. as mitochondrial thymidine kinase (TK-2) inhibitors)

RN 921587-91-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[3-(triphenylmethoxy)propyl]- (CA INDEX NAME)

Me (CH₂)₃-O-CPh₃

$$N$$
 N

RN 921587-92-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[5-(triphenylmethoxy)pentyl]- (CA INDEX NAME)

Me (CH₂)₅-O-CPh₃

$$\begin{array}{c}
N\\
N\\
H
\end{array}$$

RN 921587-93-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[6-(triphenylmethoxy)hexyl]- (CA INDEX NAME)

Me
$$(CH_2)_6 - O - CPh_3$$

RN 921587-94-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[7-(triphenylmethoxy)heptyl]- (CA

INDEX NAME)

RN 921587-99-7 CAPLUS

CN Benzamide, 4-[[[6-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)hexyl]oxy]diphenylmethyl]-N-methyl- (CA INDEX NAME)

RN 921588-00-3 CAPLUS

CN Benzamide, 4-[[(2Z)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-buten-1-yl]oxy]diphenylmethyl]-N-methyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 921588-07-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[(4-chlorophenyl)diphenylmethoxy]hexyl]-5-methyl- (CA INDEX NAME)

RN 921588-10-5 CAPLUS

CN 2,4(1H,3H) -Pyrimidinedione, 1-[(2Z)-4-[(4-chlorophenyl)diphenylmethoxy]-2-

buten-1-yl]-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

IT 471256-44-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(N1-substituted thymine derivs. as mitochondrial thymidine kinase (TK-2) inhibitors)

RN 471256-44-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:1079301 CAPLUS

DN 146:45683

TI Glycerol Nucleoside Triphosphates: Synthesis and Polymerase Substrate Activities

AU Horhota, Allen T.; Szostak, Jack W.; McLaughlin, Larry W.

CS Department of Chemistry, Merkert Chemistry Center, Boston College,

Chestnut Hill, Ma, 02467, USA SO Organic Letters (2006), 8 23), 5345-5347 CODEN: ORLEF7; ISSN: 1523,7060

PB American Chemical Society

DT Journal

LA English

OS CASREACT 146:45683

AB The synthesis of (S)-glycerol nucleoside triphosphates (gNTPs) and the anal. of their substrate activities for enzymic polymerization is described. NTPs with simplified carbohydrate backbones such as the tNTPs (α -L-threose-NTPs) are polymerase substrates and offer the potential to create non-natural aptamer sequences with simplified backbones through enzymic means. The acyclic (S)-GNA was modeled after the shortened α -threofuranosyl backbone. Here we describe the synthesis of (S)-glycerol NTPs and initial enzymic testing of this further simplified nucleic acid backbone.

IT 168332-12-5P 916599-30-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(glycerol nucleoside triphosphates synthesis and polymerase substrate activities)

RN 168332-12-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 916599-30-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-(acetyloxy)-3-[bis(4-methoxyphenyl)phenylmethoxy]propyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:593384 CAPLUS

DN 145:201965

 TI Acyclic Nucleoside Analogues as Inhibitors of Plasmodium falciparum dUTPase

AU Nguyen, Corinne; Ruda, Gian Filippo; Schipani, Alessandro; Kasinathan, Ganasan; Leal, Isabel; Musso-Buendia, Alexander; Kaiser, Marcel; Brun, Reto; Ruiz-Perez, Luis M.; Sahlberg, Britt-Louise; Johansson, Nils Gunnar; Gonzalez-Pacanowska, Dolores; Gilbert, Ian H.

CS Welsh School of Pharmacy, Cardiff University, Cardiff, CF10 3XF, UK

SO Journal of Medicinal Chemistry (2006), 49(14), 4183-4195 CODEN: JMCMAR; ISSN: 0022-2628

PB American Chemical Society

DT Journal

LA English

OS CASREACT 145:201965

AB We report the discovery of novel uracil-based acyclic compds. as inhibitors of deoxyuridine 5'-triphosphate nucleotidohydrolase (dUTPase), an enzyme involved in nucleotide metabolism that has been identified as a promising target for the development of antimalarial drugs. Compds. were assayed against both P. falciparum dUTPase and intact parasites. A good correlation was observed between enzyme inhibition and cellular assays. Acyclic uracil derivs. were identified that showed greater or similar potency and in general increased selectivity compared to previously reported inhibitors. The most active compound reported here against the P. falciparum enzyme had a Ki of 0.2 μM . Mol. modeling studies provided a good rationale for the observed activities. Preliminary ADME studies indicated that some of the lead compds. are drug-like mols. These compds. are useful tools for further investigating P. falciparum dUTPase for the development of much-needed novel antimalarial drugs.

IT 860266-93-9P 860266-94-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Acyclic Nucleoside Analogs as Inhibitors of Plasmodium falciparum dUTPase)

RN 860266-93-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(triphenylmethyl)amino]propyl]- (CA INDEX NAME)

RN 860266-94-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[(triphenylmethyl)amino]hexyl]- (CA INDEX NAME)

ΙT 121749-94-8P 860266-80-4P 860266-81-5P 860266-83-7P 860266-84-8P 860266-85-9P 860266-88-2P 860266-86-0P 860266-87-1P 860266-89-3P 860266-90-6P 860266-91-7P 860266-92-8P 860266-96-2P 860267-03-4P 860267-09-0P 860267-11-4P 904907-21-7P 904907-27-3P 904907-23-9P 904907-28-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Acyclic Nucleoside Analogs as Inhibitors of Plasmodium falciparum dUTPase)

RN 121749-94-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

O
$$\stackrel{\text{H}}{\underset{\text{N}}{\text{N}}}$$
 O $\stackrel{\text{Me}}{\underset{\text{CH}_2-\text{O-CH}_2-\text{CH}_2-\text{O-Si-Bu-t}}{\text{Bu-t}}}$

RN 860266-80-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(triphenylmethoxy)propyl]- (CA INDEX NAME)

RN 860266-81-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-(triphenylmethoxy)hexyl]- (CA INDEX NAME)

RN 860266-83-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

RN 860266-84-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[(triphenylsilyl)oxy]butyl]- (CA INDEX NAME)

RN 860266-85-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-(triphenylmethoxy)ethoxy]methyl]- (CA INDEX NAME)

RN 860266-86-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2E)-4-(triphenylmethoxy)-2-buten-1-yl]-(CA INDEX NAME)

Double bond geometry as shown.

RN 860266-87-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-(triphenylmethoxy)pentyl]- (CA INDEX NAME)

RN 860266-88-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(triphenylmethyl)amino]pentyl]- (CA INDEX NAME)

RN 860266-89-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{O} & \\ & \text{HN} & \text{N} \\ & \text{O} & \end{array}$$

RN 860266-90-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[(triphenylsilyl)oxy]hexyl]- (CA INDEX NAME)

RN 860266-91-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(triphenylsily1)oxy]propy1]- (CA INDEX NAME)

RN 860266-92-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(triphenylsily1)oxy]pentyl]- (CA INDEX NAME)

RN 860266-96-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(hydroxymethyl)-4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

RN 860267-03-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (CA INDEX NAME)

RN 860267-09-0 CAPLUS

CN Carbamic acid, [4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[(triphenylmethoxy)methyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 860267-11-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(acetyloxy)methyl]-4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

RN 904907-21-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[[(1,1-dimethylethyl)diphenylsilyl]oxy]hexyl]- (CA INDEX NAME)

RN 904907-23-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]-(CA INDEX NAME)

Double bond geometry as shown.

RN 904907-27-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(hydroxymethyl)-4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

RN 904907-28-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-hydroxy-2-[(triphenylmethoxy)methyl]butyl]- (CA INDEX NAME)

IT 904907-35-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(Acyclic Nucleoside Analogs as Inhibitors of Plasmodium falciparum dUTPase)

RN 904907-35-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-(hydroxymethyl)butyl]- (CA INDEX NAME)

IT 860266-98-4P 860266-99-5P 860267-00-1P 860267-01-2P 904907-36-4P 904907-37-5P

904907-39-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(Acyclic Nucleoside Analogs as Inhibitors of Plasmodium falciparum $\operatorname{dUTPase}$)

RN 860266-98-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 860266-99-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[(2E)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 860267-00-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[5-(triphenylmethoxy)pentyl]- (CA INDEX NAME)

RN 860267-01-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[5-[(triphenylmethyl)amino]pentyl]-(CA INDEX NAME)

RN 904907-36-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[(triphenylmethoxy)methyl]butyl]- (CA INDEX NAME)

RN 904907-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]butyl]- (CA INDEX NAME)

RN 904907-39-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 17 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:391440 CAPLUS
- DN 145:78652
- TI Bromovinyl-deoxyuridine: A selective substrate for mitochondrial thymidine kinase in cell extracts
- AU Franzolin, Elisa; Rampazzo, Chiara; Perez-Perez, Maria-Jesus; Hernandez, Ana-Isabel; Balzarini, Jan; Bianchi, Vera
- CS Department of Biology, University of Padova, Padua, 35131, Italy
- SO Biochemical and Biophysical Research Communication (2006), 344(1), 30-36 CODEN: BBRCA9; ISSN: 0006-291X
- PB Elsevier
- DT Journal
- LA English
- Cellular models of mitochondrial thymidine kinase (TK2) deficiency require AΒ a reliable method to measure TK2 activity in whole cell exts. containing two interfering deoxyribonucleoside kinases, thymidine kinase 1 (TK1) and deoxycytidine kinase. We tested the value of the thymidine analog (E)-5-(2-bromoviny1)-2'-deoxyuridine (BVDU) as a TK2-specific substrate. With exts. of OSTTK1- cells containing TK2 as the only thymidine kinase and a highly specific TK2 inhibitor we established conditions to detect the low TK2 activity commonly present in cells. With exts. of TK1-proficient osteosarcoma cells and normal human fibroblasts we showed that BVDU, but not $1-(\beta-D-arabinofuranosyl)$ thymine (Ara-T), discriminates TK2 activity even in the presence of 100-fold excess TK1. A comparison with current procedures based on TK2 inhibition demonstrated the better performance of the new TK2 assay. When cultured human fibroblasts passed from proliferation to quiescence TK2 activity increased by 3-fold, stressing the importance of TK2 function in the absence of TK1.
- IT 892392-58-4, KIN 109
 - RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitor; phosphorylation of substrate by thymidine kinase)
- RN 892392-58-4 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-(diphenyl-4-pyridinylmethoxy)hexyl]-5-methyl- (CA INDEX NAME)

- OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
- RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:220103 CAPLUS

DN 144:450868

TI Synthesis of glycol nucleic acids

AU Zhang, Lilu; Peritz, Adam E.; Carroll, Patrick J.; Meggers, Eric

CS Department of Chemistry, University of Pennsylvania, Philadelphia, PA, 19104, USA

SO Synthes(s (2006),)(4), 645-653 CODEN: SYNTBF: JOSN: 0039-7881

PB Georg Thieme Verlag

DT Journal

LA English

OS CASREACT 144:450868

AB Starting from glycidol, the synthesis of dimethoxytritylated glycol nucleoside phosphoramidites of adenine (A), thymine (T), uracil (U), guanine (G), and cytosine (C) is reported. These phosphoramidites are the building blocks for the automated solid phase synthesis of glycol nucleic acids (GNA) oligonucleotides and it is demonstrated that derived GNA duplexes with completely acyclic backbones considerably exceed the thermal stabilities of analogous DNA duplexes.

IT 168332-12-5P 494784-12-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis and GNA duplex thermal stability of glycol nucleic acids)

RN 168332-12-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 494784-12-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:186166 CAPLUS

DN 146:142935

TI Synthesis of aminopropyl phosphonate nucleosides with purine and pyrimidine bases

AU Zhou, Ding; Lagoja, Irene M.; Van Aerschot, Arthur; Herdewijn, Piet

CS Laboratory of Medicinal Chemistry, Rega Institute Louvain, B-3000, Belg.

SO Collection of Czechoslovak Chemical Communications (2006), 71(1), 15-34 CODEN: CCCCAK; ISSN: 0010-0765

PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic

DT Journal

LA English

OS CASREACT 146:142935

AB The synthesis and antiviral evaluation of new acyclic phosphonate nucleosides, e.g. I, II and II, related to HPMPC (Cidofovir) has been described. These aminopropyl phosphonate nucleosides have an amino function within either the acyclic chain or as substituent. Both purine and pyrimidine nucleotide analogs have been synthesized. In contrast to HPMPC the oxygen analog of II, only a weak antiherpes virus activity could be demonstrated for II and its guanine analog.

IT 918869-00-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antiviral activity of aminopropyl acyclic nucleotide phosphonates via phosphorylation and Mitsunobu reaction)

RN 918869-00-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-amino-3-[(4-methoxyphenyl)diphenylmethoxy]propyl]- (CA INDEX NAME)

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 20 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:135823 CAPLUS
- DN 144:391288
- TI Regioselective and enantiospecific rhodium-catalyzed allylic amination with thymine: synthesis of a new conformationally rigid nucleoside
- AU Evans, P. Andrew; Lai, Kwong Wah; Zhang, Hai-Ren; Haffman, John C.
- CS Department of Chemistry, Indiana University, Bloomington, IN 47405, USA
- SO Chemical Communications (Cambridge, United Kingdom) (2006), (8), 844-846 CODEN: CHCOFS; ISSN: 1359-7345
- PB Royal Society of Chemistry
- DT Journal
- LA English
- OS CASREACT 144:391288
- AB The regioselective and enantiospecific rhodium-catalyzed allylic amination of secondary allylic carbonates with N3-benzoyl thymine in conjunction with a stereoselective free radical cyclization provides a convenient method for the construction of a new conformationally rigid nucleoside, e.g. I.
- IT 882659-64-5P
 - RL: SPN (Synthetic preparation); PREP (Preparation)

(regioselective and enantiospecific rhodium-catalyzed allylic amination with thymine synthesis of conformationally rigid nucleoside)

- RN 882659-64-5 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-buten-1-yl]-5-methyl- (CA INDEX NAME)

- OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
- RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1327007 CAPLUS

DN 146:100965

TI Synthesis and properties of aminopropyl nucleic acids

AU Zhou, Ding; Lagoja, Irene M.; Rozenski, Jef; Busson, Roger; Van Aerschot, Arthur; Herdewijn, Piet

CS Laboratory of Medicinal Chemistry, Rega Institute for Medical Research, K.U. Leuven, Louvalle 3000, Belg.

SO ChemBioChem (2005), 6 12), 2298-2304 CODEN: CBCNFX; ISSN: 1439-4227

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 146:100965

- AB Oligonucleotides that contain up to three aminopropyl nucleoside analogs have been synthesized. Dimers of aminopropyl adenine (R)- and (S)-I, and dimers of aminopropyl thymidine (R)- and (S)-II, were prepared and used as building blocks by applying phosphoramidite chemical Both R and S isomers of the aminopropyl nucleosides were used. This incorporation led to a reduction of thermal stability of double-stranded DNA. Furthermore, the (R)-adenine analog, which yielded (S)-APNA, can be considered as a candidate for universal base pairing.
- IT 917359-18-3P 917359-24-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation and thermal stability of aminopropyl oligonucleotides and nucleic acids)

RN 917359-18-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R)-2-hydroxy-3-[[(4-methoxyphenyl)diphenylmethyl]amino]propyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 917359-24-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-hydroxy-3-[[(4-methoxyphenyl)diphenylmethyl]amino]propyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1319229 CAPLUS

DN 145:211282

TI Synthesis and antiviral evaluation of novel exo-methylene acyclic nucleosides and phosphonic acid nucleosides

AU Kim, Aihong; Hong, Joon Hee

CS College of Pharmacy, Chosun University, Kwangju S. Kor

SO Archiv der Pharmazie (Weinheim, Germany) (2005) 338(11), 528-533 CODEN: ARPMAS; ISSN: 0365-6233

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 145:211282

AB This paper describes a very simple synthesis route of novel acyclic nucleosides and phosphonic acid nucleosides, e.g. I. The condensation of the mesylates II with the natural nucleosidic bases (A, C, U, T) under nucleophilic substitution (K2CO3, 18-crown-6, DMF) and deprotection afforded the target nucleosides and phosphonic acid nucleosides. In addition, these compds. were evaluated for their antiviral properties against various viruses. Uracil derivative 24 shows significant anti-HCMV activity (EC50 = $10.24~\mu\text{M}$).

IT 905306-22-1P 905306-23-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antiviral evaluation of novel exomethylene acyclic nucleosides and phosphonic acid nucleosides)

RN 905306-22-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-propen-1-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2 & \text{Me} \\ & & \\ \text{CH}_2 - \text{C-} \text{CH}_2 - \text{O-} \text{Si-} \text{Bu-t} \\ & & \\ \text{Me} \end{array}$$

RN 905306-23-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-propen-1-yl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c|cccc} CH_2 & Me & \\ \parallel & & \parallel \\ Me & CH_2-C-CH_2-O-Si-Bu-t \\ \hline & & Me \\ \end{array}$$

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD

L11 ANSWER 23 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1316207 CAPLUS

DN 146:82126

TI Synthesis of 18F-labeled acyclic purine and pyrimidine nucleosides intended for monitoring gene expression

AU Grote, M.; Noll, B.; Noll, St.

CS Forschungszentrum Rossendorf e.V., Institut fuer Bioanorganische und Radiopharmazeutische Chemie, Dresden, 01314, Germany

SO Radiochimica Acta (2005), 33(9-10), 585-588 CODEN: RAACAP; ISSN: 0033-8230

PB Oldenbourg Wissenschaftsverlag GmbH

DT Journal

LA English

OS CASREACT 146:82126

AB Non-invasive imaging of genes which are introduced into cells is a useful method for gene therapy monitoring. The labeling of acyclic purine and pyrimidine nucleoside derivs., e.g. I and II, with fluorine-18 required for the HSV-1 tk imaging approach with positron emission tomog. (PET) is described. The methoxytritylated and tosylated precursors were radiolabeled using a K[18F]F/kryptofix 2.2.2 complex, followed by removal of the protecting groups under acidic conditions and HPLC purification. The radiochem. yields of the 18F-tracers amount to 5%-15% (decay corrected) after a synthesis time of 85-95 min; the radiochem. purity was > 98% with an average specific activity of 19 GBq/μmol at the end of synthesis.

IT 718633-27-3 917084-43-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 18F-labeled acyclic purine and pyrimidine nucleosides via nucleophilic fluorination and demethoxytritylation)

RN 718633-27-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[1-[[(4-methoxyphenyl)diphenylmethoxy]methyl]-2-[[(4-methylphenyl)sulfonyl]oxy]ethoxy]methyl]-6-methyl- (CA INDEX NAME)

RN 917084-43-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[1-[[(4-methoxyphenyl)diphenylmethoxy]methyl]-2-[[(4-methylphenyl)sulfonyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 24 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1032043 CAPLUS

DN 145:8369

TI Synthesis and anti-HIV activity of novel phenyl branched cyclopropyl nucleosides

AU Wu, Ying; Hong, Joon Hee

CS College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea

SO Farmaco (2005), 50(9), 739-744 CODEN: FRACES ISSN: 0014-827X

PB Editions Scientifiques et Medicales Elsevier

DT Journal

LA English

OS CASREACT 145:8369

AB Novel Ph branched cyclopropyl nucleoside analogs were designed and synthesized as potential antiviral agents. Cyclopropanation was performed via classical Simmons-Smith reaction using Zn(Et)2 and CH2I2. Coupling of the mesylates with natural bases (A,C,T,U) and desilylation afforded a series of novel cyclopropyl nucleosides. The synthesized compds. were evaluated for their antiviral and antitumor activity against various viruses such as HIV, HSV-1, HSV-2 and HCMV.

IT 888229-15-0P 888229-16-1P 888229-19-4P

888229-20-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis Ph branched cyclopropyl nucleosides and their antiviral and antitumor activity against HIV, HSV-1, HSV-2 and HCMV)

RN 888229-15-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-phenylcyclopropyl]methyl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 888229-16-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-phenylcyclopropyl]methyl]-, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 888229-19-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2S)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-phenylcyclopropyl]methyl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 888229-20-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2S)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-phenylcyclopropyl]methyl]-, rel-(CA INDEX NAME)

Relative stereochemistry.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 25 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
      2005:638741 CAPLUS
AN
      143:153391
DN
      Preparation of pyrimidinediones as deoxyuridine triphosphate
ΤI
      nucleotidohydrolase (dUTPase) inhibitors for treatment of parasitic
      Gilbert, Ian; Nguyen, Corinne; Ruda, Gian Filippo; Schhipani, Alessandro;
ΙN
      Kasinathan, Ganasan; Johansson, Nils-Gunnar; Pacanowska, Dolores Gonzales
      Medivir AB, Swed.
PA
                                                               Applicant's
SO
      PCT Int. Appl., 76 pp.
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 2
                              KIND
                                                     APPLICATION NO.
      PATENT NO.
                                        DATE
                                                                                   DATE
                               ____
      WO 2005065689
                                        20050721
                                                     WO 2005-GB50002
                                                                                    20050106
PΙ
                                Α1
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML,
                RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
                MR, NE, SN, TD, TG
                                        20060920
      EP 1701727
                                                       EP 2005-702147
                                A 1
                                                                                    20050106
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, FI, RO, CY, TR, BG E, EE, HO, PL, SK, IS
      US 20080312183
                                        20081218 (US 2006-585283)
                                Α1
                                                                                    20061002
PRAI GB 2004-290
                                Α
                                        20040108
      WO 2005-GB50002
                                W
                                        20050106
OS
      CASREACT 143:153391; MARPAT 143:153391
      Title compds. [I; A = C0-3 alkylene; R1 = H, (substituted) alkyl, alkenyl,
AΒ
      alkynyl, (hetero)cyclyl; D = NHCO, O, CO, CH:CH, C.tplbond.C, NR5; R4 = H,
      halo, cyano, amino, NO2, CO2H, OH, carbamoyl, O, alkyl, haloalkyl,
      alkanoyl, alkanoyloxy, carboxymethyl, etc.; R5 = H, alkyl, alkanoyl; E =
      Si, C; R6, R7, R8 = alkyl, alkenyl, alkynyl, (unsatd.) mono-, bi-,
      tricyclic ring; G = O, S, CHR10, CO; J = CH2, or when G = CHR10 may also =
      O, NH; R10 = H, F, Me, CH2NH2, CH2OH, OH, ether, ester, or amide thereof;
      R11 = H, F, Me, CH2NH2, CH2OH, CH(OH)Me, CH(NH2)Me; R10R11 = olefinic
      bond, CH2 defining a cis or trans cyclopropyl group], were prepared Thus,
      1-(4-hydroxybutyl)uracil was stirred with trityl chloride and DMAP in
      pyridine at 60° for 64 h to give 86% 1-(4-trityloxybutyl)uracil.
      The latter inhibited Plasmodium falciparum dUTPase with Ki = 1.62 \mu\text{M},
      with 617-fold selectivity over human dUTPase.
ΙT
      860266-79-1P
                           860266-80-4P
                                                 860266-81-5P
      860266-83-7P
                           860266-84-8P
                                                 860266-85-9P
      860266-86-0P
                           860266-87-1P
                                                 860266-88-2P
      860266-89-3P
                           860266-90-6P
                                                 860266-91-7P
      860266-92-8P
                           860266-93-9P
                                                 860266-94-0P
      860266-95-1P
                           860266-96-2P
                                                 860266-97-3P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
```

(preparation of pyrimidinediones as deoxyuridine triphosphate nucleotidohydrolase inhibitors for treatment of parasitic infections)

RN 860266-79-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

RN 860266-80-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(triphenylmethoxy)propyl]- (CA INDEX NAME)

RN 860266-81-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-(triphenylmethoxy)hexyl]- (CA INDEX NAME)

RN 860266-83-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

RN 860266-84-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[(triphenylsilyl)oxy]butyl]- (CA INDEX NAME)

RN 860266-85-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-(triphenylmethoxy)ethoxy]methyl]- (CA INDEX NAME)

RN 860266-86-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2E)-4-(triphenylmethoxy)-2-buten-1-yl]-(CA INDEX NAME)

Double bond geometry as shown.

RN 860266-87-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-(triphenylmethoxy)pentyl]- (CA INDEX NAME)

RN 860266-88-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(triphenylmethyl)amino]pentyl]- (CA INDEX NAME)

RN 860266-89-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

$$_{\rm HN}$$
 $_{\rm N}$ (CH₂)₄-NH-CPh₃

RN 860266-90-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[(triphenylsilyl)oxy]hexyl]- (CA INDEX NAME)

RN 860266-91-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(triphenylsilyl)oxy]propyl]- (CA INDEX NAME)

RN 860266-92-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(triphenylsilyl)oxy]pentyl]- (CA INDEX NAME)

RN 860266-93-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(triphenylmethyl)amino]propyl]- (CA INDEX NAME)

RN 860266-94-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-[(triphenylmethyl)amino]hexyl]- (CA INDEX NAME)

RN 860266-95-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(hydroxymethyl)-4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

RN 860266-96-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(hydroxymethyl)-4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

RN 860266-97-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(aminomethyl)-4-(triphenylmethoxy)butyl]-(CA INDEX NAME)

IT 860266-98-4P 860266-99-5P 860267-00-1P 860267-01-2P 860267-03-4P 860267-04-5P

860267-09-0P 860267-11-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidinediones as deoxyuridine triphosphate nucleotidohydrolase inhibitors for treatment of parasitic infections)

RN 860266-98-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 860266-99-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[(2E)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 860267-00-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[5-(triphenylmethoxy)pentyl]- (CA INDEX NAME)

RN 860267-01-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[5-[(triphenylmethyl)amino]pentyl]-(CA INDEX NAME)

$$\begin{array}{c|c}
O & O \\
Ph-C & N \\
\hline
N & N
\end{array}$$
(CH₂)₅-NH-CPh₃

RN 860267-03-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (CA INDEX NAME)

RN 860267-04-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-(bromomethyl)-4-(triphenylmethoxy)butyl]-(CA INDEX NAME)

RN 860267-09-0 CAPLUS

CN Carbamic acid, [4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[(triphenylmethoxy)methyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 860267-11-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(acetyloxy)methyl]-4-[(triphenylmethyl)amino]butyl]- (CA INDEX NAME)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 26 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:489071 CAPLUS
- DN 143:153688
- TI Three-dimensional organization of helices: design principles for nucleobase-functionalized β -peptides
- AU Chakraborty, Pradip; Diederichsen, Ulf
- CS Institut fuer Organische und Bromolekulare Chemie, Georg August Universitaet Goettingen, Goettingen, 37077, Germany
- SO Chemistry--A European Journal (2005), 11(11), 3207-3216 CODEN: CEUJED; ISSN: 0947-6589
- PB Wiley-VCH Verlag GmbH & Co. KGaA
- DT Journal
- LA English
- OS CASREACT 143:153688
- The construction and mol. recognition of various three-dimensional AΒ biomimetic structures is based on the predictable de novo design of artificial mols. In this regard β -peptides are especially interesting, since stable secondary structures are obtained already with short sequences; one of them is the 14-helix in which every third residue has the same orientation. The covalent functionalization of every third 14-helix side chain with nucleobases was used for a reversible organization of two helixes based on nucleobase pairing. A series of β -peptides with various nucleobase sequences was synthesized and the stability of double strand formation was investigated. As few as four nucleobases are sufficient for considerable duplex stability. The stability of base pairing was examined by temperature-dependent UV spectroscopy and the formation of the 14-helix was confirmed by CD spectroscopy. The preferred strand orientation of complementary-nucleobase-modified β -peptide helixes was investigated as well as the influence of helix content on the duplex stability. The preorganization of a 14-helix in regard to double-strand recognition was tuned by the sequential order of polar β -amino acids or by the amount of 2-aminocyclohexanecarboxylic acid units incorporated, which are known to facilitate 14-helix formation, resp.
- IT 860458-18-0P
 - RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of nucleobase-functionalized β -peptides and effect of helix content on duplex stability)
- RN 860458-18-0 CAPLUS
- CN 9H-Purine-9-butanamide, 2-amino-N-[(7S,11S,15R,19S)-1-amino-15-(4-aminobutyl)-11-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-7,19-bis(1-methylethyl)-1,5,9,13,17-pentaoxo-4,8,12,16-tetraazanonadec-19-yl]- β -[[(3R,7S,11S,15R,19S,23S,27R)-27,31-diamino-3,15-bis(4-aminobutyl)-11-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-23-[(6-amino-9H-purin-9-yl)methyl]-7,19-bis(1-methylethyl)-1,5,9,13,17,21,25-heptaoxo-4,8,12,16,20,24-hexaazahentriacont-1-yl]amino]-1,6-dihydro-6-oxo-, (β S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 27 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:411671 CAPLUS
- DN 143:115746
- TI Cyclization reactions of 1-[3'-hydroxy-2'-(hydroxymethyl)prop-1'-enyl]pyrimidine nucleobases: intramolecular Michael additions to the C(5):C(6) bonds and intramolecular dehydration
- AU Dahl, Otto; Jensen, Jacob; Petersen, Michael Axman; Henriksen, Ulla
- CS Department of Chemistry The H. C. Orsted Institute, University of Copenhagen, Copenhagen, DK-2100, Den.
- SO Organic & Biomolecular Chemistry (2005), 3(10), 1964-1970 CODEN: OBCRAK; ISSN: 1477-0520
- PB Royal Society of Chemistry
- DT Journal
- LA English
- OS CASREACT 143:115746
- AB The tendency of a series of acyclic nucleoside analogs, e.g. I, to undergo intramol. cyclization reactions was investigated. All compds., when treated with NaOD, were in equilibrium with the bicyclic compds., e.g. II, arising from Michael addition of a hydroxy group to the C(5):C(6) bonds. Derivs. of 2,4-pyrimidinedione, e.g. I, had the highest tendency to undergo intramol. Michael addition when treated with triethylamine, whereas the cyclization of 4-amino-2-pyridone derivs. proceeded best with acid. The exocyclic double bond of I was essential for the cyclization to occur. Commonly used N-protecting groups as the benzoyl- and the dibutylaminomethylene group enhanced cyclization.
- IT 857861-38-2P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (cyclization reactions of 1-[3'-hydroxy-2'-(hydroxymethyl)prop-1'-enyl]pyrimidine nucleobases and intramol. Michael addns. to the C(5):C(6) bonds and intramol. dehydration)
- RN 857861-38-2 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-hydroxypropyl]- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 28 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:359585 CAPLUS
- DN 143:43727
- TI Synthesis of 1-[(2-hydroxyethoxy)methyl]-6-(5,6,7,8tetranydronaphthylmethyl-1)thymine as novel inhibitor against drug-resistant HIV mutants
- AU Meng Ge; Kuang, Yun-Yan, Ji, Lei; Chen, Fen-Er
- CS Department of Chemistry, Fudan University, Shanghai, Peop. Rep. China
- SO Synthetic Communications (2005), 35(8), 1095-1102 CODEN: SYNCAV; ISSN: 0039-7911
- PB Taylor & Francis, Inc.
- DT Journal
- LA English
- OS CASREACT 143:43727
- AB Synthesis of two new 1-[(2-hydroxyethoxy)methyl]-6-(5,6,7,8-tetrahydronaphthylmethyl)thymine derivs. as potent inhibitors against a mutant type of HIV, starting from thymine, is described. In the preparation of the corresponding 1-[(2-hydroxyethoxy)methyl]-6-(5,6,7,8-tetrahydronaphthylmethyl)thymine derivs. a three-step reaction via deprotection, hydrogenolysis, and hydrogenation was carried out in a one-pot procedure. The pharmacol. activity of the target compds. thus prepared will be reported elsewhere.
- IT 121749-98-2P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of [(hydroxyethoxy)methyl](tetrahydronaphthylmethyl)thymine derivs. using hydroxyethyl thymine derivative as synthetic intermediate)
- RN 121749-98-2 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1
 - dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 29 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
ΑN
    2005:260025 CAPLUS
    142:336245
DN
    Preparation of biphenylpentanoic acid derivatives as matrix
ΤI
    metalloproteinase inhibitors
ΙN
    Gaines, Simon; Holmes, Ian Peter; Martin, Stephen Lewis; Watson, Stephen
    Glaxo Group Limited, UK
PA
    PCT Int. Appl., 41 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
                       KIND
                                         APPLICATION NO.
    PATENT NO.
                               DATE
                                                                 DATE
                       ____
                               _____
                                          _____
                                                                _____
    WO 2005026120
                               20050324 WO 2004-EP10319
                                                                 20040910
                        A1
PΤ
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
                                                                  20040910
    AU 2004272280
                               20050324
                                          AU 2004-272280
                         Α1
    CA 2538315
                         A1
                               20050324
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                       A
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                                          CN 2004-80026229
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                                          NZ 2004-545211
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    EP 2042488
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                              20090401
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                         Α
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A1
A1
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    US 20060293353
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                                                                  20060313
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                              20090529
    HK 1092141
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A
A1
    IN 2008KN02511
                               20090130
                                           IN 2008-KN2511
                                                                  20080620
    TN 2006KN02511 A 20090130
US 20090082377 A1 20090326
GB 2003-21538 A 20030913
EP 2004-765231 A3 20040910
WO 2004-EP10319 W 20040910
IN 2006-KN295 A3 20060210
US 2006-571443 A1 20060313
                                           US 2008-266767
                                                                  20081107
PRAI GB 2003-21538
```

OS CASREACT 142:336245; MARPAT 142:336245

Title compds. represented by the formula I [wherein A = a bond or (CH:CH)alkyl; B = a bond, O, S, SO2, CO, etc.; D = a bond or alkyl; E = (un)substituted (hetero)aryl; Q = (un)substituted (hetero)aryl; X = O, S, SO, SO2, CO, etc.; Y = SO, SO2, CS, etc.; R, R1 = independently H or alkyl(aryl); R2 = carboxy, amido, thiol, etc.; R3 = H or alkyl(aryl); R4 = (un)substituted (hetero)aryl; Z = a bond, CH2, amino, etc., or R4Z = (un)substituted fused tricyclic group; and physiol. functional derivs. thereof] were prepared as matrix metalloproteinase (MMP) inhibitors. For example, II was given in a multi-step synthesis starting from biphenyl-4-ylmethanol. I showed inhibition of MMP-12 with IC50 values of below 100 μ M. Thus, I and their pharmaceutical compns. are useful as MMP inhibitors for the treatment of autoimmune disorder or inflammatory condition (no data).

IT 848407-57-8P, 1,1-Dimethylethyl
5-(4-iodophenyl)-2-[2-(3-methyl-2,4-dioxo-3,4-dihydro-1(2H)pyrimidinyl)ethyl]-3-[[[4-(methyloxy)phenyl]methyl]oxy]pentanoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of biphenylpentanoic acid derivs. as matrix metalloproteinase inhibitors)

RN 848407-57-8 CAPLUS

CN 1(2H)-Pyrimidinebutanoic acid, 3,4-dihydro- α -[3-(4-iodophenyl)-1-[(4-methoxyphenyl)methoxy]propyl]-3-methyl-2,4-dioxo-, 1,1-dimethylethyl ester (CA INDEX NAME)

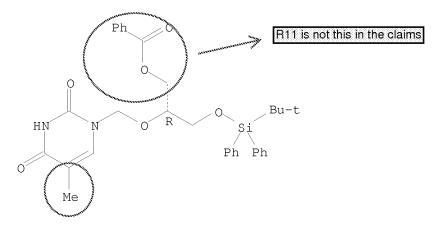
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 30 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:200927 CAPLUS
- DN 143:188490
- TI Toward non-natural polymers that fold and function
- AU Park, Jeong-Il; Roth, Shoshannah L.; McQuade, D. Tyler
- CS Department of Chemistry and Chemical Biology, Cornell University, Ithaca, NY, 14853-1301, USA
- SO Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (2005), 46(1), 180
 CODEN: ACPPAY; ISSN: 0032-3934
- PB American Chemical Society, Division of Polymer Chemistry
- DT Journal; (computer optical disk)
- LA English
- AB Oligonucleotides constructed from acyclic nucleotides have the potential to fold and function like their natural counterparts. The advantages of using non-natural backbones are greater stability toward hydrolytic enzymes and the potential of incorporating non-natural monomers with catalytic function.
- IT 861205-26-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(acyclic nucleotide DNA analogs interactions)

- RN 861205-26-7 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R)-2-(benzoyloxy)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 31 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:198994 CAPLUS
- DN 142:430511
- TI Side chain homologation of alanyl peptide nucleic acids: pairing selectivity and stacking
- AU Diederichsen, Ulf; Weicherding, Daniel; Diezemann, Nicola
- CS Institut fuer Organische und Biomolekulare Chemie, Goettingen, D-37077, Germany
- SO Organic & Biomolecular Chemistry (2005), 3(6), 1058-1066 CODEN: OBCRAK; ISSN: 1477-0520
- PB Royal Society of Chemistry
- DT Journal
- LA English
- OS CASREACT 142:430511
- Alanyl peptide nucleic acids (alanyl-PNAs) are oligomers based on a regular peptide backbone with alternating configuration of the amino acids. All side chains are modified by covalently linked nucleobases. Alanyl-PNAs form very rigid, well defined, and linear double strands based on hydrogen bonding of complementary strands, stacking, and solvation. Side chain homol. was examined by comparing a methylene linker (alanyl-PNA) with an ethylene linker (homoalanyl-PNA), a trimethylene linker (norvalyl-PNA), and PNA sequences with mixed linker length between nucleobase and backbone. Side chain homol. in combination with a linear double strand topol. turned out to be valuable in order to selectively manipulate pairing selectivity (pairing mode) and base pair stacking.
- IT 850742-43-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (side chain homologation as solid phase peptide synthesis of peptide nucleic acids and effect of hydrogen bonding, base stacking, and solvation on double strands formation)
- RN 850742-43-7 CAPLUS
- CN L-Lysinamide, 5-(6-amino-9H-purin-9-yl)-D-norvalyl-5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-norvalyl-5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

IT 850742-43-7DP, self-association dimer 850742-75-5P 864629-43-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (side chain homologation as solid phase peptide synthesis of peptide nucleic acids and effect of hydrogen bonding, base stacking, and solvation on double strands formation)

RN 850742-43-7 CAPLUS

CN L-Lysinamide, 5-(6-amino-9H-purin-9-yl)-D-norvalyl-5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-norvalyl-5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

850742-75-5 CAPLUS

RN

CN L-Lysinamide, 5-(6-amino-9H-purin-9-yl)-D-norvalyl-5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-norvalyl-5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl-, compd. with 5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(6-amino-9H-purin-9-yl)-D-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-

pyrimidinyl)-D-norvalyl-L-lysinamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 850742-74-4 CMF C66 H90 N30 O13

Absolute stereochemistry.

CM 2

CRN 850742-43-7

CMF C66 H90 N30 O13

Absolute stereochemistry.

RN 864629-43-6 CAPLUS

CN D-Lysinamide, 5-(6-amino-9H-purin-9-yl)-L-norvalyl-5-(6-amino-9H-purin-9-yl)-D-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl-5-(6-amino-9H-purin-9-yl)-D-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-norvalyl-5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-norvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

N (CH2)3 S N R (CH2)3
NH2 N N NH2

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RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

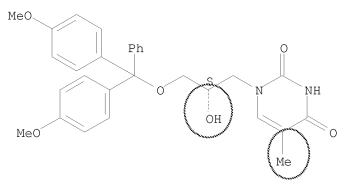
- L11 ANSWER 32 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:180165 CAPLUS
- DN 142:443389
- TI A Simple Glycol Nucleic Acid
- AU Zhang, Lilu; Peritz, Adam; Meggers, Eric
- CS Department of Chemistry, University of Pennsylvania, Philadelphia, PA, 19104, USA
- SO Journal of the American Chemical Society (2005), 127(12), 4174-4175 CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 142:443389
- AB A glycol nucleic acid (GNA) with an acyclic propylene glycol phosphodiester backbone forms stable antiparallel duplexes following the Watson-Crick base pairing rules.
- IT 168332-12-5P 168332-14-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antiparallel duplex Watson-Crick base pairing properties of glycol nucleic acids with acyclic propylene glycol phosphodiester backbone)

- RN 168332-12-5 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



compound claims do not contain this; the reference does not teach any utility

- RN 168332-14-7 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OSC.G 56 THERE ARE 56 CAPLUS RECORDS THAT CITE THIS RECORD (56 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 33 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:735304 CAPLUS

DN 141:273528

TI Synthesis and evaluation of thymine-derived carboxamides against mitochondrial thymidine kinase (TK-2) and related enzymes

AU Priego, Eva-Maria; Balzarini, Jan; Karlsson, Anna; Camarasa, Maria-Jose; Perez-Perez, Maria-Jesus

CS Instituto de Quimica Medica (CSIC), Madrid, E-28006, Spain

SO Bioorganic & Medicinal Chemistry (2004), 12(19), 5079-5090 CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 141:273528

AB Based on the structure of the authors previously identified mitochondrial thymidine kinase (TK-2) inhibitors, three series of thymine-derived carboxamides have been synthesized and tested against TK-2 and related enzymes. The methodol. employed has been a solution-phase parallel synthesis based on the coupling of three thymine-derived acids [4-(thymin-1-yl)butyric acid, [4-(thymin-1-yl)-butyrylamino]acetic acid and 6-(thymin-1-yl)hexanoic acid] with different com. available primary amines that carry cyano and/or Ph groups. The couplings were performed in good yields (from 60% to 90%), with the exception of those that incorporate the highly crowded triphenylmethylamine (e). From the new synthesized compds., the N-trityl-6-(thymin-1-yl)hexanamide was the most active TK-2 inhibitor (IC50 = 19 $\mu \rm M$).

IT 471256-44-7 471256-52-7 757964-30-0

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(synthesis and evaluation of thymine-derived carboxamides against mitochondrial thymidine kinase (TK-2) and related enzymes)

RN 471256-44-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 471256-52-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

Me (CH₂)
$$_4$$
 – O – CPh₃ N $_{\rm H}$ O

RN 757964-30-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(2Z)-4-[(triphenylmethyl)amino]-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

TT 757964-43-5P 757964-44-6P 757964-45-7P 757964-53-7P 757964-54-8P 757964-55-9P

757964-56-0P 757964-57-1P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and evaluation of thymine-derived carboxamides against mitochondrial thymidine kinase (TK-2) and related enzymes)

RN 757964-43-5 CAPLUS

CN 1(2H)-Pyrimidinebutanamide, N-(cyanophenylmethyl)-3,4-dihydro-5-methyl-2,4-dioxo- (CA INDEX NAME)

Me (CH₂)₃-C-NH-CH-CN
$$\begin{array}{c|c}
O & Ph \\
|| & | \\
| & | \\
O & N \\
N & O
\end{array}$$

RN 757964-44-6 CAPLUS

CN 1(2H)-Pyrimidinebutanamide, N-(diphenylmethyl)-3,4-dihydro-5-methyl-2,4-dioxo- (CA INDEX NAME)

Me (CH₂)₃-C-NH-CHPh₂

$$\begin{array}{c|c}
O \\
\parallel \\
O \\
N \\
O \\
H
\end{array}$$

RN 757964-45-7 CAPLUS

CN 1(2H)-Pyrimidinebutanamide, 3,4-dihydro-5-methyl-2,4-dioxo-N-(triphenylmethyl)- (CA INDEX NAME)

RN 757964-53-7 CAPLUS

CN 1(2H)-Pyrimidinehexanamide, N-(cyanophenylmethyl)-3,4-dihydro-5-methyl-2,4-dioxo- (CA INDEX NAME)

Me (CH₂)
$$_{5}$$
 - C-NH-CH-CN

RN 757964-54-8 CAPLUS

CN 1(2H)-Pyrimidinehexanamide, N-(diphenylmethyl)-3,4-dihydro-5-methyl-2,4-dioxo- (CA INDEX NAME)

RN 757964-55-9 CAPLUS

CN 1(2H)-Pyrimidinehexanamide, 3,4-dihydro-5-methyl-2,4-dioxo-N-(triphenylmethyl)- (CA INDEX NAME)

Me (CH₂)
$$_{5}$$
 - C-NH-CPh₃

RN 757964-56-0 CAPLUS

CN 4-Hexenamide, N-(cyanophenylmethyl)-6-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-, (4E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 757964-57-1 CAPLUS

CN 4-Hexenamide, 6-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-N-(diphenylmethyl)-, (4E)- (CA INDEX NAME)

Double bond geometry as shown.

IT 757964-37-7P 757964-38-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and evaluation of thymine-derived carboxamides against mitochondrial thymidine kinase (TK-2) and related enzymes)

RN 757964-37-7 CAPLUS

CN 4-Hexenoic acid, 6-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-, 1,1-dimethylethyl ester, (4E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 757964-38-8 CAPLUS

CN 1(2H)-Pyrimidinehexanoic acid, 3,4-dihydro-5-methyl-2,4-dioxo-, 1,1-dimethylethyl ester (CA INDEX NAME)

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 34 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:528258 CAPLUS
- DN 141:256265
- TI De Novo Design of Peptides with $L-\alpha-Nucleobase$ Amino Acids and Their Binding Properties to the P22 boxB RNA and Its Mutants
- AU Miyanishi, Hideo; Takahashi, Tsuyoshi; Mihara, Hisakazu
- CS Department of Bioengineering, Graduate School of Bioscience and Biotechnology, Tokyo Institute of Technology, Yokohama, 226-8501, Japan
- SO Bioconjugate Chemistry (2004), 15(4), 694-698 CODEN: BCCHES; ISSN: 1043-1802
- PB American Chemical Society
- DT Journal
- LA English
- AB A method to design novel mols. that specifically recognize a structured RNA would be a promising tool for the development of drugs or probes targeting RNA. In this study, the de novo design of the α -helical peptides having L- α -amino acids with nucleobases (nucleobase amino acids, NBAs) was carried out. Binding affinities of the peptides for a hairpin RNA derived from P22 phage were dependent on the types and positions of the NBA units they have. Some NBA peptides bound to the wild-type RNA or its mutant with high affinity and high specificity compared with the native P22 N peptide. These results indicate that the NBA units on the peptides interact with the RNA bases in a specific manner. It is demonstrated that the de novo design of peptides with the NBA units is an effective way to construct novel RNA-binding mols.
- IT 756527-81-8 756527-85-2
 - RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 - (nucleobase amino acid-containing peptide model; α -helical peptide analogs with L- α -nucleobase amino acids design and binding properties to P22 boxB hairpin RNA and its mutants)
- RN 756527-81-8 CAPLUS
- CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-(αS)-α,2-diamino-1,6-dihydro-6-oxo-9H-purine-9-butanoyl-L-alanyl-L-arginyl-(αS)-α-amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-alanyl-L-alanyl-L-arginyl-(αS)-α,2-diamino-1,6-dihydro-6-oxo-9H-purine-9-butanoyl-L-arginyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$H_{2N}$$
 H_{2N}
 H

RN 756527-85-2 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl- (αS) - α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-alanyl-L-arginyl- (αS) - α ,2-diamino-1,6-dihydro-6-oxo-9H-purine-9-butanoyl-L-alanyl-L-arginyl-L-arginyl- (αS) - α ,2-diamino-1,6-dihydro-6-oxo-9H-purine-9-butanoyl-L-arginyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 35 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:396295 CAPLUS

DN 141:106690

TI Syntheses of novel modified acyclic purine and pyrimidine nucleosides as potential substrates of herper simplex virus type-1 thymidine kinase for monitoring gene expression

AU Grote, Michaela; Noll, Steffi; Noll, Bernhard; Johannsen, Bernd; Kraus, Werner

CS Institut fuer Bioanorganische und Radiopharmazeutische Chemie, Forschungszentrum Rossendorf, Dresden, 01314, Germany

SO Canadian Journal of Chemistry (2004), 82(4), 513-523 CODEN: CJCHAG; ISSN: 0008-4042

PB National Research Council of Canada

DT Journal

LA English

OS CASREACT 141:106690

AΒ Suicide gene therapy with the herpes simplex virus type-1 thymidine kinase gene (HSV-1 tk) is considered to be a promising approach to the treatment of cancer. Making use of the lower specificity of the viral enzyme compared to human thymidine kinase, the therapy involves the administration of antiviral agents (e.g., ganciclovir) as prodrugs to induce enzymic cell death in those cells that express the transferred gene. 18F-labeled derivs. have been described for monitoring location, duration, and magnitude of the viral kinase enzyme activity by positron emission tomog. (PET). Since an optimal radiotracer has not been developed, novel substances were synthesized for monitoring gene expression. A group of 13 nucleoside analogs were synthesized, among them N1-methyl-9-[(1,3-dihydroxy-2-propoxy)methyl]guanine and N1-methyl-9-[(4-hydroxy)-3-hydroxymethylbutyl]guanine as Me analogs of ganciclovir and penciclovir and their related fluoro compds. Further novel derivs. include N6-methyl-9-[(1,3-dihydroxy-2-propoxy)methyl]-, N6-methyl-9-[(4-hydroxy)-3-hydroxymethylbutyl] adenine, as well as the uracil derivs. 5-hydroxy-1-[(1,3-dihydroxy-2-propoxy)methyl]uracil, 6-methyl-1-[(1,3-dihydroxy-2-propoxy)-methyl]uracil, and its 3-fluoro-derivative

IT 718633-26-2P 718633-27-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of novel modified acyclic purine and pyrimidine nucleosides as potential substrates of HSV-1 thymidine kinase for monitoring gene expression)

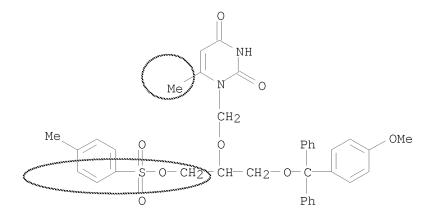
RN 718633-26-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-hydroxy-1-[[(4-methoxyphenyl)diphenylmethoxy]methyl]ethoxy]methyl]-6-methyl- (CA INDEX NAME)

RN 718633-27-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[1-[[(4-

methoxyphenyl)diphenylmethoxy]methyl]-2-[[(4methylphenyl)sulfonyl]oxy]ethoxy]methyl]-6-methyl- (CA INDEX NAME)



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 36 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:958246 CAPLUS

DN 140:199626

TI Synthesis and stability of oligonucleotides containing acyclic achiral nucleoside analogues with two base moieties

AU Wu, Tongfei; Froeyen, Matheus; Schepers, Guy; Mullens, Kristof; Rozenski, Jef; Busson, Roger; Van Aerschot, Arthur; Herdewijn, Piet

CS Laboratory of Medicinal Chemistry, Rega Institute for Medicinal Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.

SO Organic Letters (2004), 6(1), 51-54 CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society

DT Journal

LA English

OS CASREACT 140:199626

AB Nucleotide building blocks with two base moieties were synthesized and incorporated into oligonucleotides. One of the two bases is involved in base pairing within the double helix, while the other base is sticking out of the minor groove. This system may be used for presenting sequence information at the outside of the helix.

IT 650619-73-1P 650619-75-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and stability of oligonucleotides containing acyclic achiral nucleoside analogs with two base moieties)

RN 650619-73-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[2-(hydroxymethyl)-2-[[(4-methoxyphenyl)diphenylmethoxy]methyl]-1,3-propanediyl]bis[3-benzoyl-5-methyl- (9CI) (CA INDEX NAME)

RN 650619-75-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[2-(hydroxymethyl)-2-[[(4-methoxyphenyl)diphenylmethoxy]methyl]-1,3-propanediyl]bis[5-methyl- (9CI) (CA INDEX NAME)

OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 37 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2003:828740 CAPLUS
- DN 139:381735
- TI Complementary nucleobase interaction enhances peptide-peptide recognition and self-replicating catalysis
- AU Matsumura, Sachiko; Takahashi, Tsuyoshi; Ueno, Akihiko; Mihara, Hisakazu
- CS Department of Bioengineering, Graduate School of Bioscience and Biotechnology, Tokyo Institute of Technology, Yokohama, 226-8501, Japan
- SO Chemistry--A European Journal (2003), 9(19), 4829-4837 CODEN: CEUJED; ISSN: 0947-6539
- PB Wiley-VCH Verlag GmbH & Co. KGaA
- DT Journal
- LA English
- OS CASREACT 139:381735
- The availability of the complementary interaction of nucleobases for AΒ influencing the formation of peptide architectures was explored. Nucleobases were incorporated as addnl. recognition elements in coiled-coil peptides by employing nucleobase amino acids (NBAs), which are artificial L- α -amino γ -nucleobase-butyric acids. The effect of the base-pair interaction on intermol. recognition between peptides was evaluated through a self-replication reaction. The self-replication reactions of the peptides with complementary base pairs such as thymine-adenine or quanine-cytosine at the g-g' heptad positions were accelerated in comparison with those of the peptides with mismatched base pairs or without nucleobases. However, thymine-adenine pairs at the e-e' positions did not enhance the self-replication. In the presence of a denaturant, the enhancement effects of complementary base pairs on the reaction disappeared. Thermal denaturation studies showed that the thymine-adenine pairs contributed to stabilization of the coiled-coil structure and that the pairs at the g-g' positions were more effective than those at the e-e' positions. The peptide-peptide interaction was reinforced by complementary nucleobase interactions appropriately arranged in the peptide structure; these led to acceleration of the self-replication reactions.
- IT 623950-35-6P 623950-38-9P
 - RL: CAT (Catalyst use); CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); USES (Uses)
 - (effect of complementary nucleobase interaction on peptide-peptide recognition and self-replicating catalysis)
- RN 623950-35-6 CAPLUS
- CN L-Alaninamide, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-L-glutaminyl-L-leucyl-L-alanyl-L-leucyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-lysyl-L-glutaminyl-L-leucyl-L-alanyl-L-cysteinyl-L-leucyl-(α S)- α ,6-diamino-9H-purine-9-butanoyl-L-lysyl-L-glutaminyl-L-leucyl-L-alanyl-L-alanyl-L-glutaminyl-L-leucyl-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

0

PAGE 3-A

RN 623950-38-9 CAPLUS

 $\begin{array}{lll} {\tt CN} & {\tt L-Alaninamide, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-L-glutaminyl-L-leucyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-k} \\ & {\tt Solution} \\ & {\tt CN} & {\tt L-Alaninamide, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-k} \\ & {\tt L-Alaninamide, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-k} \\ & {\tt L-Alaninamide, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-k} \\ & {\tt L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-k} \\ & {\tt L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-k} \\ & {\tt L-Alaninamide, N-acetyl-L-alanyl-L-a$

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 2-A

PAGE 3-B

IT 623950-41-4P

RL: CAT (Catalyst use); CPS (Chemical process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); USES (Uses)

(effect of complementary nucleobase interaction on peptide-peptide

recognition and self-replicating catalysis)

RN 623950-41-4 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-L-glutaminyl-L-leucyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-(α S)- α ,6-diamino-9H-purine-9-butanoyl-L-leucyl-L-alanyl-L-cysteinyl-L-leucyl-L-glutaminyl-L-lysyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-L-glutaminyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

H₂N

PAGE 1-C

PAGE 3-A



IT 623950-33-4P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(effect of complementary nucleobase interaction on peptide-peptide recognition and self-replicating catalysis)

RN 623950-33-4 CAPLUS

CN L-Alanine, N2-acetyl-L-lysyl-L-leucyl-L-tyrosyl-L-alanyl-L-leucyl-L-lysyl-L- α -glutamyl- (αS) - α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-leucylglycyl-L-alanyl-L-leucyl-L-lysyl-L- α -glutamyl- (αS) - α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-leucylthio-,17-S-(3-ethoxy-3-oxopropyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Me_

PAGE 1-B

PAGE 1-C

IT 623950-36-7P 623950-39-0P 623950-43-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(effect of complementary nucleobase interaction on peptide-peptide recognition and self-replicating catalysis)

RN 623950-36-7 CAPLUS

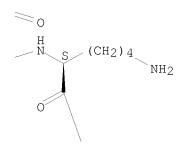
CN L-Alanine, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-L-glutaminyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-lysyl-L-glutaminyl-L-leucylthio-, S-(3-ethoxy-3-oxopropyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

__Bu−i



PAGE 2-A

PAGE 2-B

RN 623950-39-0 CAPLUS

CN L-Alanine, N-acetyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-L-glutaminyl-L-leucyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-leucylthio-,S-(3-ethoxy-3-oxopropyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 2-A

RN 623950-43-6 CAPLUS

CN L-Alaninamide, L-cysteinyl-L-leucyl-L-glutaminyl-L-lysyl-(αS)-α-amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-glutaminyl-L-lysyl-L-glutaminyl-L-leucyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-A

OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
RE.CNT 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 38 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:755804 CAPLUS

DN 140:271121

TI Acyclic, achiral enamide nucleoside analogues. The importance of the C:C bond in the analogue for its ability to mimic natural nucleosides

AU Petersen, Asger B.; Petersen, Michael Ae.; Henriksen, Ulla; Hammerum, Steen; Dahl, Otto

CS Department of Chemistry, The H. C. Orsted Institute, University of Copenhagen, Copenhagen, DK-2100, Den.

SO Organic & Biomolecular Chemistry (2003), 1(19), 3293-3296 CODEN: OBCRAK; ISSN: 1477-0520

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 140:271121

AB The conformations of an acyclic, achiral enamide thymidine analog I have been studied by model building and geometry calcns., as well as by NMR NOE and UV expts. The results indicate that there are no significant barriers to rotation around any of the σ bonds, in particular the N1-C1' enamide bond, and that the analog should be able to accommodate conformations that mimic the conformations of natural nucleosides in A-and B-type helixes quite well. For comparison the saturated analog II has been prepared and built into oligonucleotides. It is shown that incorporation of II in oligonucleotides results in a much larger depression of the melting temperature (ΔTm -10 to -12.5 °C) than does incorporation of I (ΔTm -5 to -6.5 °C).

IT 666237-25-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and phosphitylation of; importance of the C:C bond in an acyclic, achiral enamide nucleoside analog for its ability to mimic natural nucleosides)

RN 666237-25-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-(hydroxymethyl)propyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c} Ph & HO-CH_2 & O & H \\ C-O-CH_2-CH-CH_2-N & Me \\ \\ MeO & OMe \\ \end{array}$$

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 39 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN
    2003:356095 CAPLUS
    138:338411
DN
    Preparation of oligonucleotide labeling reactants based on acyclic
TΙ
    nucleosides and conjugates derived thereof
IN
    Hovinen, Jari
PA
    Wallac Ov, Finland
SO
    Eur. Pat. Appl., 31 pp.
    CODEN: EPXXDW
DT
    Patent
LA
    English
FAN.CNT 1
                   KIND DATE
                                       APPLICATION NO.
    PATENT NO.
    _____
                      ____
                              _____
                                         _____
    EP 1308452
                       A2
                              20030507
                                        EP 2002-396153
                                                               20021010
РΤ
    EP 1308452
                       А3
                              20041027
    EP 1308452
                       В1
                             20080319
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
    US 20030118999 A1 20030626
                                         US 2001-985454
                                                                20011102
    US 7282581
                        В2
                              20071016
    AT 389663
                        Τ
                                         AT 2002-396153
                              20080415
                                                                20021010
PRAI US 2001-985454 A
                              20011102
    The invention relates to a labeling reactant of formula I useful for
    labeling an oligonucleotide wherein: R is a temporary protecting group; A
    is either a phosphorylating moiety or a solid support tethered to Z via a
    linker arm E; Z is a bridge point; E is a linker arm between R and Z; E1
    is a linker arm between Z and Z1; E2 is a linker arm between Z and A; E3
    is a linker arm between Z1 and G; Z1 is a purine or pyrimidine base; G is
    a protected bivalent aromatic structure, tethered to two iminodiacetic acid
    ester, protected functional group, protected or unprotected organic dye,
    hapten or a spin label. The invention also relates to a labeling reactant
    analogous to the one defined above useful for labeling an oligo- or
    polynucleotide using polymerases. The invention further relates to an
    oligonucleotide or polynucleotide conjugate that can be synthesized using
    said reactants. Thus, (S)-1-[3-(4,4'-dimethoxytrityl-2,3-dihydroxypropyl)-
    3-O-(2-cyanoethyl-N, N-diisopropyl)phosphoramidato]-3-(N6-
    trifluoroacetamidohexyl)uracil was prepared
ΙT
    494784-04-2P
                     494784-07-5P
                                     518027-18-4P
    518027-22-0P
    RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
    preparation); PREP (Preparation); RACT (Reactant or reagent)
       (preparation of oligonucleotide labeling reactants based on acyclic
       nucleosides and conjugates derived thereof)
RN
    494784-04-2 CAPLUS
    2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-
CN
    2-hydroxypropyl]-3-(5-hexyn-1-yl)- (CA INDEX NAME)
```

RN 494784-07-5 CAPLUS

CN Glycine, N,N'-[[4-[6-[3-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidinyl]-1-hexynyl]-2,6-pyridinediyl]bis(methylene)]bis[N-(2-methoxy-2-oxoethyl)-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 518027-18-4 CAPLUS

CN Acetamide, N-[6-[3-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidinyl]hexyl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 518027-22-0 CAPLUS

CN Glycine, N,N'-[[4'-[4-[6-[3-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidinyl]-1-hexynyl]phenyl][2,2':6',2''-terpyridine]-6,6''-diyl]bis(methylene)]bis[N-(2-methoxy-2-oxoethyl)-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

OSC.G THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS) 2

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 40 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2003:78918 CAPLUS
- DN 139:143325
- TI Non-nucleoside inhibitors of mitochondrial thymidine kinase (TK-2) differentially inhibit the closely related herpes simplex virus type 1 TK and Drosophila melanogaster multifunctional deoxynucleoside kinase
- AU Balzarini, Jan; Hernandez, Ana-Isabel; Roche, Philippe; Esnouf, Robert; Karlsson, Anna; Camarasa, Maria-Jose; Perez-Perez, Maria-Jesus
- CS Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, Belg.
- SO Molecular Pharmacology (2003), 63(2), 263-270 CODEN: MOPMA3; ISSN: 0026-895X
- PB American Society for Pharmacology and Experimental Therapeutics
- DT Journal
- LA English
- AΒ 5'-O-Trityl derivs. of thymidine (dThd), (E)-5-(2-bromoviny1)-2'-deoxyuridine (BVDU), and their acyclic analogs 1-[(Z)-4-triphenylmethoxy-2-butenyl]thymine (KIN-12) and (E)-5-(2-bromoviny1)-1-[(Z)-4-triphenylmethoxy-2-buteny1]uracil (KIN-52)have been synthesized and evaluated for their inhibitory activity against the amino acid sequence related mitochondrial dThd kinase (TK-2), herpes simplex virus type 1 (HSV-1) TK, and Drosophila melanogaster multifunctional 2'-deoxynucleoside kinase (Dm-dNK). Several compds. proved markedly inhibitory to these enzymes and represent a new generation of nucleoside kinase inhibitors. KIN-52 was the most potent and selective inhibitor of TK-2 (IC50, 1.3 μM ; Ki, 0.50 μM ; Ki/Km, 0.37) but was not inhibitory against HSV-1 TK and Dm-dNK at 100 μM . As found for the alternative substrate BVDU, the tritylated compds. competitively inhibited the three enzymes with respect to dThd. However, whereas BVDU behaved as a noncompetitive inhibitor (alternative substrate) of TK-2 and HSV-1 TK with respect to ATP as the varying substrate, the novel tritylated enzyme inhibitors emerged as reversible purely uncompetitive inhibitors of these enzymes. Computer-assisted modeling studies are in agreement with these findings. The tritylated compds. do not act as alternative substrates and they showed a type of kinetics against the nucleoside kinases different from that of BVDU. KIN-12, and particularly KIN-52, are the very first non-nucleoside specific inhibitors of TK-2 reported and may be useful for studying the physiol. role of the mitochondrial TK-2 enzyme.
- IT 471256-44-7 471256-50-5
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (non-nucleoside inhibitors of mitochondrial thymidine kinase (TK-2) differentially inhibit the closely related herpes simplex virus type 1 TK and Drosophila melanogaster multifunctional deoxynucleoside kinase)
- RN 471256-44-7 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-y1]- (CA INDEX NAME)

Double bond geometry as shown.

RN 471256-50-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-hydroxy-4-(triphenylmethoxy)butyl]-5methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ \text{Me} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CH}\text{--}\text{CH}_2\text{--}\text{O}\text{--}\text{CPh}_3 \\ \\ \text{O} & \text{N} & \text{O} \end{array}$$

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 41 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:12245 CAPLUS

DN 138:255000

TI Synthesis and antiviral activity of novel exomethylene cyclopropyl pyrimidine nucleosides

AU Kook, Min Chul; Kim, Gu; Kwak, Eun Yee; Hong, Joon Hee; Lee, Chong Kyo; Choi, Bo Gil

CS Department of Medicinal Chemistry, College of Pharmacy, Chonnam National University, Kwangju, 500-757, S. Korea

SO Archives of Pharmacal Research (2002), 25(6), 790-794 CODEN: APHRDQ; ISSN: 0253-6269

PB Pharmaceutical Society of Korea

DT Journal

LA English

OS CASREACT 138:255000

AB A series of novel exomethylene cyclopropyl nucleosides, e.g. I, were synthesized starting from Feist's acid. Classical nucleophilic substitution conditions (K2CO3, 18-crown-6) of the tosylate as well as Mitsunobu reaction (DEAD, PPh3) of alcs. with pyrimidine bases afforded a series of novel cyclopropyl nucleosides. Compound I displayed moderate anti-HBV activity without any cytotoxicity up to 100 $\mu \rm M$.

IT 502614-51-9P 502614-53-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(synthesis and antiviral activity of novel exomethylene cyclopropyl pyrimidine nucleosides)

RN 502614-51-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2R)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-3-methylenecyclopropyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 502614-53-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2R)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-3-methylenecyclopropyl]methyl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 42 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2002:977465 CAPLUS

DN 138:153760

TI An Expeditious and Efficient Procedure for the Synthesis of Unsaturated Acyclonucleosides of Z Configuration Related to D4T

AU Bravo, Fernando; Viso, Antonio; Castillon, Sergio

CS Departament de Quimica Analitica i Quimica Organica, Facultat de Quimica, Universitat Rovira i Virgili, Tarragona, 43005, Spain

SO Journal of Organic Chemistry (2003), 68(3), 1172-1175 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 138:153760

AB Enantiopure 2,5-dihydrofuran derivs. were prepared from (S)-glycidol through a new reaction sequence involving epoxide opening with a vinylcuprate, selenium-induced cyclization to give exclusively the 5-endo product, and regioselective selenoxide elimination. Unsatd. acyclo-nucleosides of Z configuration were obtained in a straightforward manner by treating 2,5-dihydrofuran with iodotrimethylsilane in the presence of silylated purinic or pyrimidinic bases. This synthetic process involves opening of the dihydrofuran ring by trimethylsilyl iodide and substitution of iodine by the nucleic base in a single reaction step.

IT 494834-50-3P

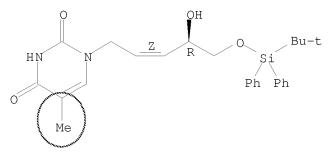
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of unsatd. acyclonucleosides of Z configuration related to D4T from (S)-glycidol via epoxide opening, cyclization, and regioselective elimination reactions)

RN 494834-50-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2Z,4R)-5-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-4-hydroxy-2-penten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 43 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2002:831342 CAPLUS

DN 138:298260

TI Oligonucleotide conjugates based on acyclonucleosides and their use in DNA hybridization assays

AU Hakala, Harri; Ollikka, Pia; Degerholm, Jenni; Hovinen, Jari

CS PerkinElmer Life Sciences, Turku, FIN-20101, Finland

SO Tetrahedron (2002), 58(43), 8771-8777 CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

AB Synthesis of two oligonucleotide building blocks based on acyclonucleosides (10, 11) which enable the introduction of several nonluminescent and luminescent lanthanide(III) chelates to the oligonucleotide structure is described. They were used in an instrument-assisted DNA synthesis in a standard manner. A modified deprotection procedure was used to ensure metal complexation. Also the applicability of these oligonucleotide conjugates to DNA hybridization assays is demonstrated.

IT 494784-04-2P 494784-07-5P 494784-09-7P

494784-12-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oligonucleotide conjugates based on acyclonucleosides and their use in DNA hybridization assays)

RN 494784-04-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-3-(5-hexyn-1-yl)- (CA INDEX NAME)

Absolute stereochemistry.

R10 is not -OH in compound claim 14

RN 494784-07-5 CAPLUS

CN Glycine, N,N'-[[4-[6-[3-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidinyl]-1-hexynyl]-2,6-pyridinediyl]bis(methylene)]bis[N-(2-methoxy-2-oxoethyl)-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 494784-09-7 CAPLUS

CN Glycine, N,N'-[[4'-[6-[3-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidinyl]-1-hexynyl][2,2':6',2''-terpyridine]-6,6''-diyl]bis(methylene)]bis[N-(2-methoxy-2-oxoethyl)-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-A

RN 494784-12-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 44 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:610836 CAPLUS
- DN 137:311143
- TI Acyclic Nucleoside Analogs as Novel Inhibitors of Human Mitochondrial Thymidine Kinase
- AU Hernandez, Ana-Isabel; Balzarini, Jan; Karlsson, Anna; Camarasa, Maria-Jose; Perez-Perez, Maria-Jesus
- CS Instituto de Quimica Medica (CSIC), Madrid, 28006, Spain
- SO Journal of Medicinal Chemistry (2002), 45(19), 4254-4263 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 137:311143
- Acyclic nucleoside analogs of 5'-O-tritylthymidine have been synthesized AΒ and evaluated as potential human mitochondrial thymidine kinase (TK-2) inhibitors. In this series, the sugar moiety of the parent 5'-O-tritylthymidine has been replaced by aliphatic chains including (E)- and (Z)-butenol, butynol, or butanol. Among them the (Z)-butenyl derivative [I, Q]= (Z)-CH:CH] showed an IC50 against TK-2 of 1.5 μ M, being 1 order of magnitude more potent than the parent 5'-O-tritylthymidine. This lead compound has been further modified by replacing the thymine base by other pyrimidine bases such as 5-iodouracil, 5-ethyluracil, 5-methylcytosine, 3-N-methylthymine, or 5,6-dihydrothymine, as well as by the purine base guanine. The trityl group has also been replaced by different aliphatic and aromatic acyl moieties including tert-butylacetyl, hexanoyl, decanoyl, and diphenylacetyl moieties. The evaluation of the compds. against TK-2 and the phylogenetically close HSV-1 TK has shown that the base moiety plays a crucial role in their interaction against these pyrimidine nucleoside kinases. Also, the presence of a lipophilic substituent, preferentially an aromatic moiety such as diphenylmethyl or triphenylmethyl, is required for efficient TK-2 inhibition. Whereas some compds. showed marked specificity for either TK-2 (i.e, the 5,6-dihydrothymine derivative) or HSV-1 TK [i.e., the butynyl derivative, I (Q = C.tplbond.C)], some others, including the (Z)-and (E)-butenyl derivs., showed significant inhibition against both enzymes. They also proved to be inhibitory against HSV-1 TK in intact human osteosarcoma cells that were transduced with the HSV-1 TK gene.
- IT 471256-44-7P
 - RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 - (acyclic nucleoside analogs of 5'-0-tritylthymidine as inhibitors of human mitochondrial thymidine kinase)
- RN 471256-44-7 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

IT 471256-47-0P 471256-50-5P 471256-51-6P 471256-52-7P 471256-69-4P 471256-60-7P 471256-61-8P 471256-64-1P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (acyclic nucleoside analogs of 5'-O-tritylthymidine as inhibitors of human mitochondrial thymidine kinase)

RN 471256-47-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(2E)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 471256-50-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-hydroxy-4-(triphenylmethoxy)butyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ \text{Me} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CH--}\text{CH}_2\text{--}\text{O}\text{--}\text{CPh}_3 \\ \text{N} & \text{O} & \text{H} & \text{O} \end{array}$$

RN 471256-51-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,3R)-2,3-dihydroxy-4-(triphenylmethoxy)butyl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 471256-52-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[4-(triphenylmethoxy)butyl]- (CA INDEX NAME)

RN 471256-59-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3,5-dimethyl-1-[(2Z)-4-(triphenylmethoxy)-2-buten-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 471256-60-7 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-buten-1-yl ester (CA INDEX NAME)

RN 471256-61-8 CAPLUS

CN Butanoic acid, 3,3-dimethyl-, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-

pyrimidinyl)-2-buten-1-yl ester (CA INDEX NAME)

Me
$$CH_2-CH=CH-CH_2-O-C-CH_2-CMe_3$$

RN 471256-64-1 CAPLUS

CN Benzeneacetic acid, α -phenyl-, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-buten-1-yl ester (CA INDEX NAME)

OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 45 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
      2002:595034 CAPLUS
AN
      137:151580
DN
      Oligonucleotide analogs containing linked bases, methods for their
ΤI
      synthesis, and their use in modulating gene expression and treatment of
      diseases
ΙN
      Segev, David
      Bio-Rad Laboratories, Inc., USA
PA
      PCT Int. Appl., 148 pp.
      CODEN: PIXXD2
DT
      Patent
T.A
      English
FAN.CNT 1
                             KIND DATE
                                                     APPLICATION NO.
      PATENT NO.
                                                                                  DATE
                              ____
                                                       _____

      WO 2002061110
      A2
      20020808

      WO 2002061110
      A3
      20030206

                                                      WO 2002-IL83
                                                                                   20020129
РΤ
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

                GN, GQ, GW, ML, MR, NE, SN, TD, TG
      CA 2436665
                          A1 20020808 CA 2002-2436665
                                                                                     20020129
      AU 2002230058
                               A1 20020812
                                                      AU 2002-230058
                                                                                     20020129
      AU 2002230058
                              B2 20051117
      US 20030191074
                               A1 20031009
                                                      US 2002-57928
                                                                                     20020129
      US 7034131
                               B2 20060425
                               A2 20031126
      EP 1363640
                                                      EP 2002-711178
                                                                                     20020129
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      JP 2004537503
                          T
                                      20041216 JP 2002-561045
                                                                                     20020129
      US 20060148751
                               A1 20060706
                                                       US 2006-365928
                                                                                     20060302
      US 7348148
                               B2 20080325
US 20090005334 A1 20090101
PRAI US 2001-264308P P 20010129
US 2002-57928 A3 20020129
                                                      US 2008-71275
                                                                                     20080219
      WO 2002-IL83
                               W
                                      20020129
                               A1 20060302
      US 2006-365928
      MARPAT 137:151580
OS
AΒ
      Nucleic acid and oligonucleotide analogs containing nucleobases attached to
      chiral carbons in the backbone and containing ≥1 paris of adjacent
      nucleobases covalently linked together are disclosed. The backbone may be
      a polyether, e.g., PEG, or polyether derivs. such as
      poly(ether-thioether), poly(ether-sulfone), and poly(ether-sulfoxide).
      Linked dimer building blocks and methods for their synthesis as well as
      methods for solution or solid phase synthesis of the oligo- and
      polynucleotide analogs are disclosed. The analogs may be used to modulate gene expression and to treat diseases. Thus, the solution phase and solid
      phase synthesis of PEG-linked oligo-T was demonstrated. The synthesis of
      a thymidine-linked thymidine dimer with PEG backbone was also shown.
ΙT
      445377-43-5P 445377-44-6P 445377-45-7P
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445377-49-1P

445377-48-0P

445377-46-8P

Absolute stereochemistry.

RN 445377-44-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(3S)-3-(2-hydroxyethoxy)-4-(triphenylmethoxy)butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 445377-45-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(3S)-3-(2-bromoethoxy)-4-(triphenylmethoxy)butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

RN 445377-46-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(3S)-3-[2-(phenylmethoxy)ethoxy]-4-(triphenylmethoxy)butyl]-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 445377-48-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(3S,9S)-9-[2-[3,4-dihydro-5-methyl-2,4-dioxo-3-[(phenylmethoxy)methyl]-1(2H)-pyrimidinyl]ethyl]-14-phenyl-3-[(triphenylmethoxy)methyl]-4,7,10,13-tetraoxatetradec-1-yl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

PAGE 1-B

> o

RN 445377-49-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(3S)-4-[bis(4-methoxyphenyl)phenylmethoxy]-3-(2-hydroxyethoxy)butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 445377-50-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(3S)-4-[bis(4-methoxyphenyl)phenylmethoxy]-3-(2-bromoethoxy)butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 445377-54-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,1'-[(3S,9S,15S)-3-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-9-[2-[3,4-dihydro-5-methyl-2,4-dioxo-3-[(phenylmethoxy)methyl]-1(2H)-pyrimidinyl]ethyl]-15-(2-hydroxyethoxy)-4,7,10,13-tetraoxaheptadecane-1,17-diyl]bis[5-methyl-3-[(phenylmethoxy)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

Ph

OH O Ph

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 46 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:556316 CAPLUS
- DN 138:162972
- TI Synthesis of pharmacological characterization of new acyclonucleoside derivatives
- AU Krivonogov, V. P.; Kozlova, G. G.; Sivkova, G. A.; Kil'metova, I. R.; Belov, A. E.; Abdrakhmanov, I. B.; Ismagilova, A. F.; Spirikhin, L. V.; Kochurova, I. Yu.
- CS Institute of Organic Chemistry, Ufa Scientific Center, Russian Academy of Sciences, Ufa, Russia
- SO Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2002), 36(1), 7-10 CODEN: PCJOAU; ISSN: 0091-150X
- PB Kluwer Academic/Consultants Bureau
- DT Journal
- LA English
- OS CASREACT 138:162972
- AB A series of new pyrimidine derivs., acycylonucleosides, including 1,3-bis(2-hydroxy-3-chloropropyl)uracil (I), 1,3-bis(2-hydroxy-3-chloropropyl)-6-methyluracil (II), 1,3-bis(2-hydroxy-3-levomycetinopropyl)uracil)(III), 1,3-bis(2-hydroxy-3-levomycetinopropyl)-6-methyluracil (IV), 1,3,-bis(2-hydroxy-3-piperidinopropyl)uracil(V), and 1,3-bis(2-hydroxy-3-piperidinopropyl)-6-methyluracil (VI) was synthesized and characterized. The lethal dosed, LD50 values of compds. IV-VI upon i.p. administration were 5500.0±125.0, 3800.0±150.0, and 4000.0±54.4 mg/kg, resp. Compds. IV and V did not change, while VI and
 - 1.p. administration were 5500.0±125.0, 3800.0±150.0, and 4000.0±54.4 mg/kg, resp. Compds. IV and V did not change, while VI and hydroxymethyluracil (HMU) inhibited the delay type hypersensitivity reaction. Compds. IV and VI stimulated the production of splenic antibody-forming cells upon immunization with goat erythrocytes, the effects being more pronounced compared to that of HMU and levomycetin. On the model of carrageenan-induced inflammation, compds. IV and VI considerably inhibited edema growth.
- IT 497162-76-2P
 - RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (synthesis and pharmacol. characterization of new acyclonucleoside derivs.)
- RN 497162-76-2 CAPLUS
- CN Acetamide, N,N'-[(6-methyl-2,4-dioxo-1,3(2H,4H)-pyrimidinediyl)bis(2-hydroxy-3,1-propanediyl)]bis[2,2-dichloro-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

IT 497162-75-1P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and pharmacol. characterization of new acyclonucleoside derivs.)

RN 497162-75-1 CAPLUS

CN Acetamide, N,N'-[(2,4-dioxo-1,3(2H,4H)-pyrimidinediyl)bis(2-hydroxy-3,1-propanediyl)]bis[2,2-dichloro-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 47 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
     2002:136067 CAPLUS
AN
DN
     136:179042
     Poly(ether-thioether)-, poly(ether-sulfoxide)-, and poly(ether-sulfone)
TI
     nucleic acids, their synthesis and use in medicine and biochemistry
IN
     Segev, David
PA
     Bio-Rad Laboratories, Inc., USA
     U.S., 46 pp., Cont.-in-part of U.S. Ser. 384,995, abandoned.
SO
     CODEN: USXXAM
DT
     Patent
     English
LA
FAN.CNT 2
     PATENT NO.
                         KIND DATE
                                             APPLICATION NO.
                                                                       DATE
                         ____
                                  _____
                                               _____
     US 6348583
CA 2382631
                          В1
                                  20020219
                                              US 1999-411862
                                                                       19991004
РΤ
                                             US 1999-4110
CA 2000-2382631
                          A1
                                  20010308
                                                                        20000721
     WO 2001016365
                          A1
                                  20010308 WO 2000-IL432
                                                                        20000721
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1208234
                           A1 20020529 EP 2000-946256
                                                                        20000721
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL
     JP 2003508062
                           Т
                                20030304 JP 2001-520910
                                                                        20000721
                           В2
     AU 769619
                                 20040129
                                               AU 2000-60126
                                                                        20000721
PRAI US 1999-384995
                          В2
                                 19990830
     US 1999-411862
                          A
                                  19991004
     WO 2000-IL432
                           W
                                  20000721
AΒ
     A compound comprising a poly(ether-thioether), poly(ether-sulfoxide) or
     poly(ether-sulfone) backbone bearing a plurality of ligands that are
     individually bound to chiral carbon atoms located within the backbone, at
     least one of the ligands including a moiety such as a naturally occurring
     nucleobase, a nucleobase binding group; a process of synthesizing the
     compound; monomers to be used in this process and their synthesis; and
     processes for using the compound in biochem. (e.g., in hybridization) and
     medicine (e.g., as pharmaceuticals to treat diseases or viral infections)
     are disclosed.
                       328409-87-6P
ΙT
     328409-86-5P
                                          328409-88-7P
                       328409-90-1P
     328409-89-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (poly(ether-thioether)-, poly(ether-sulfoxide)-, and
        poly(ether-sulfone) nucleic acids, their synthesis and use in medicine
        and biochem.)
RN
     328409-86-5 CAPLUS
     2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-
     [[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]thio]butyl]-5-methyl- (CA
```

INDEX NAME)

RN 328409-87-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]thio]butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

RN 328409-88-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]thio]butyl]-5-methyl- (CA INDEX NAME)

RN 328409-89-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[(2-hydroxyethyl)thio]butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

RN 328409-90-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-[(methylsulfonyl)oxy]ethyl]thio]butyl]-5-methyl-3-[(phenylmethoxy)methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & CH_2-O-CH_2-Ph \\ \hline Me-S-O-CH_2-CH_2-S & O & N & O \\ \hline O & O-CH_2-CH-CH_2-CH_2-N & Me \\ \hline \\ MeO & OMe \\ \end{array}$$

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

- L11 ANSWER 48 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:70720 CAPLUS
- DN 136:310141
- TI Chemo-enzymatic synthesis of novel β -amino acids substituted by (thymin-1-yl)methyl functional group at the α -position
- AU Yokomatsu, Tsutomu; Takada, Ken; Yasumoto, Akihito; Yuasa, Yoko; Shibuya, Shiroshi
- CS School of Pharmacy, Tokyo University of Pharmacy and Life Science, Tokyo, 192-0392, Japan
- SO Heterocycles (2002), 56(1-2), 545-552 CODEN: HTCYAM; ISSN: 0385-5414
- PB Japan Institute of Heterocyclic Chemistry
- DT Journal
- LA English
- OS CASREACT 136:310141
- AB A novel β -amino acid having (thymin-1-yl)methyl functionality at the α -position I (R1 = tert-butoxycarbonyl), a useful component of α -substituted β -homoalanyl peptide nucleic acids (β 2-PNAs), was synthesized as a protected form from 2-(N3-benzoylthymin-1-yl)methyl-1,3-propanediol via enzymic desymmetrization catalyzed by lipase PS.
- IT 411235-17-1P 411235-18-2P 411235-19-3P 411235-20-6P
 - RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(chemo-enzymic synthesis of beta amino acids substituted by thyminylmethyl functional group via lipase-catalyzed resolution)

- RN 411235-17-1 CAPLUS
- CN Benzeneacetic acid, α -methoxy- α -(trifluoromethyl)-, (2S)-2-[(acetyloxy)methyl]-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)propyl ester, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 411235-18-2 CAPLUS
- CN Benzeneacetic acid, α -methoxy- α -(trifluoromethyl)-, (2S)-2-[(acetyloxy)methyl]-4-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)butyl ester, (α S)- (CA INDEX NAME)

RN 411235-19-3 CAPLUS

CN Benzeneacetic acid, α -methoxy- α -(trifluoromethyl)-, (2S)-2-[(acetyloxy)methyl]-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)propyl ester, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 411235-20-6 CAPLUS

CN Benzeneacetic acid, α -methoxy- α -(trifluoromethyl)-, (2S)-2-[(acetyloxy)methyl]-4-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)butyl ester, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

- L11 ANSWER 49 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN AN 2001:628994 CAPLUS 135:354318 DN
- TΙ In vitro stability of α -helical peptide nucleic acids (α PNAs)
- ΑU Garner, P.; Sherry, B.; Moilanen, S.; Huang, Y.
- CS Department of Chemistry, Case Western Reserve University, Cleveland, OH, 44106-7078, USA
- SO Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2315-2317 CODEN: BMCLE8; ISSN: 0960-894X
- ΡВ Elsevier Science Ltd.
- DT Journal
- LA English

RN

- AΒ $\alpha\textsc{-Helical}$ peptide nucleic acids ($\alpha\textsc{PNAs})$ are synthetic mols. that merge the α -helix secondary structure of peptides with the codified Watson-Crick base pairing capability of nucleic acids. It is now demonstrated that $\alpha PNAs$ made up of either L- or D-amino acids are resistant to degradation by the proteases present in human serum. increased stability of $\alpha PNAs$ towards proteases may be attributable to the presence of unnatural nucleoamino acid residues [-NHCH(CH2OCH2B)CO-, where B = thymine or cytosine] since the replacement of these amino acids by serine yields a control peptide that does break down in human serum. The stability of $\alpha PNAs$ towards proteases makes them attractive candidates for further development as antisense agents. 267241-35-0 373391-76-5 ΤТ
- RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(increased stability of α -helical PNAs toward serum proteases may be due to presence of unnatural amino acid residues containing T or C) 267241-35-0 CAPLUS

L-Lysinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-CN amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-Lalanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-Lseryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 373391-76-5 CAPLUS
CN D-Lysinamide, N-acetyl-S-[(acetylamino)methyl]-D-cysteinyl-D-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-D-seryl-D-alanyl-D-alanyl-D-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-D-seryl-D-alanyl-D-alanyl-D-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-D-seryl-D-alanyl-D-alanyl-D-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-D-seryl-D-alanyl-D-alanyl-D-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-D-serylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-B

O

N

HN

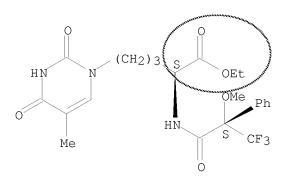
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OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

- L11 ANSWER 50 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2001:537236 CAPLUS
- DN 135:318349
- TI Synthesis by conjugate radical addition of new heterocyclic amino acids with nucleobase side chains
- AU Jones, R. C. F.; Berthelot, D. J. C.; Iley, J. N.
- CS Department of Chemistry, The Open University, Milton Keynes, MK7 6AA, UK
- SO Tetrahedron (2001), 57(30), 6539-6555 CODEN: TETRAB; ISSN: 0040-4020
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 135:318349
- AB N-(2-iodoethyl) and N-(3-iodopropyl)pyrimidines and purines undergo stereoselective conjugate radical addition with an optically active oxazolidinone acceptor to give syn-adducts that can be converted into amino acids carrying pyrimidine and purine (nucleobase) side chains.
- IT 367493-22-9P 367493-23-0P 367493-24-1P 367493-25-2P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis by conjugate radical addition of new heterocyclic amino acids with nucleobase side chains)
- RN 367493-22-9 CAPLUS
- CN 1(2H)-Pyrimidinepentanoic acid, 3,4-dihydro-5-methyl-2,4-dioxo- α -[[(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl]amino]-, ethyl ester, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



- RN 367493-23-0 CAPLUS
- CN 1(2H)-Pyrimidinehexanoic acid, 3,4-dihydro-5-methyl-2,4-dioxo- α [[(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl]amino]-, ethyl ester, (α S)- (CA INDEX NAME)

RN 367493-24-1 CAPLUS

CN 1(2H)-Pyrimidinepentanoic acid, 3,4-dihydro-2,4-dioxo- α -[[(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl]amino]-, ethyl ester, (α S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 367493-25-2 CAPLUS

CN 1(2H)-Pyrimidinehexanoic acid, 3,4-dihydro-2,4-dioxo- α -[[(2S)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl]amino]-, ethyl ester, (α S)-(CA INDEX NAME)

Absolute stereochemistry.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 51 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
     2001:314443 CAPLUS
AN
    135:122727
DN
     Construction of peptides with nucleobase amino acids. Design and synthesis
ΤI
     of the nucleobase-conjugated peptides derived from HIV-1 rev and their
     binding properties to HIV-1 RRE RNA
ΑU
     Takahashi, T.; Hamasaki, K.; Ueno, A.; Mihara, H.
     Graduate School of Bioscience and Biotechnology, Department of
CS
     Bioengineering, Tokyo Institute of Technology, Nagatsuta, Midori-Ku,
     Yokohama, 226-8501, Japan
SO
     Bioorganic & Medicinal Chemistry (2001), 9(4), 991-1000
     CODEN: BMECEP; ISSN: 0968-0896
ΡВ
    Elsevier Science Ltd.
DT
    Journal
LA
    English
    CASREACT 135:122727
OS
AΒ
     In order to develop a novel mol. that recognizes a specific structure of
     RNA, we have attempted to design peptides having L-\alpha-amino acids
     with a nucleobase at the side chain (nucleobase amino acid (NBA)),
     expecting that the function of a nucleobase which can specifically
     recognize a base in RNA is regulated in a peptide conformation. In this
     study, to demonstrate the applicability of the NBA units in the peptide to
     RNA recognition, the authors designed and synthesized a variety of
     NBA-conjugated peptides, derived from HIV-1 Rev. CD study revealed that
     the conjugation of the Rev peptide with an NBA unit did not disturb the
     peptide conformation. RNA-binding affinities of the designed peptides
     with RRE IIB RNA were dependent on the structure of the nucleobase
    moieties in the peptides. The peptide having the cytosine NBA at the
     position of the Asn40 site in the Rev showed a higher binding ability for
     RRE IIB RNA, despite the diminishing the Asn40 function. Furthermore, the
     peptide having the guanine NBA at the position of the Arg44 site, which is
     the most important residue for the RNA binding in the Rev, bound to RRE
     IIB RNA in an ability similar to Rev34-50 with native sequence. These
     results demonstrate that an appropriate NBA unit in the peptide plays an
     important role in the RNA binding with a specific contact such as hydrogen
     bonding, and the interaction between the nucleobase in the peptide and the
     base in the RNA can enhance the RNA-binding affinity and specificity.
ΙT
     350810-90-1
                     350810-99-0
                                     350811-03-9
                                     350811-31-3
     350811-17-5
                     350811-21-1
     350811-37-9
                     350811-70-0
     RL: PRP (Properties)
        (design and synthesis of the nucleobase-conjugated peptides derived
        from HIV-1 rev and their binding properties to HIV-1 RRE RNA)
     350810-90-1 CAPLUS
RN
     RNA, (G-G-C-U-G-G-U-C-U-G-G-C-G-C-A-G-C-G-U-C-A-A-U-G-A-C-G-C-U-G-A-C-G-
CN
     G-U-A-C-A-G-G-C-C-A-G-C-C), compd. with
     N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-L-glutaminyl-L-alanyl-L-
     arginyl-L-arginyl-(\alpha S)-\alpha-amino-3, 4-dihydro-5-methyl-2, 4-dioxo-
     1(2H)-pyrimidinebutanoyl-L-arginyl-L-arginyl-L-arginyl-L-
```

CM 1

CRN 350486-52-1 CMF C106 H183 N53 O27

tryptophyl-L-arginyl-L-\arginyl-L-arginyl-L-glutaminyl-L-

argininamide (1:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

CM 2

CRN 266988-79-8 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 350810-99-0 CAPLUS

CN RNA, (G-G-C-U-G-G-U-C-U-G-G-G-C-G-C-A-G-C-G-U-C-A-A-U-G-A-C-G-C-U-G-A-C-G-G-U-A-C-A-G-G-C-U-G-A-C-G-G-U-A-C-A-G-G-C-C-A-G-C-C), compd. with N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-(α S)- α -amino -3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-alanyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-

tryptophyl-L-arginyl-L- α -glutamyl-L-arginyl-L-glutaminyl-L-argininamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 350486-59-8

CMF C105 H181 N53 O27

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

$$(CH_2)_3 S N H S N S N H S N H S N H NH2$$

$$(CH_2)_3 O NH Me$$

$$(CH_2)_3 N NH NH2$$

PAGE 2-C

CM 2

CRN 266988-79-8 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 350811-03-9 CAPLUS

CN RNA, (G-G-C-U-G-G-U-C-U-G-G-G-C-G-C-A-G-C-G-U-C-A-A-U-G-A-C-G-C-U-G-A-C-G-G-U-A-C-A-G-G-C-U-G-A-C-G-G-U-A-C-A-G-G-C-C-A-G-C-C), compd. with N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-(α S)- α , 4-diamino-2-oxo-1(2H)-pyrimidinebutanoyl-L-alanyl-L-arginyl-L-arginyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-tryptophyl-L-

 $arginyl-L-\alpha-glutamyl-L-arginyl-L-glutaminyl-L-argininamide$ (1:1)

(9CI) (CA INDEX NAME)

CM 1

CRN 350486-63-4

CMF C109 H185 N55 O27

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-C

PAGE 3-A

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CM
           2
          266988-79-8
     CRN
     CMF
           Unspecified
     CCI
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
     350811-17-5 CAPLUS
RN
     RNA, (G-G-C-U-G-G-U-C-U-G-G-A-C-G-C-A-G-C-G-U-C-A-A-U-G-A-C-G-C-U-G-A-C-G-
CN
     G-U-A-C-A-G-G-C-C-A-G-C-C), compd. with
     N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-(\alphaS)-\alpha, 4-
     diamino-2-oxo-1(2H)-pyrimidinebutanoyl-L-alanyl-L-arginyl-L-arginyl-
     (\alpha S) - \alpha - \text{amino} - 3, 4 - \text{dihydro} - 5 - \text{methyl} - 2, 4 - \text{dioxo} - 1 (2H) -
     pyrimidinebutanoyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-tryptophyl-L-
     arginyl-L-\alpha-glutamyl-L-arginyl-L-glutaminyl-L-argininamide (1:1)
     (9CI) (CA INDEX NAME)
     CM
           1
     CRN 350486-63-4
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Absolute stereochemistry.

CMF C109 H185 N55 O27

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 3-A

CM 2

CRN 271756-46-8

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 350811-21-1 CAPLUS

CN RNA, (G-G-C-U-G-G-U-C-U-G-G-G-C-G-C-A-G-C-G-U-C-A-A-U-G-A-C-G-C-U-G-A-C-G-G-U-A-C-A-G-G-C-U-G-A-C-G-G-U-A-C-A-G-C-C-A-G-C-C), compd. with N-(3-carboxy-1-oxopropyl)-L-threonyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-glutaminyl-L-alanyl-L-arginyl-L-

CM 1

CRN 350486-71-4

CMF C104 H177 N51 O28

PAGE 1-A

PAGE 2-C

CM 2

CRN 266988-79-8 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 350811-31-3 CAPLUS

CN RNA, (G-G-C-U-G-G-U-C-U-G-G-G-C-G-C-A-G-C-G-U-C-A-A-U-G-A-C-G-C-U-G-A-C-G-G-U-A-C-A-G-C-U-G-A-C-G-G-U-A-C-A-G-C-C-U-G-A-C-G-G-U-A-C-A-G-C-C-A-G-C-C), compd. with N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-L-glutaminyl-L-alanyl-L-arginyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-asparaginyl-L-ar

CM 1

CRN 350486-81-6

CMF C104 H177 N51 O28

Absolute stereochemistry.

PAGE 1-B

PAGE 2-B

PAGE 3-A

CM 2

CRN 266988-79-8 CMF Unspecified CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 350811-37-9 CAPLUS

G-U-A-C-A-G-C-C-A-G-C-C), compd. with N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-L-glutaminyl-L-alanyl-L-arginy

CM 1

CRN 350486-91-8 CMF C104 H177 N51 O28

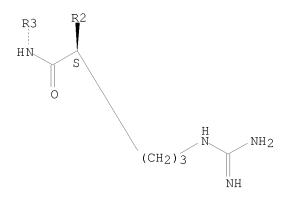
Absolute stereochemistry.

$$H_{2}N$$
 $H_{2}N$
 $H_{3}N$
 $H_{4}N$
 $H_{5}N$
 $H_{2}N$
 $H_{5}N$
 H

PAGE 3-A

PAGE 4-A

PAGE 5-A



CM 2

CRN 266988-79-8 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 350811-70-0 CAPLUS

CM 1

CRN 350729-82-7 CMF Unspecified CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 350486-91-8

CMF C104 H177 N51 O28

Absolute stereochemistry.

$$H_{2}N$$
 $H_{2}N$
 H_{3}
 $H_{4}N$
 H_{5}
 H

PAGE 3-A

PAGE 4-A

PAGE 5-A

IT 350486-52-1P 350486-59-8P 350486-63-4P 350486-71-4P 350486-81-6P 350486-91-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (design and synthesis of the nucleobase-conjugated peptides derived from HIV-1 rev and their binding properties to HIV-1 RRE RNA)

RN 350486-52-1 CAPLUS

CN L-Argininamide, N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-L-glutaminyl-L-alanyl-L-arginyl-L-arginyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-glutaminyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 3-A

RN 350486-59-8 CAPLUS

CN L-Argininamide, N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-alanyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-glutaminyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-C

RN 350486-63-4 CAPLUS

CN L-Argininamide, N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-(α S)- α , 4-diamino-2-oxo-1(2H)-pyrimidinebutanoyl-L-alanyl-L-arginyl-L- arginyl-(α S)- α -amino-3, 4-dihydro-5-methyl-2, 4-dioxo-1(2H)- pyrimidinebutanoyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-tryptophyl-L- arginyl-L- α -glutamyl-L-arginyl-L-glutaminyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

RN 350486-71-4 CAPLUS
CN L-Argininamide, N-(3-carboxy-1-oxopropyl)-L-threonyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-glutaminyl-L-alanyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-glutaminyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-C

RN 350486-81-6 CAPLUS

CN L-Argininamide, N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-L-glutaminyl-L-alanyl-L-arginyl-(α S)- α -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinebutanoyl-L-asparaginyl-L-arginyl-

Absolute stereochemistry.

PAGE 2-B

PAGE 3-A

RN 350486-91-8 CAPLUS

CN L-Argininamide, N-(3-carboxy-1-oxopropyl)-L-threonyl-L-arginyl-L-glutaminyl-L-alanyl-L-arginyl-L-arginyl-L-asparaginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-glutamyl-L-arginyl-L-glutaminyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 3-A

PAGE 4-A

PAGE 5-A

IT 168264-02-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of the nucleobase-conjugated peptides derived from HIV-1 rev and their binding properties to HIV-1 RRE RNA)

RN 168264-02-6 CAPLUS

CN 1(2H)-Pyrimidinebutanoic acid, 3-benzoyl- α -[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-5-methyl-2,4-dioxo-, 1,1-dimethylethyl ester, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS) RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 52 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
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AN
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     134:203476
     Poly(ether-thioether)-, poly(ether-sulfoxide)-, and poly(ether-sulfone)
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     nucleic acids, their synthesis and use in medicine and biochemistry
IN
     Segev, David
PA
     Bio-Rad Laboratories, Inc., USA
     PCT Int. Appl., 119 pp.
SO
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DT
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             IE, SI, LT, LV, FI, RO, MK, CY, AL
     JP 2003508062
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                                 19990830
     US 1999-411862
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     WO 2000-IL432
                         W
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OS
    MARPAT 134:203476
     A compound comprising a poly(ether-thioether), poly(ether-sulfoxide) or
     poly(ether-sulfone) backbone bearing a plurality of ligands that are
     individually bound to chiral carbon atoms located within the backbone, at
     least one of the ligands including a moiety such as a naturally occurring
     nucleobase, a nucleobase binding group; a process of synthesizing the
     compound; monomers to be used in this process and their synthesis; and
     processes for using the compound in biochem. (e.g., in hybridization) and
     medicine (e.g., as pharmaceuticals to treat diseases or viral infections)
     are disclosed.
     328409-86-5P
                       328409-87-6P
                                        328409-88-7P
ΙT
                     328409-90-1P
     328409-89-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (poly(ether-thioether)-, poly(ether-sulfoxide)-, and
        poly(ether-sulfone) nucleic acids, their synthesis and use in medicine
        and biochem.)
     328409-86-5 CAPLUS
RN
     2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-
CN
     [[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]thio]butyl]-5-methyl- (CA
     INDEX NAME)
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RN 328409-87-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]thio]butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{t-Bu-Si-O-CH}_2\text{-CH}_2\text{-S} \\ \text{Me} \\ \text{O-CH}_2\text{-CH-CH}_2\text{-CH}_2\text{-N} \\ \text{Me} \\ \text{O-Me} \\ \end{array}$$

RN 328409-88-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]thio]butyl]-5-methyl- (CA INDEX NAME)

RN 328409-89-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[(2-hydroxyethyl)thio]butyl]-5-methyl-3-[(phenylmethoxy)methyl]- (CA INDEX NAME)

RN 328409-90-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[bis(4-methoxyphenyl)phenylmethoxy]-3-[[2-[(methylsulfonyl)oxy]ethyl]thio]butyl]-5-methyl-3-[(phenylmethoxy)methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & CH_2-O-CH_2-Ph \\ \hline Me-S-O-CH_2-CH_2-S & O N O \\ \hline O & O-CH_2-CH-CH_2-CH_2-N \\ \hline MeO & Me \\ \hline \end{array}$$

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 53 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
     2001:152703 CAPLUS
AN
DN
     134:204116
     Alpha-helical peptide nucleic acid, their preparation and diagnostic and
ΤI
     therapeutic uses
ΙN
     Garner, Philip P.
PA
     USA
     PCT Int. Appl., 32 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                        KIND
                                            APPLICATION NO.
                                 DATE
                                                                     DATE
                         ____
                                 _____
                                             _____
     WO 2001014398
                                 20010301
                                            WO 2000-US21845
                          A1
                                                                     20000811
РΤ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                         B1 20070227
                                           US 2002-110017
                                                                      20020328
     US 7183394
PRAI US 1999-150637P
                          Ρ
                                 19990825
     WO 2000-US21845
                          W
                                 20000811
     The present invention relates to peptide-based nucleic acid surrogates
AB
     (PNAs) having a repeating structure of (AAB-aan)m and a particular
     secondary structure that can bind to particular single-stranded nucleic
     acid targets. Preferably the peptide-based nucleic acid surrogate has an
     alpha-helical secondary structure (\alpha PNA). Also, the present
     invention relates to the method of forming peptide-based nucleic acid
     surrogates having a particular secondary structure. The nucleic acid
     surrogates may be utilized for therapeutic (antisense, antigene),
     diagnostic (genetic), and mol. switching (\alphaPNA chips) applications.
     267241-31-6P
                       267241-34-9P
                                         267241-35-0P
ΙT
     328081-73-8P
                      328081-74-9P
                                         328081-75-0P
     328081-76-1P
                      328081-77-2P
                                         328081-78-3P
     328081-79-4P
                      328081-80-7P
                                        328081-81-8P
     328081-82-9P
     RL: PEP (Physical, engineering or chemical process); SPN (Synthetic
     preparation); PREP (Preparation); PROC (Process)
        (alpha-helical peptide nucleic acid, their preparation and diagnostic and
        therapeutic uses)
     267241-31-6 CAPLUS
RN
     L-Lysinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(3,4-
CN
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-
     alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-
     methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-
     lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-
     L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-1)]
     pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

PAGE 1-A

PAGE 1-C

PAGE 2-B

RN 267241-34-9 CAPLUS

CN L-Lysinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-Llysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylL-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-Lseryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267241-35-0 CAPLUS

CN L-Lysinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B

RN 328081-73-8 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-(1-oxo-4-phenylbutyl)-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B

RN 328081-74-9 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-[4-(4-methoxyphenyl)-1-oxobutyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B

RN 328081-75-0 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-[4-(4-nitrophenyl)-1-oxobutyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-B

RN 328081-76-1 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-[4-(2-naphthalenyl)-1-oxobutyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-D

PAGE 2-D

RN 328081-77-2 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-[1-oxo-4-(1-pyrenyl)butyl]-L-

cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-D

PAGE 2-B

RN 328081-78-3 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-(1-oxobutyl)-L-cysteinyl-L-lysyl-O[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-Llysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylL-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-Lseryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX
NAME)

RN 328081-79-4 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-(1-oxohexyl)-L-cysteinyl-L-lysyl-O[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-Llysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylL-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-Lseryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX
NAME)

RN 328081-80-7 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-(3-cyclopentyl-1-oxopropyl)-Lcysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-Lalanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI)
(CA INDEX NAME)

PAGE 1-D

PAGE 2-A

H₂N

RN 328081-81-8 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-(4-cyclohexyl-1-oxobutyl)-Lcysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-Lalanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI)
(CA INDEX NAME)

PAGE 2-B

RN 328081-82-9 CAPLUS

CN L-Lysinamide, S-[(acetylamino)methyl]-N-(4-cyclohexyl-1-oxobutyl)-Lcysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-Lalanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-Lseryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-D

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

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L11 ANSWER 54 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN
     2000:125937 CAPLUS
DN
     132:334765
     \alpha-Helical Peptide Nucleic Acids (\alphaPNAs): A New Paradigm for
TΙ
     DNA-Binding Molecules
ΑU
     Garner, Philip; Dey, Subhakar; Huang, Yumei
CS
     Department of Chemistry, Case Western Reserve University, Cleveland, OH,
     44106-7078, USA
SO
     Journal of the American Chemical Society (2000), 122(10), 2405-2406
     CODEN: JACSAT; ISSN: 0002-7863
PΒ
     American Chemical Society
DT
     Journal
LA
    English
     Peptide nucleic acid analogs comprising an \alpha-helix forming peptide
AB
     [Ac-CysAcm-Lys-(SerB-Ala2-Lys-)4SerB-Gly-Lys-NH2 (I) or
     Ac-Lys2-(SerB-ala2-Lys)4-SerB-Gly-CysAcm-NH2] with nucleobases attached to
     the regularly spaced serine residues (\alpha PNAs) are capable of forming
     Watson-Crick base pairs with complimentary single-stranded nucleic acid
     targets. \alphaPNAs with as few as five nucleobases bind with high
     affinity in a sequence-specific manner. Gel-shift mobility and CD titration
     studies were performed for nine \alphaPNA-DNA hybridization duplexes. No
     binding was observed between abasic I and d(TA3G5A3T).
ΙT
     268568-85-0P
                      268568-87-2P
                                        268568-88-3P
     268568-89-4P
                      268568-90-7P
     RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
        (preparation and hybridization of DNA by \alpha-helical peptide nucleic
        acids)
     268568-85-0 CAPLUS
RN
     DNA, d(A-A-A-A-A-A-A-A-A), compd. with
CN
     N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(3,4-dihydro-5-
     methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-
     lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-
     L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-
     methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-
     lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-
     serylglycyl-L-lysinamide (1:1) (9CI) (CA INDEX NAME)
     CM
     CRN 267241-31-6
         C115 H185 N39 O38 S
```

PAGE 2-B

CM 2

CRN 55508-40-2 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 268568-87-2 CAPLUS

CN DNA, d(A-A-A-G-G-A-G-G-A-A-A), compd. with N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl-L-lysinamide (9CI) (CA INDEX NAME)

CM :

CRN 268198-00-1 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 267241-34-9 CMF C111 H181 N43 O34 S

RN 268568-88-3 CAPLUS

CN DNA, d(T-A-A-A-G-G-G-G-A-A-A-T), compd. with N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl-L-lysinamide (9CI) (CA INDEX NAME)

CM 1

CRN 268198-01-2 CMF Unspecified CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 267241-34-9 CMF C111 H181 N43 O34 S

Absolute stereochemistry.

PAGE 1-A

RN 268568-89-4 CAPLUS

CN DNA, d(A-A-A-A-G-G-A-G-A-A-A), compd. with N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl-L-lysinamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 268197-99-5 CMF Unspecified CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 267241-35-0

CMF C112 H182 N42 O35 S

Absolute stereochemistry.

PAGE 2-B

RN 268568-90-7 CAPLUS

CN DNA, d(A-A-A-G-A-G-A-A-A-A), compd. with N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl-L-lysinamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 268197-98-4 CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 267241-35-0

CMF C112 H182 N42 O35 S

267241-34-9P

267241-35-0P

Absolute stereochemistry.

267241-31-6P

ΙT

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-B

RN 267241-34-9 CAPLUS

CN L-Lysinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-Llysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylL-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-Lseryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 267241-35-0 CAPLUS

CN L-Lysinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-serylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-B

OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 55 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN AN 2000:59662 CAPLUS 132:293990 DN α -PNA: A novel peptide nucleic acid analogue of DNA. [Erratum to TΙ document cited in CA127:220967] ΑU Howarth, Nicola M.; Wakelin, Laurence P. G. CS Cancer Drug Discovery, Dep. Chem., Univ. Coll. Dublin, Dublin, Ire. SO Journal of Organic Chemistry (2000), 65(2), 634 CODEN: JOCEAH; ISSN: 0022-3263 ΡВ American Chemical Society DTJournal LA English AΒ On page 5442, the paragraph should read: "During the course of our work, Lenzi et al.21,22 presented a preliminary report on the preparation of an lpha-PNA in which the base-amino acids are derived from L-glutamic acid.21 This results in an α -PNA of identical chirality (i.e., L-) to that described here. 194920-19-9P ΤT RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of novel backbone-attached peptide nucleic acid building blocks (Erratum)) 194920-19-9 CAPLUS RN CN L-Lysinamide, $(\alpha S) - \alpha$, 4-diamino-2-oxo-1(2H)-pyrimidinebutanoyl- $L-lysyl-(\alpha S)-\alpha-amino-3, 4-dihydro-5-methyl-2, 4-dioxo-1(2H)$ pyrimidinebutanoylglycyl-(α S)- α , 4-diamino-2-oxo-1(2H)pyrimidinebutanoylglycyl- (αS) - α -amino-3,4-dihydro-5-methyl-2,4 $dioxo-1(2H)-pyrimidinebutanoyl-L-lysyl-(\alpha S)-\alpha$, 4-diamino-2-oxo-1(2H)-pyrimidinebutanoylglycyl- (αS) - α , 4-diamino-2-oxo-1(2H)pyrimidinebutanoylglycyl- (αS) - α -amino-3,4-dihydro-5-methyl-2,4dioxo-1(2H)-pyrimidinebutanoyl-L-lysyl- (αS) - α -amino-3,4 $dihydro-5-methyl-2, 4-dioxo-1(2H)-pyrimidinebutanoylglycyl-(\alpha S) \alpha$ -amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)pyrimidinebutanoylglycyl- (αS) - α -amino-3,4-dihydro-5-methyl-2,4-

dioxo-1(2H)-pyrimidinebutanoyl- (9CI) (CA INDEX NAME)

PAGE 1-A

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PAGE 1-C

PAGE 1-D

PAGE 2-A

Me

Me

PAGE 2-B

PAGE 2-C

Me

RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 56 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
     2000:53602 CAPLUS
AN
DN
     132:108299
     Preparation of precursors for PNA monomers
TI
ΙN
     Martens, Jurgen; Maison, Wolfgang; Schlemminger, Imre; Westerhoff, Ole;
     Groger, Harald
PA
     Germany
     PCT Int. Appl., 72 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                       KIND DATE
     PATENT NO.
                                          APPLICATION NO.
                       ____
                               _____
                                          _____
                               20000120 WO 1998-EP4281
     WO 2000002864
                        A1
                                                                 19980710
РΤ
        W: AT, AU, BG, BR, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HR, HU, IL,
            JP, KR, LU, MK, MX, NO, NZ, PL, PT, RU, SE, SI, TR, US, YU
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9890645
                         Α
                               20000201
                                         AU 1998-90645
                                                                 19980710
PRAI WO 1998-EP4281
                         Α
                               19980710
    MARPAT 132:108299
AΒ
     Compds. X-CO-E-N(D-Y)CO-A-B [A is a single bond, o-phenylene, or a group
     (CR1R2)n (n = 1-3, R1, R2 = H, OH, amino, F, Cl, Br, iodo, aryl, or alkyl
     optionally substituted by amino, hydroxy, alkoxy, or alkylthio); B = H,
     alkyl, nucleobases, aromatic or heterocyclic moieties, DNA intercalators,
     nucleobase-binding groups, reporter ligands, vinyl, Cl, Br, iodo, OH; D =
     o-phenylene or CR3R4CR5R6 (R3, R4, R5, R6 = H, alkyl, or aryl optionally
     substituted by alkyl, OH, alkoxy, nitro, aryl, alkoxycarbonyl, halo, or
     carbohydrate moieties or R3 and R5 or R3 and R4 taken together complete an
     alicyclic system); E is CR7R8 (R7, R8 = H, alkyl, or aryl optionally
     substituted by alkyl, OH, alkoxy, nitro, aryl, alkoxycarbonyl, halo, or
     carbohydrate moieties or R7 and R8 taken together complete an alicyclic or
     heterocyclic system which may be substituted by alkyl, OH, alkoxy, nitro,
     aryl, alkoxycarbonyl, or halo groups); X is R10R11:CR9NH (R9, R10, R11 =
     H, alkyl, or aryl or R9 and R10 taken together with the vinyl group
     complete a five- or six-membered alicyclic system or a heteroarom. system,
     each of which may be substituted); Y is NR12R13 (R12, R13 = H, an amino
     protecting group, OR14 or SR14, where R14 is H or a protecting group)]
     were prepared as precursors for PNA monomers. Thus, 1-cyclohexenyl
     isocyanide was added to a stirred mixture of mono-Boc-ethylenediamine (Boc =
     tert-butoxycarbonyl), 4-nitrobenzaldehyde, and
    N4-Z-N-1-carboxymethylcytosine (Z = benzyloxycarbonyl) in methanol and the
    mixture heated for five minutes to reflux and stirred for 48 h at room
temperature
     to afford 36% rac-2-[(2'-Boc-aminoethyl)-N4-Z-cytosineacetyl-amino]-p-
     nitrophenylacetic acid cyclohexen-1''-ylamide.
     255736-60-8P 255736-68-6P
                                      255736-77-7P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of precursors for PNA monomers)
RN
     255736-60-8 CAPLUS
     Carbamic acid, [2-[[2-(1-cyclohexen-1-ylamino)-2-oxo-1-phenylethyl][4-(3,4-
     dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-oxobutyl]amino]ethyl]-,
     1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
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RN 255736-68-6 CAPLUS

CN Carbamic acid, [(1R,2R)-2-[[1-[(1-cyclohexen-1-ylamino)carbonyl]-2-methylpropyl][4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-oxobutyl]amino]cyclohexyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 255736-77-7 CAPLUS

CN Carbamic acid, [(1R,2R)-2-[[2-(1-cyclohexen-1-ylamino)-2-oxo-1-phenylethyl][4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-oxobutyl]amino]cyclohexyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 57 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:670140 CAPLUS

DN 131:286820

TI Preparation of oligonucleotide analogs having an amino acid or a modified amino alcohol residue

IN Ramasamy, Kandasamy; Seifert, Wilfried E.

PA ICN Pharmaceuticals, Inc., USA

SO U.S., 65 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5969135	A	19991019	US 1995-551947	19951102
PRAT	US 1995-551947		19951102		

AB The compds. of the invention are oligonucleotide analogs in which the furanose ring of a naturally occurring nucleic acid is replaced with an amino acid or a modified amino alc. residue. The synthesis of monomeric precursors of the oligonucleotide analogs of the invention is described. Thus, $1-O-(4,4'-\text{dimethoxytrityl})-2-[(\text{thyminylacetyl})\,\text{amino}]-L-\text{propan}-3-O-N,N-diisopropyl-$\beta-cyanoethylphosphoramidite was prepared from L-serine Me ester, thymineacetic acid, and 2-cyanoethyl-N,N-diisopropylchlorophosphoramidite. Oligonucleotides containing modified amino acid nucleic acid backbones were synthesized on an automated DNA synthesizer using standard phosphoramidite chemical The ability of the amino acid modified oligonucleotides to hybridize to their complementary RNA and DNA sequences is determined by thermal melting anal.$

IT 179472-14-1P 179472-15-2P 179472-16-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oligonucleotide analogs having amino acid or modified amino alc. residue)

RN 179472-14-1 CAPLUS

CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]propyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 179472-15-2 CAPLUS

CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[(hydroxyacetyl)amino]propyl ester (9CI) (CA INDEX NAME)

RN 179472-16-3 CAPLUS

CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[bis(4-methoxyphenyl)phenylmethoxy]acetyl]amino]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 58 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:593856 CAPLUS

DN 131:299642

TI Synthesis and biological evaluation of the novel purine and pyrimidine nucleoside analogues containing 2,3-epoxypropyl, 3-amino-2-hydroxypropyl or 2,3-epoxypropyl ether moieties

AU Raic-Malic, Silvana; Grdisa, Mira; Pavelic, Kresimir; Mintas, Mladen

CS Department of Organic Chemistry, Faculty of Chemical Engineering and Technology, Zagreb, HR-10000, Croatia

SO European Journal of Medicinal Chemistry (1999), 34(5), 405-413 CODEN: EJMCA5; ISSN: 0223-5234

PB Editions Scientifiques et Medicales Elsevier

DT Journal

LA English

AB The novel purine and pyrimidine nucleoside analogs possessing a 2,3-epoxypropyl, 2,3-epoxypropyl ether, or 3-amino-2-hydroxypropyl, e. g. I, moiety bonded at either N-9 of the C-6 substituted purine ring or N-1 and N-3 of the pyrimidine ring, were prepared and evaluated on their antitumor and antiviral activities.

IT 247092-22-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

 $\hbox{ (preparation and antitumor and antiviral activities of nucleosides containing }$

2,3-epoxypropyl, 3-amino-2-hydroxypropyl or 2,3-epoxypropyl ether moieties)

RN 247092-22-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,3-bis[2-hydroxy-3-[(1-methylethyl)amino]propyl]- (CA INDEX NAME)

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 59 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1999:508690 CAPLUS
- DN 131:351614
- TI Synthesis and biophysical studies of modified oligonucleotides containing acyclic amino alcohol nucleoside analogs
- AU Ramasamy, Kanda S.; Stoisavljevic, Vesna
- CS Research Division, ICN Pharmaceuticals, Inc., Costa Mesa, CA, 92626, USA
- SO Nucleosides & Nucleotides (1999), 18(8), 1845-1861 CODEN: NUNUD5; ISSN: 0732-8311
- PB Marcel Dekker, Inc.
- DT Journal
- LA English
- OS CASREACT 131:351614
- AB Novel serine derivative of thymine was prepared and incorporated into oligonucleotides. These modified oligonucleotides were studied for their binding affinity with complementary DNA/RNA.
- IT 179472-14-1P 179472-15-2P 179472-16-3P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (synthesis and enzymic resistance of modified oligonucleotides containing acyclic amino alc. nucleoside analogs)
- RN 179472-14-1 CAPLUS
- CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]propyl ester (CA INDEX NAME)

Absolute stereochemistry.

- RN 179472-15-2 CAPLUS
- CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[(hydroxyacetyl)amino]propyl ester (9CI) (CA INDEX NAME)

RN 179472-16-3 CAPLUS

CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[bis(4-methoxyphenyl)phenylmethoxy]acetyl]amino]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 60 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1999:376918 CAPLUS
- DN 131:157957
- TI Modular Nucleic Acid Surrogates. Solid Phase Synthesis of $\alpha-Helical$ Peptide Nucleic Acids ($\alpha PNAs$)
- AU Garner, Philip; Dey, Subhakar; Huang, Yumei; Zhang, Xiao
- CS Department of Chemistry, Case Western Reserve University, Cleveland, OH, 44106-7078, USA
- SO Organic Letters (1999), 1(3), 403-405 CODEN: ORLEF7; ISSN: 1523-7060
- PB American Chemical Society
- DT Journal
- LA English
- AB The synthesis and characterization of prototype α -helical peptide nucleic acid (α PNA) modules, e.g., Ac-C(Acm)-G-ST-D-A-E-ST-A-A-K-ST-A-A-E-ST-A-Aib-A-ST-K-G-NH2 [1; Acm = acetamidomethyl, ST = 1-[(Ser)methyl]thymine residue, Aib = 2-aminoisobutyric acid residue] as well as disulfide dimers are reported. These mols. combine an α -helical peptidyl scaffold with well-defined nucleobase mol. recognition patterns and could serve as a basis for novel antisense and/or antigene agents. Structure assignments for these α PNAs were supported by MALDI-TOF mass spectrometry, and the α -helical nature of 1 dimer in water was confirmed by CD spectroscopy.
- IT 236755-57-0P 236755-58-1P RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (solid phase synthesis of α -helical peptide nucleic acids)
- RN 236755-57-0 CAPLUS
- CN Glycinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinylglycyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L- α -aspartyl-L-alanyl-L- α -glutamyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-C-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-lysyl-(9CI) (CA INDEX NAME)

PAGE 1-A

Me
$$H_2N$$
 (CH₂) 4 S N H O NH₂ HN S N H S N S N H Me O Me O

PAGE 1-C

PAGE 1-D

RN 236755-58-1 CAPLUS

CN L-Cysteinamide, N-acetyl-2-methylalanylglycyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L- α -aspartyl-L-alanyl-L- α -glutamyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-alanyl-L-a-glutamyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-2-methylalanyl-L-alanyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-lysyl-S-[(acetylamino)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-B

OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 61 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1999:205354 CAPLUS
- DN 130:237811
- TI Preparation of ethylene glycol phosphate linked oligodeoxyribonucleotides as phospholipase A2 inhibitors
- IN Cook, Phillip Dan; Acevedo, Oscar L.; Davis, Peter W.; Ecker, David J.; Hebert, Normand
- PA ISIS Pharmaceuticals, Inc., USA
- SO U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 179,970. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5886177	A	19990323	US 1996-669506	19960808
	US 6448373	В1	20020910	US 1994-179970	19940111
	WO 9518820	A1	19950713	WO 1995-US449	19950111
	W: CA, JP, US				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI US 1994-179970 A2 19940111 WO 1995-US449 W 19950111

- AB Novel ethylene glycol compds. I wherein; X is H, a phosphate group, phosphite group, a solid support, an oligodeoxyribonucleotide; Y is H, a hydroxyl protecting group, an oligodeoxyribonucleotide; E is O or S; EE is OH or amine; Q is alkyl, alkynyl, alkenyl, carbocycloalkyl, heterocycle; Z is alkyl, alkenyl, alkynyl, aminoalkyl, aryl, aralkyl; m is 0, 1; n is 1-50; j is 1-6, are used to prepare oligodeoxyribonucleotides. The ethylene glycol monomers can be joined via standard phosphate linkages including phosphorothioate, phosphodiester, and phosphoramidate linkages. Useful functional groups include nucleobases as well as polar groups, hydrophobic groups, ionic groups, aromatic groups and/or groups that participate in hydrogen-bonding. Thus, 1-[1-(N4-Benzoyl)cytosine]-3-O-dimethoxytrityl-2-O-[(N,N-diisopropylamino)-2-cyanoethoxyphosphite]propane was prepared and used in synthesis of ethylene glycol phosphate linked oligodeoxyribonucleotides as phospholipase A2 inhibitors.
- IT 171406-23-8P 171406-29-4P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of ethylene glycol phosphate linked oligodeoxyribonucleotides as phospholipase A2 inhibitors)
- RN 171406-23-8 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} & \text{OH} & \text{O} \\ \text{C-O-CH}_2\text{-CH-CH}_2 & \text{N} \end{array} \\ \text{MeO} \\ \\ \text{OMe} \\ \end{array}$$

RN 171406-29-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{C-O-CH}_2 & \text{CH} & \text{CH}_2 & \text{N} \\ \text{MeO} \end{array}$$

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 62 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:360381 CAPLUS

DN 129:108928

OREF 129:22384h,22385a

TI Synthesis and anti-HIV-1 activity of hydroxybutenyl C6-thiophenyl thymine nucleoside analogs

AU Zhou, Ziaoxin; Rajaratnam, Ragine; Phadtare, Shashi

CS College of Pharmacy, Xavier University of Louisiana, New Orleans, LA, 70125, USA

SO Pharmacy and Pharmacology Communications (1998), 4(5), 237-240 CODEN: PPCOFN; ISSN: 1460-8081

PB Royal Pharmaceutical Society of Great Britain

DT Journal

LA English

OS CASREACT 129:108928

New acyclic hydroxybutenyl C6-thiophenyl thymine nucleoside analogs of $1-[(2-hydroxyethoxy)methyl]6-(phenylthio)thymine (HEPT), have been designed, synthesized and tested as potential anti-HIV-1 agents in ATH8 cell lines. The hydroxybutenyl C6-thiophenyl thymine compds. were prepared by alkylation of thymine with cis- or trans-1,4-dichlorobutene to give chloro compds. which were then hydrolyzed to give alcs. After protecting the 4'-hydroxy with t-butyldimethylsilyl, the resultant compds. were selectively reacted with lithium diisopropylamine and treated with diphenylsulfide to give C6-thiophenyl analogs. The C6-thiophenyl thymine nucleoside analogs were isolated by hydrolysis. All chloro and hydroxy compds. were tested for percentage cell viability in HIV-1-infected ATH8 cell line and were found to be moderately effective when compared with azidothymidine. Unsubstituted thymine alcs. showed 40-55% cell viability at 0.5 <math>\mu$ M concns. compared with 15-18% for C6-thiophenyl-substituted thymine alcs.

IT 210053-30-8P 210053-35-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and anti-HIV-1 activity of hydroxybutenyl C6-thiophenyl thymine nucleoside analogs)

RN 210053-30-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2Z)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-buten-1-yl]-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 210053-35-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2E)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-buten-1-yl]-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 63 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:329100 CAPLUS

DN 129:54525

OREF 129:11369a,11372a

TI Heterocyclic nucleoside analogs by cycloaddition reactions of 1-vinylthymine with 1,3-dipoles

AU Adams, David R.; Boyd, Alan S. F.; Ferguson, R.; Grierson, David S.; Monneret, Claude

CS Chemistry Department, Heriot-Watt University, Edinburgh, EH14 4AS, UK

SO Nucleosides & Nucleotides (1998), 17(6), 1053-1075 CODEN: NUNUD5; ISSN: 0732-8311

PB Marcel Dekker, Inc.

DT Journal

LA English

OS CASREACT 129:54525

AB 1,3-Dipolar cycloaddn. of 1-vinylthymine to azides, nitrile oxides, nitrones and nitronates has been investigated as a route to heterocyclic nucleoside analogs in which the nucleoside ribose moiety has been replaced by an alternative heterocycle. Reaction of 1-vinylthymine with highly reactive nitrile oxides affords 1-(isoxazolin-5-yl)thymine products in excellent yield at room temperature The less reactive nitrone dipoles undergo cycloaddn. to 1-vinylthymine at elevated temperature to afford 1-(isoxazolidin-5-yl)thymine cycloadducts in good-to-moderate yields, but show a tendency to eliminate thymine from the cycloaddn. products over long reaction times. Azide cycloaddns. to 1-vinylthymine proceed only under forcing conditions to which the fragile triazoline products are unstable. Certain compds. were tested for anti-HIV activity and found to be inactive.

RN 208707-16-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(4Z)-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-hydroxy-4-(hydroxyimino)pentyl]-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 208707-17-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(4E)-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-hydroxy-4-(hydroxyimino)pentyl]-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.

RN 208707-18-0 CAPLUS

CN Pentitol, 1,3,4-trideoxy-1-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-5-O-[(1,1-dimethylethyl)dimethylsilyl]-4-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethylidene]oxidoamino]- (9CI) (CA INDEX NAME)

OSC.G 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 64 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:320817 CAPLUS

DN 129:54561

OREF 129:11377a,11380a

TI Synthesis and solution structures of aminoacyl compounds of potential prebiotic significance

AU Sutherland, John D.; Cook, Stephen D.

CS The Dyson Perrins Laboratory, Oxford, OX1 3QY, UK

SO Tetrahedron Letters (1998), 39(20), 3299-3302 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 129:54561

AB The chemical synthesis and solution structure determination of aminoacylated glycoaldehyde and nucleobase-substituted dihydroxyacetone derivs. H-L-Val-OCH2COR (R = H, adenin-1-yl, uracil-1-yl) are described.

IT 208576-26-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and solution structures of valine nucleobase-substituted hydroxyacetone derivative esters)

RN 208576-26-5 CAPLUS

CN L-Valine, 3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-oxopropyl ester (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 65 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:81929 CAPLUS

DN 128:167695

OREF 128:33061a,33064a

TI Design and synthesis of chiral peptidic nucleic acids

AU Ciapetti, Paola; Mann, Andre; Schoenfelder, Angele; Taddei, Maurizio; Trifilieff, Elisabeth; Canet, Isabelle; Canet, Jean Louis

CS Dep. Chimica, Univ. Sassari, Sassari, I-07100, Italy

SO Letters in Peptide Science (1997), 4(4/5/6), 341-349 CODEN: LPSCEM; ISSN: 0929-5666

PB Kluwer Academic Publishers

DT Journal

LA English

AB Due to the increasing interest in the use of oligonucleotide analogs as antisense and antigene drugs, the authors designed a chiral analog constituted of a peptide frame bearing nucleobases in suitable positions (C-PNA). The authors recently reported the synthesis of four nonnatural α -amino acids with the DNA bases in the lateral chain. In this paper they present an improved synthesis of the 9-fluorenylmethoxycarbonyl (Fmoc) monomers I-IV and their polymerization to polypeptidic oligonucleotide analogs using a modification of the standard protocol for solid phase peptide synthesis.

IT 168264-02-6P 168264-03-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of chiral peptide nucleic acids containing homoserine backbones)

RN 168264-02-6 CAPLUS

CN 1(2H)-Pyrimidinebutanoic acid, 3-benzoyl- α -[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-5-methyl-2,4-dioxo-,1,1-dimethylethyl ester, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 168264-03-7 CAPLUS

CN 1(2H)-Pyrimidinebutanoic acid, α -[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-5-methyl-2,4-dioxo-,1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

IT 202870-88-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (design and synthesis of chiral peptide nucleic acids containing homoserine backbones)

RN 202870-88-0 CAPLUS

CN L-Lysine, $(\alpha S) - \alpha$ -amino-3, 4-dihydro-5-methyl-2, 4-dioxo-1(2H) - pyrimidinebutanoylglycyl- (αS) - α -amino-3, 4-dihydro-5-methyl-2, 4-dioxo-1(2H)-pyrimidinebutanoylglycyl- (αS) - α -amino-3, 4-dihydro-5-methyl-2, 4-dioxo-1(2H)-pyrimidinebutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

PAGE 2-B

HN
S
O
NH
Me

NH
Me

Me

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 66 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

ΑN 1998:20018 CAPLUS

128:128224 DN

OREF 128:25195a, 25198a

- A cytosine analog containing a conformationally flexible acyclic linker for triplex formation at sites with contiquous G-C base pairs
- ΑU Xiang, Guobing; Mclaughlin, Larry W.
- Department of Chemistry, Merkert Chemistry Center, Boston College, CS Chestnut Hill, MA, 02167, USA
- Tetrahedron (1998), 54(3/4), 375-392SO CODEN: TETRAB; ISSN: 0040-4020
- PВ Elsevier Science Ltd.
- DT Journal
- LA English
- Two nucleoside derivs. of the pyrimidine bases, e.g. I, have been prepared AΒ with flexible acyclic carbohydrate linkers. A new procedure, beginning with (R)-(-)-2, 2-dimethyl-1, 3-dioxolane-4-methanol permits the preparation of the stereochem. pure acyclic derivs. of both protected nucleoside analogs without contamination by a problematic rearrangement product. By simply increasing the flexibility of the carbohydrate portion of I nucleoside derivative, 15-mer triplexes containing five contiguous G-C base pairs exhibit а

7-8 °C increase in Tm value.

124318-82-7P 201732-39-0P 201732-40-3P ΙT

201732-41-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

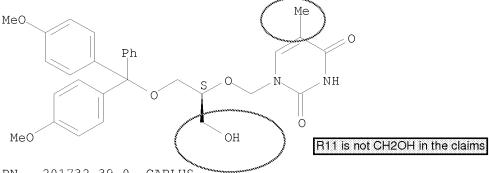
(preparation and thermal stability of acyclic linker cytosine analog-containing

DNA)

124318-82-7 CAPLUS RN

2,4(1H,3H)-Pyrimidinedione, 1-[[(1S)-2-[bis(4-methoxyphenyl)phenylmethoxy]-CN 1-(hydroxymethyl)ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 201732-39-0 CAPLUS

2,4(1H,3H) -Pyrimidinedione, 1-[[(1R)-1-[[(1,1-1)]CN dimethylethyl)diphenylsilyl]oxy]methyl]-2-(phenylmethoxy)ethoxy]methyl]-5methyl- (CA INDEX NAME)

RN 201732-40-3 CAPLUS

CN 2,4(1H,3H) -Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-(hydroxymethyl)ethoxy]methyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

201732-41-4 CAPLUS RN

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R)-2-[bis(4-methoxyphenyl)phenylmethoxy]-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-(CA INDEX NAME)

Absolute stereochemistry.

OSC.G 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 67 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1998:1278 CAPLUS
- DN 128:85149
- OREF 128:16521a,16524a
- TI Synthetic Unrandomization of Random oligomer Fragments (SURF), a nonenzymic method for determining oligomers with specific target activity
- IN Cook, Phillip Dan; Ecker, David J.; Wyatt, Jacqueline; Bruice, Thomas W.; Anderson, Kevin; Hanecak, Ronnie; Vickers, Timothy; Davis, Peter; Freier, Susan M.; Sanghvi, Yogesh S.; Brown-driver, Vickie
- PA Isis Pharmaceuticals, Inc., USA
- SO U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 196,103. CODEN: USXXAM
- DT Patent
- LA English
- FAN.CNT 4

	- - ·				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5698391	А	19971216	US 1994-357396	19941216
	US 5672472	A	19970930	US 1994-196103	19940222
	US 5747253	A	19980505	US 1995-386141	19950208
PRAI	US 1991-749000	В2	19910823		
	US 1994-196103	A2	19940222		
	WO 1992-US7121	W	19920821		
	US 1994-357396	A2	19941216		

 ${\tt AB}$ Methods useful for the determination of oligomers which have specific activity for

a target mol. from a pool of primarily randomly assembled oligomers are provided. The disclosed methods involve repeated syntheses of increasingly simplified sets of oligomers coupled with selection procedures for determining oligomers having the highest activity. Freedom from the use of enzymes allows the application of these methods to any mols. which can be oligomerized in a controlled fashion. Synthesis of monomers for use in preparation of oligonucleotide analogs was described. Use of SURF to produce oligonucleotides and analogs which inhibited herpes simplex virus 1 or HIV, or which bound to endothelin-1 or leukotriene B4, etc. were reported.

IT 171406-23-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthetic Unrandomization of Random oligomer Fragments (SURF), nonenzymic method for determining oligomers with specific target activity)

- RN 171406-23-8 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c} Ph \\ C-O-CH_2-CH-CH_2-N \\ \hline \\ OMe \\ \end{array}$$

OSC.G THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 68 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1997:568924 CAPLUS

DN 127:262991

OREF 127:51373a,51376a

TI Synthesis and properties of 2'-deoxy-1',2'-seco-D-ribosyl $(5'\to 3')$ oligonucleotides (= 1',2'-seco-DNA) containing adenine and thymine

AU Peng, Ling; Roth, Hans Jorg

CS Lab. Organic Chem., Swiss Federal Institute Technology, Zurich, CH-8092, Switz.

SO Helvetica Chimica Acta (1997), 80(5), 1494-1512 CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA English

AΒ Some 2'-deoxy-1',2'-seco-D-ribosyl $(5'\rightarrow 3')$ oligonucleotides (= 1,2'-seco-DNA), differing from natural DNA only by a bond scission between the centers C(1') and C(2'), were synthesized and studied to compare their structure properties and pairing behavior with those of corresponding natural DNA and homo-DNA oligonucleotides $(2',3'-dideoxy-\beta-glucopyranosyl oligonucleotides)$. Starting from (-)-D-tartaric acid, N6-benzoyl-2'-deoxy-1',2'-secoadenosine and 2'-deoxy-1',2'-secothymidine were obtained in pure crystalline form. Using the phosphoramidite variant of the phosphite-triester method, a dinucleotide monophosphate 1',2'-seco-d(T2) was synthesized in solution, while oligonucleotides 1',2'-seco-d[(AT)6], 1',2'-seco-d(A10) and 1',2'-seco-d(T10) were prepared on solid phase with either automated or manual techniques. Results of UV, CD, and gel-electrophoretic studies indicated that neither adenine-thymine base pairing (as observed in natural DNA and homo-DNA), nor the adenine-adenine base pairing (as observed in homo-DNA) was effective in 1',2'-seco-DNA. Furthermore, hybrid pairing was observed neither between 1',2'-seco-DNA and natural DNA nor between 1',2'-seco-DNA and homo-DNA.

IT 195512-85-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and properties of adenine- and thymine-containing deoxysecoribosyl oligonucleotides)

RN 195512-85-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1R,2S)-1-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-2-hydroxypropoxy]methyl]-5-methyl-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 195512-93-7DP, polymer-supported
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis and properties of adenine- and thymine-containing
 deoxysecoribosyl oligonucleotides)
RN 195512-93-7 CAPLUS

CN Heptanedioic acid, 1-[(1S,2R)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-1-methylpropyl] ester (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L11 ANSWER 69 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

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AN
   1997:533245 CAPLUS
DN
     127:220967
OREF 127:43072h,43073a
TI
     lpha-PNA: a novel peptide nucleic acid analog of DNA
ΑU
     Howarth, Nicola M.; Wakelin, Laurence P. G.
CS
     Cancer Drug Discovery, Dep. Chem., Univ. Coll. Dublin, Dublin, Ire.
SO
     Journal of Organic Chemistry (1997), 62(16), 5441-5450
     CODEN: JOCEAH; ISSN: 0022-3263
ΡВ
     American Chemical Society
DT
     Journal
LA
     English
OS
     CASREACT 127:220967
AΒ
     Peptide nucleic acid (PNA) analogs of DNA have attracted interest as
     potential pharmacol. regulators of gene expression since they have the
     capacity to invade duplex DNA forming Watson-Crick base paired PNA: DNA
     heteroduplexes. Unfortunately, strand invasion is limited to homopurine
     and homopyrimidine sequences and there is the need to explore further PNA
     analogs for the purpose of expanding the strand invasion alphabet.
     Accordingly, a true peptide mimic of DNA (designated \alpha-PNA) was
     designed, involving novel L-\alpha-amino acids, with side chains
     comprising the four DNA bases attached via an ethylene linkage,
     interspaced with glycine. The four base-containing amino acids have been
     synthesized from N-Boc-L-homoserine, via alkylation of the appropriate
     base with the key intermediate (S)-2-(N-Boc-amino)-4-bromobutyric acid Me
     ester followed by hydrolysis. These amino acids have been incorporated
     into \alpha-PNA oligomers using both solution and solid phase methods.
ΙT
     194920-19-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of novel backbone-attached peptide nucleic acid building
        blocks)
     194920-19-9 CAPLUS
RN
     L-Lysinamide, (\alpha S) - \alpha, 4-diamino-2-oxo-1(2H)-pyrimidinebutanoyl-
CN
     L-lysyl-(\alpha S)-\alpha-amino-3, 4-dihydro-5-methyl-2, 4-dioxo-1(2H)-
     pyrimidinebutanoylglycyl-(\alpha S)-\alpha, 4-diamino-2-oxo-1(2H)-
     pyrimidinebutanoylqlycyl-(\alpha S)-\alpha-amino-3,4-dihydro-5-methyl-2,4-
     dioxo-1(2H)-pyrimidinebutanoyl-L-lysyl-(\alpha S)-\alpha, 4-diamino-2-oxo-
     1(2H)-pyrimidinebutanoylglycyl-(\alpha S)-\alpha, 4-diamino-2-oxo-1(2H)-
     pyrimidinebutanoylqlycyl-(\alpha S)-\alpha-amino-3,4-dihydro-5-methyl-2,4-
     dioxo-1(2H)-pyrimidinebutanoyl-L-lysyl-(\alpha S)-\alpha-amino-3,4-
     dihydro-5-methyl-2, 4-dioxo-1(2H)-pyrimidinebutanoylglycyl-(\alpha S)-
     \alpha-amino-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
     pyrimidinebutanoylglycyl-(\alpha S)-\alpha-amino-3,4-dihydro-5-methyl-2,4-
     dioxo-1(2H)-pyrimidinebutanoyl- (9CI) (CA INDEX NAME)
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PAGE 1-B

PAGE 1-C

PAGE 1-D



PAGE 2-B

PAGE 2-C

Me

OSC.G 56 THERE ARE 56 CAPLUS RECORDS THAT CITE THIS RECORD (56 CITINGS)

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

L11 ANSWER 70 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1997:357092 CAPLUS

DN 127:95569

OREF 127:18405a,18408a

- ${
 m TI}$ Novel polyamide based nucleic acid analogs synthesis of oligomers and ${
 m RNA-binding}$ properties
- AU Garcia-Echeverria, Carlos; Huesken, Dieter; Chiesi, Chantal Schmit; Altmann, Karl-Heinz
- CS Central Research Laboratories, and Pharmaceutical Research, Oncology Dep., CIBA, USA
- SO Bioorganic & Medicinal Chemistry Letters (1997), 7(9), 1123-1126 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier
- DT Journal
- LA English
- AB The synthesis of novel polyamide based nucleic acid analogs incorporating monomer units of type I (R = H, Me) and II has been accomplished using solid-phase strategies based on N-9-fluorenylmethoxycarbonyl (Fmoc) protected building blocks. An oligomer composed of monomer units I (R = H) exhibited weak, but sequence-specific RNA binding. Improved RNA-binding affinity was observed for analogs incorporating building blocks of type I [R = (R)-Me], but not in the case of I [R = (S)-Me].
- IT 191655-42-2 191655-60-4
 - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation and RNA binding affinity of novel polyamide-based nucleic acid analogs)
- RN 191655-42-2 CAPLUS
- CN Propanoic acid, 2-[(2S)-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]propoxy]-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 191655-60-4 CAPLUS
- CN Propanoic acid, 2-[(2S)-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]propoxy]-, (2S)-(CA INDEX NAME)

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS) RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

L11 ANSWER 71 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1997:357090 CAPLUS

DN 127:81743

OREF 127:15685a

TI Polyamide based nucleic acid analogs — synthesis of $\delta\text{-amino}$ acids with nucleic acid bases bearing side chains

AU Altmann, Karl-Heinz; Chiesi, Chantal Schmit; Garcia-Echeverria, Carlos

CS Central Research Laboratories, and Pharmaceutical Research Division, Oncology Dep., CIBA, USA

SO Bioorganic & Medicinal Chemistry Letters (1997), 7(9), 1119-1122 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

DT Journal

LA English

OS CASREACT 127:81743

AB Nucleoamino acids of type I (R = H, Me) and II have been synthesized, which can serve as building blocks for novel polyamide based nucleic acid analogs. Key steps in the syntheses are the alkylation of protected serinol and homoserinol with tert-Bu bromoacetate or tert-Bu bromopropionate under phase transfer conditions and the introduction of thymidine or uracil into the amino acid side chains by way of a Mitsunobu reaction. Cytosine derivs. were prepared through uracil to cytosine base conversion at the stage of N-tert-butoxycarbonyl protected amino acid tert-Bu esters.

IT 191655-41-1P 191655-54-6P 191655-55-7P

191655-56-8P 191655-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acids with nucleic acid base-containing side chains)

RN 191655-41-1 CAPLUS

CN Propanoic acid, 2-[(2S)-2-amino-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)propoxy]-, (2R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 191655-40-0 CMF C11 H17 N3 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 191655-54-6 CAPLUS

CN Propanoic acid, 2-[(2S)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 191655-55-7 CAPLUS

CN Propanoic acid, 2-[(2S)-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 191655-56-8 CAPLUS

CN Propanoic acid, 2-[(2S)-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]propoxy]-, (2S)- (CA INDEX NAME)

RN 191655-59-1 CAPLUS

CN Propanoic acid, 2-[(2S)-2-amino-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)propoxy]-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 191655-58-0 CMF C11 H17 N3 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 191655-42-2P 191655-60-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of amino acids with nucleic acid base-containing side chains)

RN 191655-42-2 CAPLUS

CN Propanoic acid, 2-[(2S)-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]propoxy]-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 191655-60-4 CAPLUS

CN Propanoic acid, 2-[(2S)-3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]propoxy]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

L11 ANSWER 72 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1997:320918 CAPLUS

DN 126:325005

OREF 126:62967a,62970a

TI Synthesis and Anti-HIV Activity of Novel N-1 Side Chain-Modified Analogs of 1-[(2-Hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT)

AU Pontikis, Renee; Benhida, Rachid; Aubertin, Anne-Marie; Grierson, David S.; Monneret, Claude

CS Section Recherche, Institut Curie, Paris, 75231, Fr.

SO Journal of Medicinal Chemistry (1997), 40(12), 1845-1854 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A series of 33 N-1 side chain-modified analogs of HEPT were synthesized and evaluated for their anti-HIV-1 activity. In particular, the effect of substitution of the terminal hydroxy group of the acyclic structure of HEPT and the structural rigidity of this side chain were investigated. Halo, azido, and amino derivs. were prepared from HEPT via the p-tosylate derivative Acylation of the primary amine afforded the amido analogs. Diaryl derivs. were prepared by reaction of HEPT, or of the 6-(2-pyridylthio) analog, with diaryl disulfides in the presence of tri-n-butylphosphine. Compds. in which the N-1 side chain is rigidified by incorporation of an E-configured double bond, were obtained by palladium(0)-catalyzed coupling of several different 6-(arylthio)uracil derivs. with allyl acetates. Compds. incorporating an aromatic ring at the end of the acyclic side chain, were more potent than the known diphenyl-substituted HEPT analog BPT, 2 of them, being 10-fold more active.

IT 189637-39-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and anti-HIV activity of hydroxyethoxymethyl(phenylthio)thymine analogs)

RN 189637-39-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[dimethyl(1,1,2-trimethylpropyl)silyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

OSC.G 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS RECORD (48 CITINGS) RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

L11 ANSWER 73 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1997:219832 CAPLUS

DN 126:305772

OREF 126:59235a,59238a

TI New hetero-oligomeric peptide nucleic acids with improved binding properties to complementary DNA

AU Jordan, Stephan; Schwemler, Christoph; Kosch, Winfried; Kretschmer, Axel; Stropp, Udo; Schwenner, Eckhardt; Mielke, Burkhard

CS Central Research, Bayer AG, Leverkusen, D-51368, Germany

SO Bioorganic & Medicinal Chemistry Letters (1997), 7(6), 687-690 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

DT Journal

LA English

AB Hetero-oligomeric PNAs consisting of new monomeric building blocks L-trans-I, L-cis-I, D-trans-I, II, and III (X = 0) and various amts. of N-(2-aminoethyl)glycine (IV) have been synthesized by solid-phase chemical Some of these new compds. show stronger binding to complementary DNA than the original PNAs, and are consequently very interesting candidates as antisense compds. for applications in therapy and in diagnostics.

IT 189253-86-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of new hetero-oligomeric peptide nucleic acids with improved binding properties to complementary DNA)

RN 189253-86-9 CAPLUS

CN Peptide nucleic acid, (H-T-T-Gly-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-(2S)-2-aminobutanoyl-T-T-Gly-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-(2S)-2-aminobutanoyl-T-T-Gly-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-(2S)-2-aminobutanoyl-T-T-Gly-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-(2S)-2-aminobutanoyl)-Lys-NH2 (9CI) (CA INDEX NAME)

PAGE 1-D

PAGE 2-B

PAGE 2-C

PAGE 2-D | Me

OSC.G 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS RECORD (44 CITINGS)
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 ANSWER 74 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1996:473097 CAPLUS
    125:143231
DN
OREF 125:26829a,26832a
    Preparation of amino acid-nucleic acid compounds.
ΙN
    Ramasamy, Kandasamy; Wang, Guangyi; Seifert, Wilfried
PΑ
     Icn Pharmaceuticals, USA
SO
     PCT Int. Appl., 143 pp.
     CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
                    KIND DATE APPLICATION NO.
    PATENT NO.
    WO 9614330
                       ____ ______
                        A1 19960517 WO 1995-US14599 19951102
PΙ
        W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG,
            KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL,
             RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                      A1 19960517 CA 1995-2202274 19951102
A 19960531 AU 1996-42341 19951102
     CA 2202274
     AU 9642341
                             19980702
19970820
                         В2
     AU 693622
     EP 789707
                         A1
                                           EP 1995-940671
                                                                   19951102
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
    CN 1171112 A 19980121 CN 1995-196989 19951102
HU 77435 A2 19980428 HU 1997-2053 19951102
                        A2 19980428
B 20000528
T 19980818
     HU 218086
     JP 10508312
                       T 19980818 JP 1995-515518
C2 20000820 RU 1997-108680
B1 20030829 PL 1995-320084
                                                                  19951102
    RU 2154638
                                                                   19951102
     PL 185852
                                                                   19951102
PRAI US 1994-333895
    US 1994-333895 A 19941102
WO 1995-US14599 W 19951102
     R3CHBCONRCH[CHR1(OH)]CHR2OH, R3CHBCHR4NRCH[CHR1(OH)]CHR2OH,
AΒ
     BZNRXCH[CHR1(OH)]CHR2OH, etc. [B = nucleoside base; R-R2 = H, OH, SH, CN,
     Me, OMe, SMe, ONH2, Ph, etc.; X, Z = (CH2)x, CO, CS, O, S, SO, SO2, NH,
     NOH, NMe, etc.; x = 1-7], were prepared as intermediates for oligonucleotide
     analogs. The oligonucleotide analogs are claimed, but no synthetic data
     for them are given. Thus, N-tert-butoxycarbonyl-O-benzyl-D-serinol
     (preparation given) was stirred with CF3CO2H in CH2Cl2 and the crude product
     was added to a mixture of thymineacetic acid (preparation given),
     N-methylmorpholine, and iso-Bu chloroformate in DMF to give 54%
     intermediate (I). I was hydrogenolyzed, dimethoxytritylated, and
    phosphitylated to give title compound (II).
    179472-14-1P 179472-15-2P 179472-16-3P
ΤT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of amino acid-nucleic acid compds.)
     179472-14-1 CAPLUS
RN
     Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-
CN
     dioxo-1(2H)-pyrimidinyl)-2-[[(1,1-dimethylethoxy)carbonyl]amino]propyl
     ester (CA INDEX NAME)
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RN 179472-15-2 CAPLUS

CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[(hydroxyacetyl)amino]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 179472-16-3 CAPLUS

CN Propanoic acid, 2-methyl-, (2R)-3-(3-benzoyl-3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[bis(4-methoxyphenyl)phenylmethoxy]acetyl]amino]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

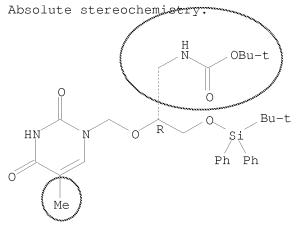
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 307

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L11 ANSWER 75 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1996:431361 CAPLUS
     125:115077
DN
OREF 125:21623a,21626a
    Preparation of novel antisense nucleotide analogs containing acyclic
     nucleoside analogs
ΙN
     Imanishi, Takeshi; Obika, Satoshi
PA
     Japan
SO
     PCT Int. Appl., 53 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                           APPLICATION NO.
     -----
WO 9606833
                        ____
                                            _____
                                                                   _____
                         A1 19960307 WO 1995-JP1729
                                                                   19950831
РΤ
         W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KE, KG,
         KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
             LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
             SN, TD, TG
     AU 9533545
                                19960322
                                            AU 1995-33545
                                                                    19950831
                          Α
                        Α
     JP 08119945
                                19960514
                                           JP 1995-222886
                                                                    19950831
                        А
PRAI JP 1994-207343
                                19940831
     WO 1995-JP1729
                         W
                                19950831
     Antisense mols. represented by the following general formulas (I, II, and
AB
     III; B1, B2 = the same or different pyrimidine or purine nucleic acid base
     or a derivative thereof; X, Y = oxygen or sulfur; R = H, alkyl, or acyl; W =
     H, alkyl, or acyl, or when X = oxygen, W = nucleotide, oligonucleotide, or
     polynucleotide bonded via a phosphate linkage; n = an integer of 1 to 50,
     provided when n \ge 2, B1 or B2 may be different from each other),
     which contain carbamate or thiocarbamate internucleotide linkages and
     acyclic nucleosides that alleviate the structural strain during the
     formation of a double strand and also suppress the manifestation of
     toxicity since they release glycerin derivs. in in vivo decomposition or
     metabolization, are prepared Thus, a 12-mer 5'-GCGTTTT-Tt-GCT-3' (Tt = Q,
     B1 = B2 = T) was prepared by the solid phase phosphoramidite method using a
     Pharmacia DNA synthesizer Gene Assembler Plus, and a heterodimer unit
     amidite (IV) [preparation from (S)-glycidol given]. 13-Mers
     5'-CTTTTTTTT-Tt-G-3', 5'-CTTTT-Tt-TTTTTG-3', and
     5'-C-Tt-Tt-Tt-Tt-Tt-TG-3' in vitro showed resistance to degradation by snake
     venom phosphodiesterase (exonuclease). A 21-mer
     5'-GCAG-Cc-TCCTTCCCATG-Cc-A-3' (Cc = Q, B = B = C) at 1 \muM in vitro
     inhibited the expression of human soluble IL-6R in CHO.SR344 cells to 180
     ng/mL from 240 ng/mL (control).
     173465-40-2P 173465-43-5P
173465-47-9P 173465-48-0P
ΙT
                                       173465-46-8P
                                       173465-49-1P
                     173465-62-8P
     173465-60-6P
                                       173465-64-0P
     173465-65-1P
                      173465-66-2P
                                        178748-33-9P
                   178748-36-2P
                                    178748-39-5P
     178748-35-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of novel antisense nucleotide analogs containing acyclic
nucleoside
        analogs and carbamate or thiocarbamate internucleotide linkages)
RN
     173465-40-2 CAPLUS
```

CN Carbamic acid, [2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)



RN 173465-43-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-amino-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173465-46-8 CAPLUS

CN Thymidine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

RN 173465-47-9 CAPLUS

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

RN 173465-48-0 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-,
3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

RN 173465-49-1 CAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

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RN 173465-60-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-,
3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

RN 173465-62-8 CAPLUS

CN Thymidine, 3'-acetate 5'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173465-64-0 CAPLUS

CN Thymidine, 3'-acetate 5'-[[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

RN 173465-65-1 CAPLUS

CN Thymidine, 5'-[[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173465-66-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-amino-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178748-33-9 CAPLUS

CN 6,12-Dioxa-2,8-diaza-13-silapentadecanoic acid, 4,10-bis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-14,14-dimethyl-7-oxo-13,13-diphenyl-, 1,1-dimethylethyl ester, [R-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178748-35-1 CAPLUS

CN Carbamic acid, [2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]-, 3-amino-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

RN 178748-36-2 CAPLUS

CN 6,12,18,24-Tetraoxa-2,8,14,20-tetraaza-25-silaheptacosanoic acid, 4,10,16,22-tetrakis[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-26,26-dimethyl-7,13,19-trioxo-25,25-diphenyl-, 1,1-dimethylethyl ester, [4R-(4R*,10R*,16R*,22R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

__ Me

RN 178748-39-5 CAPLUS

CN Carbamic acid, [2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

L11 ANSWER 76 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:398905 CAPLUS

DN 125:168550

OREF 125:31597a,31600a

- TI Properties of novel oligonucleotide analogs containing an acyclic nucleoside and a carbamate linkage
- AU Obika, Satoshi; Takashima, Yoshihiro; Matsumoto, Yasuhide; Shimoyama, Atsuko; Koishihara, Yasuo; Ohsugi, Yoshiyuki; Doe, Takefumi; Imanishi, Takeshi
- CS Faculty Pharmaceutical Sci., Osaka Univ., Osaka, 565, Japan
- SO Bioorganic & Medicinal Chemistry Letters (1996), 6(12), 1357-1360 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier
- DT Journal
- LA English
- AB Novel heterodimers containing an acyclic nucleoside and a carbamate linkage were incorporated into oligonucleotides, and the melting temps. of the DNA-DNA and DNA-RNA duplexes as well as the nuclease resistance of the modified oligonucleotides were studied.
- IT 173465-65-1
 - RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and phosphodiesterase resistance of novel
 oligodeoxyribonucleotide analog duplexes containing an acyclic nucleoside
 and a carbamate linkage)
- RN 173465-65-1 CAPLUS
- CN Thymidine, 5'-[[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L11 ANSWER 77 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:323791 CAPLUS

DN 125:87113

OREF 125:16456h, 16457a

TI Carbocation scavenging during oligonucleotide synthesis

IN Ravikumar, Vasulinga; Andrade, Mark; Mulvey, Dennis; Cole, Douglas L.

PA Isis Pharmaceuticals, Inc., USA

SO U.S., 12 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5510476	A	19960423	US 1994-271181	19940707
	US 5714597	A	19980203	US 1996-613036	19960308
PRAI	US 1994-271181	A1	19940707		

OS MARPAT 125:87113

AB A process is claimed for the synthesis of oligonucleotides comprising the steps of: (a) attaching a protected nucleoside to a solid support, said nucleoside protected at the 5'-0 hydroxyl position with an acid labile protecting group that forms a carbocation upon cleavage with acid; (b) removing said 5' protecting group with an acidic solution containing a carbocation scavenging agent to give the free 5' hydroxyl; (c) washing said solid support to remove excess acid solution and scavenged carbocation; (d) reacting in the presence of a catalyst said free 5' hydroxyl with a nucleotide containing an active phosphite; (e) oxidizing the phosphite to a phosphate; (f) capping remaining reactive sites with a solution containing an acid anhydride; (g) repeating steps (b) through (f) at least once for subsequent couplings of addnl. nucleotides; and (h) cleaving said oligonucleotide from said solid support. A 46 μ mole scale synthesis of 5'-TTG-CTT-CCA-TCT-TCC-TCG-TC-3' phosphorothioate was conducted on an automated synthesizer using the phosphoramidite method: in one synthesis deblocking of the 5'-0 dimethoxytrityl protecting group was carried out using 3% dichloroacetic acid in dichloromethane; in the second synthesis a mixture of 3% dichloroacetic acid and 3% triethylsilane as a carbocation scavenger, in dichloromethane, was used to remove the dimethoxytrityl protecting group. The yields for the full length oligomers for the control and for the triethylsilane prepns. were 76% and 84%, resp.

IT 171406-23-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (carbocation scavenging during oligonucleotide synthesis)

RN 171406-23-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

L11 ANSWER 78 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:109086 CAPLUS

DN 124:196605

OREF 124:36207a,36210a

TI Synthesis of oligopeptides as polynucleotide analogs

AU Umemiya, Hiroki; Kagechika, Hiroyuki; Hashimoto, Yuichi; Shudo, Koichi

CS Inst. of Molecular and Cellular Biosciences, Univ. of Tokyo, Tokyo, 113, Japan

SO Nucleosides & Nucleotides (1996), 15(1-3), 465-75 CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker

DT Journal

LA English

AB Several dipeptides which have a uracil moiety in their side chains were designed as nucleotide analogs. Oligopeptides obtained from the dipeptides as monomer units were water-soluble, but exhibited no hypochromic effect with poly A or poly dA.

RN 174230-78-5 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N[(phenylmethoxy)carbonyl]-L-2-aminobutanoyl-, methyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 174230-79-6 CAPLUS

CN D-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

RN 174230-80-9 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

174230-90-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (synthesis of oligopeptides as polynucleotide analogs)
RN 174230-88-7 CAPLUS
CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N[(phenylmethoxy)carbonyl]-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-qyrimidinyl-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-qyrimidinyl

dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX

174872-49-2P

NAME)

ΙT

Absolute stereochemistry.

174230-88-7P

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RN 174230-90-1 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-N-methyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-N-methyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-N-methyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-N-methyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-N-methyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

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RN 174872-49-2 CAPLUS
CN D-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

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IT 174230-93-4P 174230-96-7P 174230-97-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of oligopeptides as polynucleotide analogs)

RN 174230-93-4 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-N-methyl-, methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174230-92-3 CMF C13 H20 N4 O6

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 174230-96-7 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174230-95-6 CMF C12 H18 N4 O6

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 174230-97-8 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-N-methyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

10/585,283

L11 ANSWER 79 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN AN 1996:85567 CAPLUS 124:233126 DN OREF 124:43215a,43218a TISynthesis of oligopeptides as polynucleotide analogs ΑU Umemiya, Hiroki; Komatsu, Kazunori; Yamazaki, Takahisa; Kagechika, Hiroyuki; Shudo, Koichi; Hashimoto, Yuichi CS Fac. Pharm. Sci., Univ. Tokyo, Hongo, Bunkyo-ku, Tokyo, 113, Japan SO Nucleic Acids Symposium Series (1995), 34(Twentysecond Symposium on Nucleic Acids Chemistry, 1995), 37-8 CODEN: NACSD8; ISSN: 0261-3166 PB IRL Press DT Journal LA English Several uracil- or adenine-containing dipeptides I [n = 1; R = PhCH2O2C (Z),AΒ R1 = Me, X = Ser; R = 4-MeOC6H4CH2O2C (Moz), R1 = Gly, R1 = Et, R = Moz, X= D-Ser, R = Me] and II (n = 1), which have a nucleic acid base in their side chains were designed as water-soluble nucleotide analogs. Uracil- or adenine-containing amino acids were prepared by cyclocondensation of L-2,4-diaminobutanoic acid derivs. with MeOCH:CHCONCO or 4-amino-3,5-dichloropyrimidine and Et orthoformate, resp., and then coupled with serine or glycine esters to afford dipeptides. Oligopeptides I and II (n = 2, 4, 6) were prepared from the dipeptide monomer units. Although all the uracil-containing oligopeptides were water-soluble as expected, these exhibited no hypochromic effect with poly(A) or poly(dA). In contrast, adenine-containing oligopeptides exhibited large hypochromicity (ca. 30%) base-specifically with poly(dT) or poly(U). 174230-88-7P ΙT 174230-78-5P 174230-79-6P 174872-45-8P 174872-46-9P 174872-47-0P 174872-49-2P 174872-48-1P RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and DNA and RNA complexation of water-soluble uracil- and adenine-containing oligopeptides)

Absolute stereochemistry.

INDEX NAME)

RN

CN

174230-78-5 CAPLUS

RN 174230-79-6 CAPLUS

CN D-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-dioxo-1)+dioxo-1(2H)-dio

L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-

[(phenylmethoxy)carbonyl]-L-2-aminobutanoyl-, methyl ester (9CI)

methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 174230-88-7 CAPLUS

L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N[(phenylmethoxy)carbonyl]-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

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RN 174872-45-8 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N[(phenylmethoxy)carbonyl]-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 174872-46-9 CAPLUS

CN L-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N[(phenylmethoxy)carbonyl]-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

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PAGE 1-B

RN 174872-47-0 CAPLUS

CN D-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

RN 174872-48-1 CAPLUS

CN D-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 174872-49-2 CAPLUS
CN D-Serine, 4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-N-[[(4-methoxyphenyl)methoxy]carbonyl]-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-D-seryl-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

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OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

10/585,283

L11 ANSWER 80 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:10972 CAPLUS

DN 124:261557

OREF 124:48475a,48478a

- TI Synthesis of a carboxamide linked T*T dimer with an acyclic nucleoside unit and its incorporation in oligodeoxynucleotides
- AU Larsen, Erik; Danel, Krzysztof; Pedersen, Erik B.
- CS Dep. of Chemistry, Odense University, Odense, DK-5230, Den.
- SO Nucleosides & Nucleotides (1995), 14(9 & 10), 1905-12 CODEN: NUNUD5; ISSN: 0732-8311
- PB Dekker
- DT Journal
- LA English
- AB A T*T dimer with a 2'-OCH2CH2NHCO-4' linkage connecting two nucleoside units was prepared by condensation of $(S)-1-[2-(2-aminoethoxy)-3-(4,4'-dimethoxytrityloxy)propyl]thymine and 1,2-dideoxy-1-thyminyl-β-D-erythro-pentofuranuronic acid. The T*T dimer was incorporated in oligodeoxynucleotides and investigated for hybridization to DNA.$
- IT 168332-12-5P 168772-58-5P 168772-59-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of a carboxamide linked dinucleotide with an acyclic nucleoside unit and its incorporation in oligodeoxynucleotides)

RN 168332-12-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 168772-58-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(2-aminoethoxy)-3-[bis(4-methoxyphenyl)phenylmethoxy]propyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

RN 168772-59-6 CAPLUS

CN β -D-erythro-Pentofuranuronamide, N-[2-[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]ethoxy]ethyl]-1,2-dideoxy-1-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

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L11 ANSWER 81 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1995:982328 CAPLUS
    124:30276
DN
OREF 124:5823a,5826a
     Synthesis of acyclic oligonucleotides as antiviral and antiinflammatory
     agents and inhibitors of phospholipase A2
ΙN
     Cook, Phillip Dan; Acevedo, Oscar L.; Davis, Peter W.; Ecker, David J.;
     Hebert, Normand
     Isis Pharmaceuticals, Inc., USA
PA
     PCT Int. Appl., 126 pp.
SO
     CODEN: PIXXD2
DT
     Patent
    English
T.A
FAN.CNT 7
                 KIND DATE APPLICATION NO.
     PATENT NO.
                                                                 DATE
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WO 9518820
                                _____
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                                            _____
                         A1 19950713 WO 1995-US449
                                                                  19950111
PΤ
        W: CA, JP, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                 B1 20020910 US 1994-179970
                                                             19940111
     US 6448373
                        A1
C
A1
     CA 2180867
                                19950713
                                           CA 1995-2180867
                                                                   19950111
     CA 2180867
                               20041214
     EP 739351
                             19961030
20020410
                                           EP 1995-908491
                                                                   19950111
     EP 739351
                         В1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     JP 09508105 T 19970819 JP 1995-518700
                                                                   19950111
     JP 3072127
JP 3072127 B2 20000731
AT 215960 T 20020415 AT 1995-908491
US 5886177 A 19990323 US 1996-669506
US 20030065146 A1 20030403 US 2002-162365
PRAI US 1994-179970 A 19940111
WO 1995-US449 W 19950111
                                                                19950111
                                                                  19960808
                                                                   20020603
OS
     MARPAT 124:30276
AΒ
     Title ethylene glycol acyclic oligonucleotides I (Q = alkyl, alkenyl,
     alkynyl, alkylamino, ester amide, thio ester, imine, sulfonyl; X = H,
     PO3H2, polymer support; Y = H, protected hydroxyl; Z = nucleobase,
     polyether, polyethylene glycol, N-containing heterocycle; n = 0, Z =
     nucleobase, alkylamine; m = 1-6) were prepared as antiviral and
     antiinflammatory agents and inhibitors of phospholipase A2.
ΙT
     171406-23-8P 171406-29-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (synthesis of acyclic oligonucleotides as antiviral and
        antiinflammatory agents and inhibitors of phospholipase A2)
RN
     171406-23-8 CAPLUS
     2,4(1H,3H)-Pyrimidinedione, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-
CN
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hydroxypropyl]-5-methyl- (CA INDEX NAME)

RN 171406-29-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/585,283

L11 ANSWER 82 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:957653 CAPLUS

DN 124:146715

OREF 124:27305a,27308a

- TI Syntheses of novel nucleoside dimer analogs containing an acyclic nucleoside and a carbamate linkage
- AU Obika, Satoshi; Takashima, Yoshihiro; Matsumoto, Yasuhide; Kuromaru, Kiyonori; Imanishi, Takeshi
- CS Fac. Pharm. Sci., Osaka Univ., Osaka, 565, Japan
- SO Tetrahedron Letters (1995), 36(47), 8617-20 CODEN: TELEAY; ISSN: 0040-4039
- PB Elsevier
- DT Journal
- LA English
- AB Novel acyclic nucleoside analogs, e.g. I (T = thymine), were synthesized and successfully incorporated into heterolytic nucleoside dimers, e.g. II, containing natural nucleosides and a carbamate linkage.
- IT 173465-66-2
 - RL: RCT (Reactant); RACT (Reactant or reagent)

(syntheses of nucleoside dimer analogs containing an acyclic nucleoside and carbamate linkage)

- RN 173465-66-2 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-amino-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 173465-40-2P 173465-43-5P 173465-46-8P 173465-47-9P 173465-48-0P 173465-49-1P 173465-60-6P 173465-62-8P 173465-64-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of nucleoside dimer analogs containing an acyclic nucleoside and carbamate linkage)

- RN 173465-40-2 CAPLUS
- CN Carbamic acid, [2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

RN 173465-43-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-amino-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173465-46-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-,
3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

RN 173465-47-9 CAPLUS

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

RN 173465-48-0 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-,
3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

RN 173465-49-1 CAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (R)- (9CI) (CA INDEX NAME)

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RN 173465-60-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-,
3'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

RN 173465-62-8 CAPLUS

CN Thymidine, 3'-acetate 5'-[[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173465-64-0 CAPLUS

CN Thymidine, 3'-acetate 5'-[[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

IT 173465-65-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (syntheses of nucleoside dimer analogs containing an acyclic nucleoside and carbamate linkage)

RN 173465-65-1 CAPLUS

CN Thymidine, 5'-[[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl]carbamate], (S)- (9CI) (CA INDEX NAME)

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

- L11 ANSWER 83 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1995:820573 CAPLUS
- DN 123:257406
- OREF 123:46059a,46062a
- TI Preparation of nucleic acid-binding oligomers with amino acid-containing backbones and nucleobase-containing side chains for therapy and diagnosis.
- IN Loebberding, Antonius; Mielke, Burkhard; Schwemler, Chrostoph; Schwenner, Eckhardt; Stropp, Udo; Springer, Wolfgang; Kretschmer, Axel; Poetter, Thorsten
- PA Bayer A.-G., Germany
- SO Ger. Offen., 46 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

RN

L WIN .	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4331011	A1	19950316	DE 1993-4331011	19930913
	EP 646596	A1	19950405	EP 1994-113573	19940831
	EP 646596	B1	19990526		
	R: AT, BE, CH,	DE, DK,	ES, FR, GB	G, GR, IE, IT, LI, NL,	SE
	AT 180494	T	19990615	AT 1994-113573	19940831
	ES 2131612	Т3	19990801	ES 1994-113573	19940831
	AU 9471619	A	19950323	AU 1994-71619	19940901
	JP 07112969	A	19950502	JP 1994-238619	19940907
	CA 2131760	A1	19950314	CA 1994-2131760	19940909
	US 5849893	A	19981215	US 1996-719048	19960924
PRAI	DE 1993-4331011	A	19930913		
	US 1994-300910	A3	19940906		
0.0	MADDAM 100 057406				

OS MARPAT 123:257406

AB Title compds. [T:

AB Title compds. [I; A = CO, CHR, CRR'; R, R' = H, Oh, alkyl, aralkyl, aryl; B = H, OH, alkanoyl, DNA intercalator, aryl, heterocyclyl, (modified) naturally occurring nucleobase; C = CH, CR; D = NH, CH2, CHR, CRR'; E = NR, CHR, CRR', O, S; A can be bonded to E via (CH2)n; n = 0-2; F = CH2, CO, SO2, SO, CS; Q = (CR1R2)m; m = 0-2; R1, R2 = (un)natural amino acid residue; G can be bonded to Q by (CH2)n; G = NH, NR, O, S; M = CH2, CO, SO2, SO, CS; L = (CH2)p, CHR, CRR'; p = 0-2; K, N = H, carrier system, reporter ligand, solubility enhancing group; s = 1-30], were prepared for control

of gene expression (no data). Thus, H-(Q1)3-Gly-OH was prepared by solid phase synthesis using BOC-protected reactants (preparation given) on phenylacetamidomethyl resin. Title compds. are said to have antiviral activity.

IT 168264-38-8P 168264-39-9P 168264-44-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleic acid-binding oligomers with amino acid-containing backbones and nucleobase-containing side chains for therapy and diagnosis) 168264-38-8 CAPLUS

CN L-Alanine, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-alanyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoylglycyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoylglycyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoylglycyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoylglycyl-4-(3,4-dihydro-5-

methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoylglycyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoylglycyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-C

PAGE 2-A

RN 168264-39-9 CAPLUS

CN L-Aspartic acid, $4-(3,4-\operatorname{dihydro}-5-\operatorname{methyl}-2,4-\operatorname{dioxo}-1(2H)-\operatorname{pyrimidinyl})-L-2-\operatorname{aminobutanoylglycyl}-4-(3,4-\operatorname{dihydro}-5-\operatorname{methyl}-2,4-\operatorname{dioxo}-1(2H)-\operatorname{pyrimidinyl})-L-2-\operatorname{aminobutanoyl}-L-\alpha-\operatorname{aspartyl}-4-(3,4-\operatorname{dihydro}-5-\operatorname{methyl}-2,4-\operatorname{dioxo}-1(2H)-\operatorname{pyrimidinyl})-L-2-\operatorname{aminobutanoylglycyl}-4-(3,4-\operatorname{dihydro}-5-\operatorname{methyl}-2,4-\operatorname{dioxo}-1(2H)-\operatorname{pyrimidinyl})-L-2-\operatorname{aminobutanoyl}-L-\alpha-\operatorname{aspartyl}-4-(3,4-\operatorname{dihydro}-5-\operatorname{methyl}-2,4-\operatorname{dioxo}-1(2H)-\operatorname{pyrimidinyl})-L-2-\operatorname{aminobutanoylglycyl}-4-(3,4-\operatorname{dihydro}-5-\operatorname{methyl}-2,4-\operatorname{dioxo}-1(2H)-\operatorname{pyrimidinyl})-L-2-\operatorname{aminobutanoyl}-L-\alpha-\operatorname{aspartyl}-4-(3,4-\operatorname{dihydro}-5-\operatorname{methyl}-2,4-\operatorname{dioxo}-1(2H)-\operatorname{pyrimidinyl})-L-2-$

aminobutanoylglycyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-B

RN 168264-44-6 CAPLUS

CN L-Alanine, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-L-alanyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 168264-02-6P 168264-03-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleic acid-binding oligomers with amino acid-containing backbones and nucleobase-containing side chains for therapy and diagnosis)

RN 168264-02-6 CAPLUS

CN 1(2H)-Pyrimidinebutanoic acid, 3-benzoyl- α -[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-5-methyl-2,4-dioxo-, 1,1-dimethylethyl ester, (α S)- (CA INDEX NAME)

RN 168264-03-7 CAPLUS

CN 1(2H)-Pyrimidinebutanoic acid, α -[[(1,1-dimethylethoxy)carbonyl]amino]-3,4-dihydro-5-methyl-2,4-dioxo-,1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L11 ANSWER 84 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:631154 CAPLUS

DN 123:257226

OREF 123:46023a,46026a

TI Evaluation of oligonucleotides with novel modifications

AU Larsen, Erik; Danel, Krzysztof; Abdel-Aleem, Abdel-Aleem H.; Nielsen, Poul; Wengel, Jesper; Pedersen, Erik B.

CS Dep. of Chemistry, Odense Univ., Odense, DK-5230, Den.

SO Nucleosides & Nucleotides (1995), 14(3-5), 1097-100 CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker

DT Journal

LA English

AB Oligodeoxynucleotides modified with carboxamide linked dimeric nucleotide and an acyclic nucleoside were prepared and investigated for their hybridization properties toward DNA.

IT 168332-12-5P 168772-58-5P 168772-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carboxamide linked oligodeoxyribonucleotides and hybridization toward DNA)

RN 168332-12-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 168772-58-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(2-aminoethoxy)-3-[bis(4-methoxyphenyl)phenylmethoxy]propyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

RN 168772-59-6 CAPLUS

CN β -D-erythro-Pentofuranuronamide, N-[2-[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]ethoxy]ethyl]-1,2-dideoxy-1-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L11 ANSWER 85 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:631089 CAPLUS

DN 123:286627

OREF 123:51386h,51387a

TI Peptide analogs of DNA consisting of $L-\alpha-amino-\gamma-thymine$ butyric acid and L-valine subunits

AU Ceulemans, G.; Khan, K.; Van Schepdael, A.; Herdewijn, P.

CS Rega Inst. for Medical Res., Katholieke Univ. Leuven, Louvain, B-3000, Belg.

SO Nucleosides & Nucleotides (1995), 14(3-5), 813-16 CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker

DT Journal

LA English

AB Reaction of N-Boc-L-homoserine benzylester with N3-benzoylthymine under Mitsunobu conditions afforded N-Boc-L- α -amino- γ -N3-benzoylthymine butyric acid benzyl ester. After removal of the N-benzoyl and O-benzyl protecting group, this compound was used in solution phase peptide synthesis.

IT 169515-42-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (peptide analogs of DNA consisting of aminothyminebutyric acid and valine subunits)

RN 169515-42-8 CAPLUS

CN L-Valine, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2- aminobutanoyl-L-valyl-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-L-2-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L11 ANSWER 86 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:476641 CAPLUS

DN 123:257237

OREF 123:46023a,46026a

TI Synthesis and evaluation of oligodeoxynucleotides containing acyclic nucleosides: introduction of three novel analogs and a summary

AU Nielsen, Poul; Dreioe, Lars H.; Wengel, Jesper

CS Dep. Chem., Odense Univ., Odense, DK-5230, Den.

SO Bioorganic & Medicinal Chemistry (1995), 3(1), 19-28 CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier

DT Journal

LA English

AB Novel flexible oligodeoxynucleotides containing (S)-1-(2,3-dihydroxypropyl)thymine or 2',3'-seco-thymidine nucleoside analogs were synthesized on an automated DNA-synthesizer. Oligodeoxynucleotides with one, two or three acyclic nucleosides incorporated in the middle or in the ends of 17-mers have been evaluated. 3'-End-modified oligomers were significantly stabilized towards 3'-exonucleolytic degradation compared to unmodified analogs and showed acceptable hybridization properties as measured by UV expts. For oligodeoxynucleotide analogs containing the three novel acyclic monomers in the middle, a more pronounced reduction in duplex stability was observed. All oligodeoxynucleotides containing acyclic nucleoside analogs made so far are evaluated with respect to stability towards 3'-exonucleolytic degradation and hybridization properties.

IT 168332-12-5P 168332-14-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and exonuclease stability of oligodeoxyribonucleotides containing acyclic nucleosides)

RN 168332-12-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 168332-14-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R)-3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L11 ANSWER 87 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:270807 CAPLUS

DN 123:199277

OREF 123:35601a,35604a

TI Synthesis of potentially antiviral cyclopropyl nucleosides

AU Cluet, F.; Haudrechy, A.; Le Ber, P.; Sinay, P.; Wick, A.

CS Synthelabo Recherche, Z.I. Limay-Porcheville, Gargenville, 78440, Fr.

SO Synlett (1994), (11), 913-15 CODEN: SYNLES; ISSN: 0936-5214

PB Thieme

DT Journal

LA English

AB Novel rigid cyclopropyl nucleosides I (R = N3, R1 = OH; R = OH, R1 = N3) have been prepared. The thymine heterocycle was created through a novel mild mercuri-intramol cyclocondensation reaction or coupled with a selectively trisubstituted cyclopropane ring.

IT 167627-79-4P 167627-82-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of potentially antiviral cyclopropyl nucleosides)

RN 167627-79-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[(acetyloxy)methyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]cyclopropyl]methyl]-5-methyl-, $(1\alpha, 2\beta, 3\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 167627-82-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, $1-[[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3-(hydroxymethyl)cyclopropyl]methyl]-5-methyl-, <math>(1\alpha, 2\alpha, 3\beta)$ -(9CI) (CA INDEX NAME)

Relative stereochemistry.

OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L11 ANSWER 88 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:92509 CAPLUS

DN 122:240299

OREF 122:43933a,43936a

TI Synthesis and antiviral study of acyclic analogs of 3'-azido, 3'-amino, and 3'-fluoro-3'-deoxythymidine, and of HEPT analogs

AU Trinh, Minh-Chau; Florent, Jean-Claude; Grierson, David S.; Monneret,

CS Sect. Biol., Inst. Curie, Paris, F-75231, Fr.

SO Synthesis (1994), (9), 939-43 CODEN: SYNTBF; ISSN: 0039-7881

DT Journal

LA English

AB Several new acyclic nucleoside HEPT analogs I (R = F, N3, OH) have been synthesized from racemic epi-chlorohydrin. This involves epoxide opening followed by chain elongation with iodomethyl Ph sulfide and subsequent coupling of the phenylthioacetal with thymine. None of these nucleosides showed any significant inhibitory activity against HIV.

IT 162314-55-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antiviral study of acyclic analogs of azido amino and fluorodeoxythymidine and of HEPT analogs)

RN 162314-55-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-amino-1-[[[dimethyl(1,1,2-trimethylpropyl)silyl]oxy]methyl]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

IT 162314-57-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antiviral study of acyclic analogs of azido amino and fluorodeoxythymidine and of HEPT analogs)

RN 162314-57-0 CAPLUS

CN Formamide, N-[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[dimethyl(1,1,2-trimethylpropyl)silyl]oxy]propyl]-(CA INDEX NAME)

IT 162314-56-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis and antiviral study of acyclic analogs of azido amino and fluorodeoxythymidine and of HEPT analogs)

RN 162314-56-9 CAPLUS

CN Cyanamide, [2-[[3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl]methoxy]-3-[[dimethyl(1,1,2-trimethylpropyl)silyl]oxy]propyl]- (9CI) (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L11 ANSWER 89 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:15565 CAPLUS

DN 122:187987

OREF 122:34451a,34454a

 ${\tt TI}$ Mixed oligonucleotide analogs with an acyclic carbohydrate moiety and ${\tt N-cyanoguanidine}$ functionality

AU Pannecouque, C.; Schepers, G.; Rozenski, J.; Van Aerschot, A.; Claes, P.; Herdewijn, P.

CS Lab. Med. Chem., Kathol. Univ. Leuven, Louvain, B-3000, Belg.

SO Bioorganic & Medicinal Chemistry Letters (1994), 4(10), 1203-6 CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

AB Mixed oligonucleotide analogs having a backbone structure with a N-cyanoguanidine functionality and an acyclic sugar moiety were synthesized. This combination, however, has a detrimental effect on duplex stability of DNA-DNA hybrids.

IT 160998-65-2P 160998-69-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of cyanoguanidine linked acyclic

oligodeoxyribonucleotide duplexes)

RN 160998-65-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-amino-1-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} & \text{CH}_2-\text{NH}_2 & \text{O} & \text{H} \\ \text{C}-\text{O}-\text{CH}_2-\text{CH}-\text{O}-\text{CH}_2 & \text{N} & \text{Me} \\ \\ \text{MeO} & \text{OMe} & \text{OMe} \end{array}$$

RN 160998-69-6 CAPLUS

CN Thymidine, 5'-[[[[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl]amino](cyanoamino)methyl ene]amino]-5'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 90 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1994:457858 CAPLUS

DN 121:57858

OREF 121:10449a, 10452a

TI Synthesis and anti-HIV-1 activities of 6-arylthio and 6-arylselenoacyclonucleosides

AU Pan, Bai Chuan; Chen, Zhi Hao; Piras, Giovanna; Dutschman, Ginger E.; Rowe, Elizabeth C.; Cheng, Yung Chi; Chu, Shih Hsi

CS Div. Biol. Med., Brown Univ., Providence, RI, 02912, USA

SO Journal of Heterocyclic Chemistry (1994), 31(1), 177-85 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

- AB 6-Arylthio and 6-arylselenoacyclonucleosides were synthesized and tested for the ability to inhibit replication of HIV-1. Lithiation of acyclonucleosides with LDA followed by reaction with the electrophiles Ph disulfide, di-Ph diselenide, 2, 2'-dipyridyl disulfide or 2, 2'-dipyridyl diselenide afforded acyclonucleosides I [R = H, Me, Et; R1 = SePh, 2-pyridylthio, 2-pyridylseleno; R2 = CH2Ph, cyclohexylmethyl, CHPhOH]. I [R2 = CHPhOH] were obtained by deprotection of I [R2 = CHPhOSiMe2CMe3]. Dehydrated products I [R2 = CPh:CH2] were also formed during the reactions. I [R = Et, R1 = 2-pyridylthio, 2-pyridylseleno, R2 = CH2Ph] were more active against HIV-1 in both MT-2 and CEM-IW cell lines than AZT, DDC, DDI or D4T. The EC50 of I [R = Et, R1 = 2-pyridylthio, R2 = CH2Ph] against HIV-1 in CEM-IV cell was in the nanomolar range with a therapeutic index of 1100.
- IT 155831-61-1P 155831-62-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation and reaction of, in preparation of arylthio- and arylselenoacyclonucleosides)

RN 155831-61-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-phenylethoxy]methyl]- (CA INDEX NAME)

O
$$\stackrel{\text{H}}{\text{N}}$$
 O $\stackrel{\text{Ph}}{\text{CH}_2}$ O $\stackrel{\text{Me}}{\text{CH}_2}$ O $\stackrel{\text{CH}_2}{\text{CH}_2}$ O $\stackrel{\text{Si}}{\text{Bu-t}}$ $\stackrel{\text{Me}}{\text{Me}}$

RN 155831-62-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-phenylethoxy]methyl]-5-methyl- (CA INDEX NAME)

OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L11 ANSWER 91 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN AN 1993:517755 CAPLUS 119:117755 DN OREF 119:21211a,21214a Acyclic 6-phenylselenenylpyrimidine nucleosides as antiviral agents ΙN Schinazi, Raymond F. PΑ Baker Cummins Pharmaceuticals, Inc., USA SO PCT Int. Appl., 26 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 APPLICATION NO. PATENT NO. KIND DATE DATE ____ _____ _____ WO 9302044 19930204 WO 1992-US3824 PΙ A1 19920508 W: AU, CA, FI, JP, KR, NO RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE AU 9219944 19930223 AU 1992-19944 19920508 Α PRAI US 1991-733346 Α 19910722 WO 1992-US3824 Α 19920508 OS MARPAT 119:117755 AΒ The present invention consists of title compds. I (R1 = H, halo, vinyl, halovinyl, C1-3 alkyl, haloalkyl, hydroxyalkyl; R2 = H0, H; X1 = NH, O; X2, X3 = 0, S), which are useful as antiviral agents. Thus, 1-(ethoxymethyl)-6-(phenylselenenyl)thymine(I, R1 = Me, R2 = H, X1-X3 = O), prepared by sequential condensation of EtOCH2Cl with bis(trimethylsilyl)thymine, silylation with Me3CMe2SiCl, selenylation with (Me2CH)2NLi-PhSeSePh, and then desilylation, showed an EC50 of 1.2 μM against HIV-1 in human peripheral blood mononuclear cells. 121749-94-8P 121749-98-2P ΙT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and selenylation of, in synthesis of antivirial nucleoside) RN 121749-94-8 CAPLUS CN $2,4(1H,3H)-Pyrimidinedione, <math>1-\lceil 2-\lceil (1,1-1)\rceil \rceil$

N 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

O
$$\stackrel{\text{H}}{\text{N}}$$
 O $\stackrel{\text{Me}}{\text{CH}_2}$ O $\stackrel{\text{CH}_2}{\text{CH}_2}$ O $\stackrel{\text{CH}_2}{\text{CH}_2}$ O $\stackrel{\text{Si-Bu-t}}{\text{Me}}$

RN 121749-98-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Me
$$\sim$$
 CH₂-O-CH₂-CH₂-O-Si-Bu-t \sim Me \sim Me \sim Me

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 92 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1993:444720 CAPLUS

DN 119:44720

OREF 119:8046h,8047a

TI Production and use of magnetic porous inorganic materials

IN Wong, Yuan N.

PA CPG, Inc., USA

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.						KIND		DATE		APPLICATION NO.				DATE		
ΡI	WO	9310162				A1		19930527		WC	1992-	 -US10113			19921117		
		W:	ΑU,	CA,	JP,	US											
		RW:	ΑT,	BE,	CH,	DE,	DK,	, ES,	FR,	GB, G	R, IE,	ΙΤ,	LU,	MC,	NL,	SE	
	US	5610274				А		1997	0311	US	1992-	95226	54		19	9920928	
	ΑU	9331465				Α		1993	0615	AU	1993-	31465	5		19	9921117	
PRAI	US	JS 1991-794910				A1		1991	1120								
	WO 1992-US10113				A		1992	1117									

AB Magnetic porous siliceous materials of approx. particle size $1-200~\mu m$ are disclosed which are useful for solid supports in chromatog., immunoassays, synthesis, and other separation and purification procedures.

Thus, a

controlled-pore glass (CPG) slurry was treated with a com. colloidal iron oxide to produce magnetic CPG particles, which were characterized. These articles were amino-functionalized by treatment with γ -aminopropyltrimethoxysilane, and the product particles were used to immobilize antibody to hepatitis B surface antigen; the antibody-coated particles were used in an RIA. Preparation of a magnetic nucleoside CPG and of a magnetic protein A CPG is also described.

IT 120188-25-2

RL: ANST (Analytical study)

(immobilization of, magnetic controlled-pore glass particles for)

RN 120188-25-2 CAPLUS

CN Butanedioic acid, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl] ester (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{O}-\text{C}-\text{CH}_2-\text{CH}_2-\text{CO}_2\text{H} \\ \text{Ph} \\ \text{C}-\text{O}-\text{CH}_2-\text{CH}-\text{O}-\text{CH}_2-\text{N} \\ \text{MeO} \end{array}$$

OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 93 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1993:102380 CAPLUS

DN 118:102380

OREF 118:17953a,17956a

TI 1',2'-seco-2',3'-Dideoxynucleoside analogs: synthesis and antiviral evaluation of racemic trans-[(1',5'-dihydroxy 3',4'-methylenylpent-2'-oxy)methyl]nucleosides

AU Azymah, Muhammad; Chavis, Claude; Fruchier, Alain; Lucas, Marc; Imbach, Jean Louis

CS Lab. Chim. Bio-Org., Univ. Montpellier II, Sci. Tech. Languedoc, Montpellier, 34095, Fr.

SO Nucleosides & Nucleotides (1992), 11(9), 1607-20 CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

LA English

OS CASREACT 118:102380

AB Reaction of (±)but-3-en-1,2-diol with N2CHCO2Et afforded two cyclopropyl compds. I. Their relative trans stereochem. at C-2 and C-3 has been determined by high-field and computational NMR spectroscopy. Title racemic nucleosides II (B = adenine, cytosine, guanine, thymine) have been obtained through a regiospecific alkylation procedure and their antiviral evaluation is reported.

IT 146061-97-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and desilylation of)

RN 146061-97-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-[2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]cyclopropyl]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 94 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1992:551267 CAPLUS

DN 117:151267

OREF 117:26221a,26224a

TI Isotactic glycero oligothymidylate. A convenient preparation of (R)- and $(S)-1',2'-\sec -2'-nor-thymidine$

AU Azymah, Muhammad; Chavis, Claude; Lucas, Marc; Morvan, Francois; Imbach, Jean Louis

CS Lab. Chim. Bio-Org., Univ. Montpellier II, Sci. Tech. Languedoc, Montpellier, 34095, Fr.

SO Nucleosides & Nucleotides (1992), 11(6), 1241-55 CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

LA English

OS CASREACT 117:151267

AB (R)- And (S)-dimethoxytrityl derivs. of 1',2'-seco-2'-nor-thymidine were synthesized in an efficient way. Isotactic dodecaoligoglycerothymidylate was obtained by a solid support phosphoramidite approach. The lack of hybridization with poly rA makes this acyclic oligonucleotide useless as antisense or sense agent.

IT 143381-08-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and benzoylation of)

RN 143381-08-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-(hydroxymethyl)ethoxy]methyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 143381-05-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and debenzoylation of)

RN 143381-05-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-(benzoyloxy)-1-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]ethoxy]methyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

IT 143381-07-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and desilylation of)

RN 143381-07-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 143381-09-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and detritylation of)

RN 143381-09-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-(benzoyloxy)-1-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]ethoxy]methyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

IT 143381-12-8DP, polymer support 143381-14-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in synthesis of oligodeoxyribonucleotide)

RN 143381-12-8 CAPLUS

CN Butanedioic acid, mono[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl] ester, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 143381-14-0 CAPLUS

CN Butanedioic acid, 3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl pentachlorophenyl ester, (R)- (9CI) (CA INDEX NAME)

IT 124318-82-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in synthesis of oligonucleotide)

RN 124318-82-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1S)-2-[bis(4-methoxyphenyl)phenylmethoxy]-1-(hydroxymethyl)ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 143381-13-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with pentachlorophenyl)

RN 143381-13-9 CAPLUS

CN Butanedioic acid, mono[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl] ester, (R)-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143381-12-8 CMF C34 H36 N2 O10

CM 2

CRN 121-44-8 CMF C6 H15 N

IT 143381-06-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and tritylation of)

RN 143381-06-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-(hydroxymethyl)ethoxy]methyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 143381-03-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, debenzylation, and desilylation of)

RN 143381-03-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-(benzoyloxy)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-, (S)-(9CI) (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L11 ANSWER 95 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1992:490674 CAPLUS

DN 117:90674

OREF 117:15849a, 15852a

TI Synthesis of 1',2'-seco-nucleoside analogs of AZT

AU Vemishetti, Purushotham; El Subbagh, Hussein I.; Abushanab, Elie; Panzica, Raymond P.

CS Dep. Med. Chem., Univ. Rhode Island, Kingston, RI, 02881, USA

SO Nucleosides & Nucleotides (1992), 11(2-4), 739-48 CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

LA English

OS CASREACT 117:90674

AB Seco-nucleosides I were prepared and evaluated as antiviral agents. The chiral, acyclic side chains of these thymine acyclonucleosides were derived from D-isoascorbic acid. I were screened against HIV, other RNA viruses, and two DNA viruses and they were found to be inactive.

RN 142681-62-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-hydroxy-1[(triphenylmethoxy)methyl]propoxy]methyl]-5-methyl-, [R-(R*,R*)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 142681-66-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-hydroxy-1-[(triphenylmethoxy)methyl]propoxy]methyl]-5-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

L11 ANSWER 96 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1992:490666 CAPLUS

DN 117:90666

OREF 117:15845a,15848a

TI Synthesis of some analogs of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT) which have different types of acyclic structures

AU Tanaka, Hiromichi; Miyasaka, Tadashi; Sekiya, Kouichi; Takashima, Hideaki; Ubasawa, Masaru; Nitta, Issei; Baba, Masanori; Walker, R. T.; De Clercq, E.

CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan

SO Nucleosides & Nucleotides (1992), 11(2-4), 447-56 CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

LA English

AB Analogs of a recently developed specific anti-HIV-1 agent HEPT, having different types of acyclic moieties, I-III were synthesized based on lithiation chemical Anti-HIV-1 activity of these analogs is also described.

IT 125057-15-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and sequential sulfuration and desilylation of)

RN 125057-15-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propoxy]methyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

IT 125057-17-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and sulfuration of)

RN 125057-17-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-(CA INDEX NAME)

OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L11 ANSWER 97 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1992:427024 CAPLUS

DN 117:27024

OREF 117:4907a,4910a

TI Convenient synthesis of $(\pm)-1',2'-\sec -2',3'-methanonucleosides$

AU Nechab, Malika; Chavis, Claude; Lucas, Marc; Imbach, Jean Louis

CS Lab. Chim. Bio-Org., Univ. Montpellier II, Montpellier, 34095, Fr.

SO Synthetic Communications (1992), 22(8), 1115-26 CODEN: SYNCAV; ISSN: 0039-7911

DT Journal

LA English

OS CASREACT 117:27024

AB The racemic 1',2'-seco-2',3'-methanonucleosides I (B =adenine, cytosine, guanine, thymine, uracil) have been synthesized by a 5 step chemical sequence. None of the 5 nucleosides had any effect against various DNA or RNA viruses in cell cultures.

IT 141619-32-1P 141619-35-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and desilylation of)

RN 141619-32-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[1-cyclopropyl-2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

RN 141619-35-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[1-cyclopropyl-2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L11 ANSWER 98 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1992:59876 CAPLUS

DN 116:59876

OREF 116:10381a,10384a

TI Structure-activity relationships of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine analogs: effect of substitutions at the C-6 phenyl ring and at the C-5 position on anti-HIV-1 activity

AU Tanaka, Hiromichi; Takashima, Hideaki; Ubasawa, Masaru; Sekiya, Kouichi; Nitta, Issei; Baba, Masanori; Shigeta, Shiro; Walker, Richard T.; De Clercq, Erik; Miyasaka, Tadashi

CS Sch. Pharm. Sci., Showa Univ., Shinagawa, 142, Japan

SO Journal of Medicinal Chemistry (1992), 35(2), 337-45 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 116:59876

AB The effect of substitution on the pyrimidine moiety of the title compds. I (R = 1, R1 = Me; X = 0, S) on anti-HIV-1 activity was investigated by synthesizing a series of 5-methyl-6-(arylthio) and 5-substituted-6-(phenylthio) derivs. Preparation of the 5-methyl-6-(arylthio) derivs. was carried out via lithiation of thymines II (X = 0, S) followed by reaction with diaryl disulfides or via addition-elimination reaction of 1-[[2-(tert-butyldimethylsiloxy)ethoxy]methyl]-6-(phenylthio)uracil or 5-alkyl-1-[[2-tert-butyldimethylsilyloxy)ethoxy]methyl]-2-thiouracil derivs. Substitution at the meta position of the C-6-(phenylthio) ring of I (R = H, R1 = Me, X = 0) improved the anti-HIV-1 activity, i.e. ED50 of I (R = 3,5-Me2, R1 = Me, X = 0, S) = 0.26. When the 5-Me group was replaced by an Et or an iso-Pr group, the anti-HIV-1 activity was also improved remarkably i.e. I (R = H, R1 = Et, CHMe2, X = S) ED50, 0.11, 0.059 μM.

IT 121749-98-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with diaryl disulfides)

RN 121749-98-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

OSC.G 93 THERE ARE 93 CAPLUS RECORDS THAT CITE THIS RECORD (93 CITINGS)

L11 ANSWER 99 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1991:632736 CAPLUS

DN 115:232736

OREF 115:39693a,39696a

TI Activity of acyclic 6-(phenylselenenyl)pyrimidine nucleosides against human immunodeficiency viruses in primary lymphocytes

AU Goudgaon, Naganna M.; Schinazi, Raymond F.

CS Veterans Aff. Med. Cent., Atlanta, GA, USA

SO Journal of Medicinal Chemistry (1991), 34(11), 3305-9 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 115:232736

AB Several 6-phenylselenenyl-substituted acyclouridine derivs., e.g. I (R = H, F, Cl, Me, R1 = SePh), were prepared from acyclonucleosides I (R1 = H) in 3 steps. The potency and spectrum of activity of title compds. against HIV-1 in vitro was similar to I (R = Me, R1 = SPh) (HEPT). However, whereas HEPT inhibited HIV-1 reverse transcriptase, the selenium-containing derivs. were ineffective suggesting a different mechanism of action. Of significance was the finding that the 6-phenylselenenyl acyclonucleosides inhibited also HIV-2 in primary human lymphocytes.

IT 121749-94-8P 121749-98-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and sequential lithiation and reaction of, with di-Ph diselenide)

RN 121749-94-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

RN 121749-98-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

L11 ANSWER 100 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1991:536610 CAPLUS

DN 115:136610

OREF 115:23447a,23450a

- \mbox{TI} Synthesis of 1',2'-seco analogs of dideoxy didehydro nucleosides as potential antiviral agents
- AU Azymah, Muhammad; Chavis, Claude; Lucas, Marc; Imbach, Jean Louis
- CS Lab. Chim. BioOrg., Univ. Montpellier II, Montpellier, 34095, Fr.
- SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1991), (6), 1561-3 CODEN: JCPRB4; ISSN: 0300-922X
- DT Journal
- LA English
- OS CASREACT 115:136610
- AB The racemic 1',2'-seco analogs of dideoxydidehydronucleosides, e.g. I (B = adenine, thymine, cytosine), have been synthesized via 6-step chemical sequence and their antiviral evaluation is reported. None of the acyclic unsatd. nucleosides had any effect against various DNA or RNA viruses in cell cultures.
- IT 136083-18-6P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and desilylation of)

- RN 136083-18-6 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[[1-[[(1,1-

dimethylethyl)diphenylsilyl]oxy]methyl]-2-propen-1-yl]oxy]methyl]-5-methyl(CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{CH}_2\text{--}\text{O}\text{--}\text{Si--}\text{Bu-t} \\ | \\ \text{Me} \\ \text{CH}_2\text{--}\text{O}\text{--}\text{CH}\text{--}\text{CH} \\ | \\ \text{CH}_2 \\ \end{array}$$

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L11 ANSWER 101 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1991:409234 CAPLUS

DN 115:9234

OREF 115:1809a,1812a

- TI Synthesis of acyclic analogs of azidothymidine, aminothymidine, and related nucleosides
- AU Trinh Minh Chau; Florent, Jean Claude; Grierson, David S.; Monneret, Claude
- CS Sect. Biol., Inst. Curie, Paris, 75231, Fr.
- SO Tetrahedron Letters (1991), 32(11), 1447-8 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

- AB The acyclonucleoside analogs I (R = N3, NH2, NHCN, NHCHO) were prepared from epichlorhydrin and thymine.
- IT 134160-47-7P 134160-48-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and desilylation of)

RN 134160-47-7 CAPLUS

CN Cyanamide, [2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[dimethyl(1,1,2,2-tetramethylpropyl)silyl]oxy]propyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 134160-48-8 CAPLUS

CN Formamide, N-[2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-[[dimethyl(1,1,2,2-tetramethylpropyl)silyl]oxy]propyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 134160-46-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

Absolute stereochemistry.

- L11 ANSWER 102 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1991:62601 CAPLUS
- DN 114:62601
- OREF 114:10755a,10758a
- TI Synthesis of 1-(3-R-amino-4-hydroxybutyl)thymine acyclonucleoside. Analogs as potential anti-AIDS drugs
- AU Genevois-Borella, Arielle; Florent, Jean Claude; Monneret, Claude; Grierson, David S.
- CS Inst. Chim. Subst. Nat., Gif-sur-Yvette, 91198, Fr.
- SO Tetrahedron Letters (1990), 31(34), 4879-82 CODEN: TELEAY; ISSN: 0040-4039
- DT Journal
- LA English
- OS CASREACT 114:62601
- AB The 1-(3-R-amino-4-hydroxybutyl)thymine acyclonucleoside analogs I (R = NH2, NHCONH2, NMe2, NHCN, NHCHO, NC, N3) and the corresponding 3-methylamine derivs. II (R = H, NO) were prepared from the di-Bu ester of R-(-)-aspartic acid.
- RN 131652-66-9 CAPLUS
- CN Formamide, N-[3-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1[[[dimethyl(1,1,2-trimethylpropyl)silyl]oxy]methyl]propyl]-, (R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

- IT 131652-41-0P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation and reaction with triflic azide)
- RN 131652-41-0 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-4-[[dimethyl(1,1,2-trimethylpropyl)silyl]oxy]butyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L11 ANSWER 103 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1991:43451 CAPLUS

DN 114:43451

OREF 114:7581a,7584a

- TI A new class of HIV-1 specific 6-substituted acyclouridine derivatives: synthesis and anti-HIV-1 activity of 5- or 6-substituted analogs of 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT)
- AU Tanaka, Hiromichi; Baba, Masanori; Hayakawa, Hiroyuki; Sakamaki, Takashi; Miyasaka, Tadashi; Ubasawa, Masaru; Takashima, Hideaki; Sekiya, Kouichi; Nitta, Issei; et al.
- CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan
- SO Journal of Medicinal Chemistry (1991), 34(1), 349-57 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- OS CASREACT 114:43451
- AΒ Novel acyclouridine derivs. substituted at both the C(5) and C(6)positions were synthesized for the purpose of improving the activity of a recently reported HIV-1-specific lead, 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine (HEPT). Preparation of C(6) substituted derivs. was carried out based on the following three methods: (1) LDA (lithium diisopropylamide) lithiation of thymine derivative I (R = H) and subsequent reaction with electrophiles, (2) an addition-elimination reaction of HEPT or its 6-(phenylsulfinyl) derivative I (R = SOPh), or (3) palladium-catalyzed cross-coupling between 6-iodo derivative I (R = iodo) and terminal alkynes. Following these methods, 21 C(6) substituted analogs were synthesized. Among these II (R = cyclohexylthio, phenoxy, and benzyl) derivs. showed anti-HIV-1 (HTLV-IIIB) activity with EC50 values of 8.2, 85, and 23 μM , resp. Preparation of C(5) substituted derivs. was based on either LTMP (lithium 2,2,6,6-tetramethylpiperidide) lithiation of 6-(phenylthio) uracil derivative III (R1 = H) or the above mentioned palladium-catalyzed cross-coupling of 5-iodo-6-(phenylthio)uracil derivative III (R1 = iodo). Following these methods, 11 C(5) substituted analogs were synthesized. 5-Substituted derivs. IV [R1 = iodo, CH:CPh2, CH:CHPh-(Z), and CH:CH2] were more active than HEPT, but their selectivity indexes (SI = CC50/EC50) were lower than that of HEPT. II (R = cyclohexylthio) was also evaluated against another HIV-1 strain (HTLV-IIIRF) and HIV-2 strains (LAV-2ROD and LAV-2EHO). Only HTLV-IIIRf was as sensitive as HTLV-IIIB.
- IT 121749-94-8
 - RL: RCT (Reactant); RACT (Reactant or reagent) (lithiation and alkylation of, with benzyl bromide)
- RN 121749-94-8 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

IT 121749-98-2P

dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Me
$$\sim$$
 CH₂-O-CH₂-CH₂-O-Si-Bu-t \sim Me \sim Me

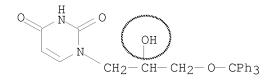
OSC.G 96 THERE ARE 96 CAPLUS RECORDS THAT CITE THIS RECORD (96 CITINGS)

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L11 ANSWER 104 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
ΑN
    1991:23653 CAPLUS
DN
     114:23653
OREF 114:4217a,4220a
     Stereocontrolled conversion of 1-(3-hydroxyprop-1-enyl)uracil isomers into
     polyfunctional 3,9-propano- and 3,9(9,3)-propeno-aza-9H-xanthines
ΑU
     Jokic, Milan; Skaric, Vinko
     Lab. Stereochem. Nat. Prod., "Ruder Boskovic" Inst., Zagreb, 41001,
CS
     Yugoslavia
SO
     Journal of the Chemical Society, Perkin Transactions 1: Organic and
     Bio-Organic Chemistry (1972-1999) (1990), (8), 2225-32
     CODEN: JCPRB4; ISSN: 0300-922X
DT
     Journal
     English
LA
     CASREACT 114:23653
OS
AΒ
     With DBU, 1-(3-azido-, and 1-(3-trityloxy-2-methylsulfonyloxypropyl)-3-
     methyluracil underwent elimination to give the E- and Z-prop-1-enyl
     isomers. Treatment of (E) - and (Z) -1-(3-hydroxyprop-1-enyl)-3-
     methyluracil with Br2-MeOH generated asym. centers at C-1' and C-2',
     providing threo- and erythro-5-bromo-1-(2-bromo-3-hydroxy-1-methoxypropyl)-
     3-methyluracil (I). Conversion of I into erythro- and
     threo-5-bromo-1-(2,3-epoxy-1-methoxypropyl)-3-methyluracil was
     accomplished under mild DBU-elimination conditions. The reaction of the
     diastereoisomeric epoxides with NaN3-DMF produced erythro- and
     threo-1-(3-azido-2-hydroxy-1-methoxypropy1)-3-methyluracil. These isomers
     underwent two types of intramol. cyclization reaction, which gave trans-
     and cis-2-azidomethyl-3-methoxy-6-methyl-2,3-dihydrooxazolo[3,2-
     c]pyrimidines-5,7-dione (II) and cis- and
     trans-11-hydroxy-12-methoxy-1-methyl-3,9-propano-8-aza-9H-xanthine (III).
     The elimination reaction of 12-methoxy-1-methyl-11-methylsulfonyloxy-3,9-
     propano-8-aza-9H-xanthine with DBU gave
     12-methoxy-1-methyl-9,3-propeno-8-aza-9H-xanthine (IV). Its 3,9-propeno
     isomer was obtained from a DBU-elimination of
     11-bromo-10-methoxy-1-methyl-3,9-propano-8-aza-9H-xanthine. IV was
     converted into 11-bromo-10,12-dimethoxy-1-methyl-3,9-propano-8-aza-9H-
     xanthine on treatment with Br-MeOH.
ΙT
     87009-17-4
     RL: RCT (Reactant); RACT (Reactant or reagent)
```

(methylation of)

RN 87009-17-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-hydroxy-3-(triphenylmethoxy)propyl]- (CA INDEX NAME)



ΙT 130967-32-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and elimination reaction of)

RN 130967-32-7 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 3-methyl-1-[2-[(methylsulfonyl)oxy]-3-CN

(triphenylmethoxy)propyl]- (CA INDEX NAME)

IT 130967-31-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and mesylation of)

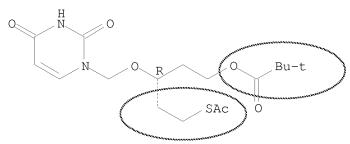
RN 130967-31-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-hydroxy-3-(triphenylmethoxy)propyl]-3-methyl- (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

```
L11 ANSWER 105 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1990:591849 CAPLUS
    113:191849
DN
OREF 113:32497a,32500a
TI Isosteric oligonucleotide analogs containing sulfur
ΙN
    Benner, Steven Albert
PΑ
    Switz.
SO
    PCT Int. Appl., 88 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 2
                  KIND DATE APPLICATION NO.
    PATENT NO.
                                                              DATE
                      ____
                                         _____
    WO 8912060
                       A1
                             19891214 WO 1989-US2323
PΙ
                                                               19890526
        W: AU, JP
        RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
    US 5216141 A 19930601 US 1988-202528
                                                                19880606
                                         AU 1989-37654
    AU 8937654
                        A
                              19900105
                                                                19890526
                       B2 19930318
A1 19910327
    AU 635209
    EP 418309
                                         EP 1989-906936
                                                               19890526
        R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
    JP 03505452 T 19911128 JP 1989-506581
                                                               19890526
                       Α
PRAI US 1988-202528
                              19880606
                            19890526
    WO 1989-US2323
                       Α
    Oligonucleotides containing isosteric S linkages instead of a phosphate, e.g.
AΒ
    I, which are resistant to chemical and in vivo enzymic degradation, lipophilic,
    and thereby easily cross biol. barriers, and thus useful as, e.g. probes
    for cDNA, can be prepared from rigid or flexible isosteric building blocks
    [II, III, and IV; X = O, CH2; R = OH, R1 = SH; or R = SH, R1 = OH; B = CH
    heterocycle ring selected from (aza)pyrimidine, (aza)purine,
    pyrrolopyrimidine, pyrazolopyrimidine, triazolopyrimidine,
    imidazolopyrimidine, pyrrolopyridine, pyrazolopyridine, and
    triazolopyridine, which may be functionalized with NH2, HO, halo,
    acylamino, or acylhydroxy]. Thus, ozonolysis of
    2-(pivaloyloxymethyl)cyclohex-4-enol (V; R3 = pivaloyl) (preparation given) in
    MeOH and treatment of the resulting
    3,4-trans-1-methoxy-3-pivaloyloxymethyl-4-(2'-hydroxyethyl)tetrahydrofuran
    with Dowex W50 in refluxing PhMe gave a 2,8-dioxa[1.2.3]bicyclooctane (VI)
    which was stirred 15 h at room temperature with
bis(trimethylsilyloxy)pyrimidine
    in the presence of CF3SO3SiMe3 in MeCN to give II (X = O, R = OH, R1 =
    pivaloyloxy, B = 1-uracilyl). Reaction of the latter with EtO2CN:NCO2Et,
    Ph3P, and AcSH in THF gave II (X = 0, R = SAc, R1 = pivaloyloxy, B = Rac
    1-uracily1) which could be conveniently stored and deprotected immediately
    prior to condensation, by reduction with LiBEt3H (super-hydride) in THF to
    give a bishomonucleoside II (X = O, R = SH, R1 = OH, B = 1-uracily1). No
    synthetic examples for I or other oligonucleotides but only synthetic
    schemes were given. I bind to complementary A-C-C-T-C-C-T (no data).
ΙT
    128435-65-4P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of, as intermediate for acyclic nucleoside analog)
    128435-65-4 CAPLUS
RN
    Propanoic acid, 2,2-dimethyl-, 5-(acetylthio)-3-[(3,4-dihydro-2,4-dioxo-
    1(2H)-pyrimidinyl)methoxy]pentyl ester, (R)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.



OSC.G 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 106 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1990:77870 CAPLUS
     112:77870
DN
OREF 112:13331a,13334a
     6-substituted acyclic pyrimidine nucleoside derivatives and antiviral
     agents containing same as active ingredients
ΙN
     Miyasaka, Tadashi; Tanaka, Hiromichi; De Clercq, Erik Desire Alice; Baba,
     Masanori; Walker, Richard Thomas; Ubasawa, Masaru
     Mitsubishi Kasei Corp., Japan
PA
     PCT Int. Appl., 90 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     Japanese
T.A
FAN.CNT 1
     PATENT NO.
                         KIND DATE APPLICATION NO.
                                                                     DATE
                         ____
                                              _____
     WO 8909213
                          A1 19891005 WO 1989-JP347
                                                                      19890331
РΤ
         W: AU, CH, HU, JP, KR, US
         RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
     AU 8933575 A
                               19891016 AU 1989-33575
                                                                       19890331
                         B2
A
A6
                              19891129 ZA 1989-2407
19900516 ES 1989-1127
19900606 EP 1989-904204
19941012
     AU 611284
     ZA 8902407
                                                                       19890331
     ES 2013664
                                                                       19890331
                          A1
     EP 371139
     EP 371139
                           В1
         R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
     DD 283613 A5 19901017 DD 1989-327145
                                                                       19890331
DD 283613
CH 676712
A5 19910228
CH 1989-4102
HU 55005
A2 19910429
HU 1986-20
HU 206328
CA 1334535
C 19950221
CA 1989-595345
JP 07062005
B 19950705
JP 1989-503810
US 5112835
A 19920512
US 1989-449930
PRAI JP 1988-76677
A 19880331
WO 1989-JP347
A 19890331
                                                                       19890331
                                                                       19890331
                                                                      19890331
                                                                      19890331
                                                                       19891121
OS
     MARPAT 112:77870
AΒ
     The title compds. [I; R1 = H, halo, alkyl, alkenyl, alkylcarbonyl,
     arylcarbonyl, arylcarbonylalkyl, arylthio, aralkyl; R2 = arylthio,
     cycloalkylthio, arylsulfoxido, (cyclo)alkylsulfoxido, alkenyl, alkynyl,
     aralkyl, arylcarbonyl, arylcarbonylalkyl, aryloxy; R3 = hydroxyalkyl where
     alkyl may be interrupted by O; X = O, S, NH2; Y = O, S; A = NH], which
     have antiviral activity particularly against retroviruses such as human
     immunodeficiency virus (HIV), are prepared Thus, a solution of (Me2CH)2NLi in
     THF was added dropwise at -70^{\circ} to a solution of
     1-[(2-tert-butyldimethylsilyloxyethoxy)methyl]thymine in THF, followed by
     a solution of (Phs)2 in THF at -70^{\circ}. The resulting mixture was allowed
     to react 1 h to give 73% 1-[(2-tert-butyldimethylsilyloxyethoxy)methyl]-6-
     phenylthiothymine which was treated with AcOH in aqueous THF to give 91%
     1-[(2-hydroxyethoxy)methyl)]-6-phenylthiothymine. Eight I at 0.98-34.0
     \mu\text{M} inhibited 50% infection of human T cell clone MT-4 cells with HIV.
ΙT
     125057-15-0
                     125057-16-1
                                     125057-17-2
     125057-18-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (lithiation and phenylthiolation of, by di-Ph disulfide)
RN
     125057-15-0 CAPLUS
CN
     2,4(1H,3H)-Pyrimidinedione, 1-[[3-[[(1,1-
     dimethylethyl)dimethylsilyl]oxy]propoxy]methyl]-5-methyl- (CA INDEX NAME)
```

Me
$$\sim$$
 CH₂-O- (CH₂)₃-O-Si-Bu-t \sim Me \sim Me

RN 125057-16-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-methylethoxy]methyl]-5-methyl- (CA INDEX NAME)

RN 125057-17-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]ethoxy]methyl]-5-methyl-(CA INDEX NAME)

RN 125057-18-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]propoxy]methyl]-5-methyl- (CA INDEX NAME)

IT 121749-98-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for virucide)

RN 121749-98-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Me \sim CH₂-O-CH₂-CH₂-O-Si-Bu-t \sim Me \sim Me

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 107 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1990:50780 CAPLUS

DN 112:50780

OREF 112:8625a,8628a

TI Oligonucleotides containing flexible nucleoside analogs

AU Schneider, K. Christian; Benner, Steven A.

CS Lab. Org. Chem., ETH, Zurich, CH-8092, Switz.

SO Journal of the American Chemical Society (1990), 112(1), 453-5 CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA English

OS CASREACT 112:50780

AB Oligodeoxyribonucleotides incorporating ≥1 oligonucleoside analogs missing carbon 2' (flexible nucleoside analogs) were synthesized, and the melting temps. of duplexes formed with complementary natural oligonucleotides were measured. The melting temperature of duplex DNA was lowered by 9-15° for each floppy nucleoside incorporated into 1 strand. This result suggests that such analogs are, in their simplest form, unsuitable as anti-sense drugs or as probes, and is relevant to the interesting suggestion that oligonucleotides composed of flexible building blocks were the 1st self-replicating life forms.

IT 124318-79-2P 124340-11-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deprotection of)

RN 124318-79-2 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 1-[[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]methyl]-2-(phenylmethoxy)ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 124340-11-0 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-(phenylmethoxy)propyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 124318-82-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with cyanoethyldiisopropylphosphoramidochloridite)

RN 124318-82-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(1S)-2-[bis(4-methoxyphenyl)phenylmethoxy]-1-(hydroxymethyl)ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 124318-80-5P 124318-81-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with dimethoxytrityl chloride)

RN 124318-80-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]-3-hydroxypropyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 124318-81-6 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-

pyrimidinyl)methoxy]-1-(hydroxymethyl)ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 124318-83-8P

RN 124318-83-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-hydroxypropoxy]methyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 67 THERE ARE 67 CAPLUS RECORDS THAT CITE THIS RECORD (71 CITINGS)

L11 ANSWER 108 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1989:595313 CAPLUS

DN 111:195313

OREF 111:32479a,32482a

TI A novel lead for specific anti-HIV-1 agents: 1-[(2-hydroxyethoxy)methyl]-6-(phenylthio)thymine

AU Miyasaka, Tadashi; Tanaka, Hiromichi; Baba, Masanori; Hayakawa, Hiroyuki; Walker, Richard T.; Balzarini, Jan; De Clercq, Erik

CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan

SO Journal of Medicinal Chemistry (1989), 32(12), 2507-9 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 111:195313

AB Uracil acyclonucleosides I (R = H; R1 = H, F, C1, Br, Me; R2 = SPh, iodo) were synthesized via lithiation of I (R = SiMe2CMe3; R1 = H, F, C1; R2 = H) and reaction of the C-6 lithiated species with I2 or PhSSPh. Among the compds. synthesized, I (R = H, R1 = Me, R2 = SPh) was a highly specific anti-HIV-1 agent with a selectivity index comparable to that of 2',3'-dideoxyadenosine. The compound showed no activity against HIV-2 and its triphosphate did not inhibit HIV-1 reverse transcriptase. This suggests that this compound manifests its activity through a mechanism different from that so far known for other nucleoside analogs.

IT 121749-94-8P 121749-98-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and sequential lithiation and reaction of, with iodine or di-Ph disulfide)

RN 121749-94-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

RN 121749-98-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

Me
$$CH_2-O-CH_2-CH_2-O-Si-Bu-t$$
 Me Me Me Me Me Me Me Me

OSC.G 191 THERE ARE 191 CAPLUS RECORDS THAT CITE THIS RECORD (196 CITINGS)

L11 ANSWER 109 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1989:458280 CAPLUS

DN 111:58280

OREF 111:9907a,9910a

TI Preparation and testing of 6-iodoacyclouridine derivatives as antitumor agents.

IN Miyasaka, Sada; Tanaka, Hiromichi; Hayakawa, Hiroyuki

PA Yamasa Shoyu Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	1111, 01,1							
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
ΡI	JP 01006260	A	19890110	JP 1987-160765	19870627			
PRAI	JP 1987-160765		19870627					

OS MARPAT 111:58280

AB The title compds. (I; R = iodo; R1 = H, halo, lower alkyl; R2 = H) (II) were prepared as antitumor agents. Silylation of acyclouridine with C1SiMe2CMe3 in DMF containing imidazole and treatment of the resulting I (R = R1 = H, R2 = SiMe2CMe3) with (iso-Pr)2NLi in THF followed by iodine at -70° gave I (R = iodo, R1 = H, R2 = SiMe2CMe3). Deprotection of the latter with aqueous AcOH in THF gave I (R = iodo, R1 = R2 = H). I (R = iodo, R1 = Me, R2 = H) (III) inhibited the proliferation of mouse leukemia cells L5178Y with an IC50 of 3.2 $\mu g/mL$. Tablets (100 mg) were formulated from III 10, cornstarch 65, carboxycellulose 20, polyvinylpyrrolidone 38, and Ca stearate 2mg.

IT 121749-94-8P 121749-98-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and lithiation-iodination of, in preparation of antitumor agent)

RN 121749-94-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{H} & \mathbf{O} & \mathbf{Me} \\ \mathbf{N} & \mathbf{Me} & \mathbf{He} \\ \mathbf{CH}_2 - \mathbf{O} - \mathbf{CH}_2 - \mathbf{CH}_2 - \mathbf{O} - \mathbf{Si} - \mathbf{Bu} - \mathbf{E} \\ \mathbf{Me} & \mathbf{Me} \\ \mathbf{Me} & \mathbf{Me} \end{array}$$

RN 121749-98-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]methyl]-5-methyl- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L11 ANSWER 110 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1989:173666 CAPLUS

DN 110:173666

OREF 110:28829a,28832a

TI Preparation of glyceronucleoside phosphoramidite synthons and their use in the solid-phase synthesis of acyclic oligonucleotides

AU Usman, Nassim; Juby, Carl D.; Ogilvie, Kelvin K.

CS Dep. Chem., McGill Univ., Montreal, QC, H3A 2K6, Can.

SO Tetrahedron Letters (1988), 29(38), 4831-4 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 110:173666

AB Title synthons DMTOCH2CH(OCH2B)CH2OP(OMe)N(CHMe2)2 [DMT = dimethoxytrityl, B = N6-benzoyladeninyl, thyminyl (Thy)] were prepared by esterification using (Me2CH)2NP(OMe)Cl. Oligoacyclonucleotides, 2-8 units long, were synthesized using these synthons on either controlled pore glass or silica gel supports with average coupling yields up to 98%. The preparation of DMTOCH2CH(OCH2Thy)CH2O2CCH2CH2CO2C6Cl5 for the derivatization of long chain alkylamine controlled pore glass is also described.

IT 120188-28-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with (diisopropylamino)phosphoramidic chloride Me
ester, synthesis of acyclic oligonucleotides and)

RN 120188-28-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-(hydroxymethyl)ethoxy]methyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} & \text{CH}_2-\text{OH} & \text{O} \\ \text{C}-\text{O}-\text{CH}_2-\text{CH}-\text{O}-\text{CH}_2 & \text{N} \end{array}$$

IT 120188-25-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and esterification with pentachlorophenol)

RN 120188-25-2 CAPLUS

CN Butanedioic acid, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl] ester (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-O-C-CH_2-CH_2-CO_2H \\ \hline Ph \\ -C-O-CH_2-CH-O-CH_2-N \\ \hline Me \\ OMe \\ \end{array}$$

IT 120188-26-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for derivatization of long-chain alkylamine controlled pore glass)

RN 120188-26-3 CAPLUS

CN Butanedioic acid, 1-[3-[bis(4-methoxyphenyl)phenylmethoxy]-2-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methoxy]propyl] 4-(2,3,4,5,6-pentachlorophenyl) ester (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{Ph-C} \\ \text{O} \\ \text{OMe} \\ \text{OMe} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{CH}_2 \\ \text{CO} \\ \text{CH}_2 \\ \text{CO} \\ \text{CI} \\ \text{CI} \\ \text{CI} \\ \end{array}$$

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L11 ANSWER 111 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1989:115272 CAPLUS

DN 110:115272

OREF 110:19033a,19036a

TI Preparation of acyclic nucleosides cyclic phosphoramidates having antiviral and anticancer activities

IN Takaku, Hiroshi; Yoshida, Shiro; Aoki, Tomomi; Akiba, Katsushi

PA Yodogawa Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 63165373	A	19880708	JP 1986-203962	19860829		
PRAI	JP 1986-203962		19860829				

OS MARPAT 110:115272

AB The title compds. [I; R = Q, Q1, tert-BuSiMe2; R1-R3 = H, lower alkoxy; R4 = H, alkyl; R5 = H, alkyl, aryl, aralkyl, R; B = purine, pyrimidine, or azole ring] (II), having anticancer and antiviral activities, were prepared Reaction of I (R = R5 = H, B = uracil residue) with dimethoxytrityl chloride in pyridine, condensation of the resulting I (R = 4,4'-dimethoxytrityl, R5 = H) with 2-chloro-3-methyl-1-oxa-3-aza-2-phosphacyclopentane in THF containing (iso-Pr)2NEt at -50°, and oxidation of the product HCl salt with m-ClC6H4CO2OH gave I (R = 4,4'-dimethoxytrityl, R5 = Q1, R4 = Me) which was deprotected with ZnBr2 in MeNO2 to give I (R = H, R5 = R1; R4 = Me).

IT 119254-90-9P 119254-91-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with

chloromethyloxaazaphosphacyclopentane)

RN 119254-90-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(hydroxymethyl)ethoxy]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 119254-91-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-(hydroxymethyl)ethoxy]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 112 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1988:611401 CAPLUS

DN 109:211401

OREF 109:34991a,34994a

TI Preparation of acyclic nucleosides having antitumor activities

IN Takaku, Hiroshi; Yoshida, Shiro; Aoki, Tomomi; Akiba, Katsushi

PA Yodogawa Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 63060929	A	19880317	JP 1986-203961	19860829
PRAI	JP 1986-203961		19860829		

OS MARPAT 109:211401

AB The title compds. [I; R,R1 = H, alkyl, aryl, aralkyl, Q, Me3CMe2Si, Q1; R1-R3 = H, lower alkoxy; R4 = H, alkyl; B = purine, pyrimidine, etc. ring residue] were prepared as antitumor agents. After uracil (1.12g) was azeotropically dried by evaporation with anhydrous pyridine 3 times in vacuo,

g (NH4)2SO4 and 35 mL (Me3Si)2NH were added and the mixture was refluxed for 40 min, cooled and concentrated in vacuo. To the residue, 25 mL CH2Cl2 and 004 g Bu4NI were added and the solution was refluxed for 10 min and cooled to room temperature 1,3-Dibenzyloxy-2-(chloromethoxy)propane was added and the mixture was refluxed for 2 h to give 80%

1-[(1,3-dibenzyloxy-2-propoxy)methyl]uracil. This compound at 10 $\mu g/mL$ in vitro inhibited by 36% the proliferation of P388 mouse leukemia.

IT 114477-45-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antitumor agent)

RN 114477-45-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-(hydroxymethyl)ethoxy]methyl]- (CA INDEX NAME)

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L11 ANSWER 113 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1988:493532 CAPLUS

DN 109:93532

OREF 109:15629a, 15632a

TI Preparation of glycerides and antitumor agents containing them

IN Tsushima, Susumu; Kozai, Yoshio

PA Takeda Chemical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 82 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 3

	PATENT NO.	KIND	DATE	APE	PLICATION NO.	DATE			
ΡI	JP 62174011	A	19870730	JP	1986-231427	19860929			
	JP 07080766	В	19950830						
PRAI	JP 1985-219874	A1	19851001						
AB	R1OCH2CHR2CH2XC(O)Y	-R3-ZR4	[I; R1 = al]	kyl,	alkylcarbamoyl; R2	2 = H,			
	(un) substituted OH, cyclic or (un) substituted NH2; R3 = bond,								
	(un) substituted alkylene; R4 = H, alkyl, aralkyl; X, Y = O, S,								
	(un) substituted NH; $Y = X = NH$ or Y and R4 form a ring; $Z =$								
	(un) substituted NH or N-containing heterocyclyl], useful as antitumor agents,								
	were prepared 2-(Aminomethyl)pyridine and crude								
	2-0-methyl-3-0-phenoxycarbonyl-1-0-(octadecylcarbamoyl)glycerin [prepared								
	from 2-0-methyl-1-0-(octadecylcarbamoyl)glycerin and PhO2CCl in CH2Cl2								
	containing pyridine] in CHCl3 was refluxed 12 h to give 84.7%								
	2-0-methyl-3-0-[N-(2-pyridylmethyl)carbamoyl-1-0-								
	(octadecylcarbamoyl)glycerin which was N-acetylated with Ac20 and Et3N in								
	CHCl3 under reflux and then quaternized with EtI under reflux to give								
	3-[N-acetyl-N-(N'-ethylpyridin-2-yl)methyl]carbamoyl-2-methyl-1-								
	-		-	_	. Injections conta				
	(octadecylcarbamoyl)glycer	in chloride	(II)	Injections conta	aining I were			

 μ g/mL. IT 100489-04-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

prepared II inhibited the proliferation of KB cells with an ED50 of 0.16

(preparation and alkylation of, by (chloromethyl)pyridine)

RN 100489-04-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-methoxy-3-(triphenylmethoxy)propyl]- (CA INDEX NAME)

IT 100489-05-2P 100489-09-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and detritylation of)

RN 100489-05-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-methoxy-3-(triphenylmethoxy)propyl]-3-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 100489-09-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-methoxy-3-(triphenylmethoxy)propyl]-3-[2-(phenylmethoxy)ethyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 114 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1988:204992 CAPLUS

DN 108:204992

OREF 108:33701a,33704a

TI Synthesis and biological evaluation of some acyclic nucleoside cyclic phosphoramidate derivatives

AU Takaku, Hiroshi; Ito, Tsunehiko; Yoshida, Shiro; Aoki, Tomoni; De Clercq, Erik

CS Lab. Bioorg. Chem., Chiba Inst. Technol., Chiba, 275, Japan

SO Nucleosides & Nucleotides (1987), 6(4), 793-802 CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

LA English

OS CASREACT 108:204992

AB The acyclic nucleosides I [R = H, R, R1 = dimethoxytrityl; R = H, R1 = Si(CMe3)Me2] were treated with 2-chloro-3-methyl-1-oxa-3-aza-2-phosphacyclopentane (II) in the presence of diisopropylethylamine to give the corresponding phosphoramidite derivs., which were oxidized with m-chloroperbenzoic acid to the phosphoramidate derivs. III (R, R1 same). Treatment of III (R = H, R, R1 = dimethoxytrityl) with ZnBr2 in CH3NO2 gave III (R1 = H). Attempts at desilylation of III by Bu4NF resulted in opening of the phosphoramidate ring. The newly synthesized compds. were evaluated for antiviral and antitumor cell activity.

IT 114477-47-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and phosphorylation of, with chloromethyloxaazaphosphocyclopentene)

RN 114477-47-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(hydroxymethyl)ethoxy]methyl]- (CA INDEX NAME)

O
$$\overset{\text{H}}{\underset{\text{N}}{\text{N}}}$$
 O $\overset{\text{CH}_2-\text{OH}}{\underset{\text{CH}_2-\text{O-CH-CH}_2-\text{O-Si-Bu-t}}{\text{Bu-t}}}$

IT 114477-45-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and phosphorylation of, with chloromethyloxazaphosphacyclopentene)

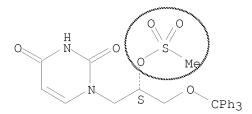
RN 114477-45-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[bis(4-methoxyphenyl)phenylmethoxy]-1-(hydroxymethyl)ethoxy]methyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

- L11 ANSWER 115 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1987:138142 CAPLUS
- DN 106:138142
- OREF 106:22529a,22532a
- TI Anti-cyclization reactions of enantiomeric 1-(2,3-dihydroxypropyl)uracil derivatives
- AU Skaric, V.; Kasnar, B.
- CS Lab. Stereochem. Nat. Prod., "Rudjer Boskovic" Inst., Zagreb, 41001, Yugoslavia
- SO Croatica Chemica Acta (1986), Volume Date 1985, 58(4), 583-92 CODEN: CCACAA; ISSN: 0011-1643
- DT Journal
- LA English
- AB 2-Hydroxymethyltetrahydrooxazolo[3,2-c]pyrimidine-5,7-(4H,6H)-diones (R,S)-I, (R)-I, and (S)-I (R = H) were prepared The CH2N2 methylation of I (R = H) gave I (R = Me). For the synthesis of (R)- and (S)-I (R = H) (R)- and (S)-5-bromo-1-(2,3-dihydroxypropyl)uracil were treated with KCN in DMF. (R,S)-6-Cyano-1-(2,3-dihydroxypropyl)uracil underwent anti-cyclization yielding (R,S)-I (R = H) if heated in DMSO at 40° .
- IT 107262-85-1
 - RL: RCT (Reactant); RACT (Reactant or reagent) (elimination of methanesulfonic acid from)
- RN 107262-85-1 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(methylsulfonyl)oxy]-3-(triphenylmethoxy)propyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



19850329

19840403

19841011

19850215

19850329

L11 ANSWER 116 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN AN 1986:88143 CAPLUS 104:88143 DN OREF 104:13975a, 13978a Glycerol derivatives and their pharmaceutical use ΙN Nomura, Hiroaki; Nishikawa, Kohei; Tsushima, Susumu PATakeda Chemical Industries, Ltd., Japan SO Eur. Pat. Appl., 219 pp. CODEN: EPXXDW DT Patent LA English FAN.CNT 3 APPLICATION NO. PATENT NO. KIND DATE DATE ____ _____ _____ EP 157609 A2 19851009 EP 1985-302202 РΤ EP 157609 А3 19870128 EP 157609 В1 19921014 R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE WO 8504398 A1 19851010 WO 1984-JP163 W: MC WO 8602349 A1 19860424 WO 1984-JP476 W: MC WO 8604894 A1 19860828 WO 1985-JP62 W: MC AT 81501 Τ 19921015 AT 1985-302202 PRAI WO 1984-JP163 Α 19840403 WO 1984-JP476 A 19841011 19850215 WO 1985-JP62 A

R10CH2CHR2CH2ZCOZ1Z2Z3R3 [I; R1 = alkyl, alkylcarbamoyl; R2 = H, AΒ (un)modified OH, amino, cyclic amino; R3 = H, alkyl, aralkyl; Z, Z1 = 0, S, (un) substituted imino; Z2 = bond, (un) substituted alkylene; Z3 = imino, N heterocycle; when Z1 = imino, it may form a ring with Z or R3] (>170 compds) were prepared Thus, Me(CH2)17OCH2CH(CH2R4)OCH2Ph (II, R4 = OH) was esterified with PhO2CCl to give II (R4 = PhO2CO) which was treated with Me2NCH2CH2NH2 to give II (R4 = Me2NCH2CH2NHCO2). The latter was successively debenzylated by hydrogenation over Pd/C, acetylated, and quaternized with MeI to give Me(CH2)17OCH2CH(OAc)CH2O2CNACH2CH2N+Me3I-(III). At 3 + 10-6M III totally inhibited blood platelet aggregation. I are also effective antihypotensives in mice at 0.1-1.0mg/kg i.v.

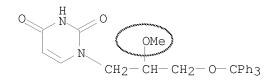
19850329

Α

ΙT 100489-04-1P 100489-05-2P 100489-09-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antihypotensive and platelet aggregation inhibitor) 100489-04-1 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-[2-methoxy-3-(triphenylmethoxy)propyl]- (CA CN INDEX NAME)



RN

EP 1985-302202

RN 100489-05-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-methoxy-3-(triphenylmethoxy)propyl]-3-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 100489-09-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-methoxy-3-(triphenylmethoxy)propyl]-3-[2-(phenylmethoxy)ethyl]- (CA INDEX NAME)

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L11 ANSWER 117 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

1983:505623 CAPLUS ΑN

99:105623 DN

OREF 99:16273a,16276a

- Homologation and intramolecular cyclization reactions in aliphatic deoxyuridine analogs series
- ΑU Skaric, Vinko; Jokic, Milan
- Lab. Stereochem. Nat. Prod., "Rudjer Boskovic" Inst., Zagreb, 41001, CS Yugoslavia
- Croatica Chemica Acta (1983), 56(1), 125-39 SO CODEN: CCACAA; ISSN: 0011-1643
- DT Journal
- LA English
- The cyanation of 1-(2,3-epoxypropy1) uracil, followed by the ethanolysis of AΒ the resulting 3'-cyano compound to 3'-ethoxycarbonyl derivative, led to the synthesis of 1-(2,4-dihydroxybutyl) uracil (I). The oxidation of 1-allyluracil by KMnO4 gave 1-(2,3-dihydroxypropyl)uracil (II). The intramol. transformations of suitably activated II were studied and the structures of the resulting oxazolo pyrimidinone (III), 1-(2,3-dihydroxypropyl)-2-O-methyluracil and their mesyl, azido, and trityl derivs. are described. In addition 2-azidomethyl-2,3-dihydro-7H-oxazolo[3,2-a]pyrimidin-7-one was converted
- into 2-aminomethyl derivative 87009-17-4P ΙT
- - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and mesylation of)

- 87009-17-4 CAPLUS RN
- 2,4(1H,3H)-Pyrimidinedione, 1-[2-hydroxy-3-(triphenylmethoxy)propyl]- (CA CN INDEX NAME)

- ΙT 87009-18-5P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactions of)

- 87009-18-5 CAPLUS RN
- CN 2,4(1H,3H) -Pyrimidinedione, 1-[2-[(methylsulfonyl)oxy]-3-(triphenylmethoxy)propyl]- (CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L11 ANSWER 118 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1980:495534 CAPLUS

DN 93:95534

OREF 93:15333a,15336a

TI Ring open analogs of deoxynucleotides

AU Ogilvie, Kelvin K.; Gillen, Michael F.

CS Dep. Chem., McGill Univ., Montreal, QC, H3A 2K6, Can.

SO Tetrahedron Letters (1980), 21(4), 327-30 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

AB 9-[Bis(hydroxymethyl)methoxymethyl]adenine (I) was prepared (27%) from 6-chloropurine by sequential condensation reaction with (PhCH2OCH2)2CHOCH2Cl (II), amination, and debenzylation. Similarly, condensation reaction of thymine with II, followed by debenzylation, gave 57% 1-[bis(hydroxymethyl)methoxymethyl]thymine (III). Dinucleoside monophosphates were prepared from acyclic deoxynucleoside analogs I and III, and tested with spleen and snake venom phosphodiesterases.

IT 74554-19-1P 74564-18-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and condensation reaction of, with protected nucleoside and trichloroethyl phosphorodichloridite)

RN 74554-19-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(hydroxymethyl)ethoxy]methyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 74564-18-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[2-hydroxy-1-[[(4-methoxyphenyl)diphenylmethoxy]methyl]ethoxy]methyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L11 ANSWER 119 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN 1980:147107 CAPLUS ΑN 92:147107 DN OREF 92:23925a,23928a Aliphatic thymidine and deoxyuridine analogs ΑU Skaric, V.; Erben, D.; Raza, Z.; Skaric, D. Lab. Stereochem. Nat. Prod., "Ruder Boskovic" Inst., Zagreb, 41001, CS Yugoslavia SO Croatica Chemica Acta (1979), 52(3), 281-92 CODEN: CCACAA; ISSN: 0011-1643 DTJournal T.A English AΒ The oxidation of 1-allyluracil and 1-allylthymine by the AgOAc-iodine method gave the corresponding 1-(2,3-dihydroxypropy1) derivs. The selective tritylation of the glycol I (R = R1 = H) into I (R = H, R1 = CPh3) made feasible the synthesis of 1-(2,3-dihydroxypropyl)thymine-2'-phosphate as Ba salt [I, R = P(0)(0)2Ba, R1 = H] and thymidylyl $(5'\rightarrow2')-1-(2,3-dihydroxypropyl)$ thymine as NH4 salt. ΤT 73183-92-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and acetylation of) RN 73183-92-3 CAPLUS 2,4(1H,3H)-Pyrimidinedione, 1-[2-hydroxy-3-(triphenylmethoxy)propyl]-5-CN methyl- (CA INDEX NAME) ОН CH2-CH-CH2-O-CPh3 Me 73183-93-4P ΙT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and detritylation of) RN 73183-93-4 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(acetyloxy)-3-(triphenylmethoxy)propyl]-5methyl- (CA INDEX NAME) OAc CH2-CH-CH2-O-CPh3 Me ΙT 73184-03-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) RN 73184-03-9 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-bis(triphenylmethoxy)propyl]-5-methyl-

(CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L11 ANSWER 120 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1979:611732 CAPLUS

DN 91:211732

OREF 91:34133a

TI The homologation of 1-(2,3-dihydroxypropy1) thymine into 1-(2,4-dihydroxybuty1) thymine

AU Skaric, V.; Raza, Z.

CS Lab. Stereochem. Nat. Prod., "Ruder Boskovic" Inst., Zagreb, 41001, Yugoslavia

SO Croatica Chemica Acta (1979), 52(1), 51-9 CODEN: CCACAA; ISSN: 0011-1643

DT Journal

LA English

AB The title homologation involved the conversion of 1-(3-O-tosyl-2,3-dihydroxypropyl)thymine into the corresponding 3'-iodo compound, which on treatment with NaCN in Me2SO gave 1-(2-hydroxy-3-cyanopropyl)thymine (II). Ethanolysis of I followed by LiAlH4 reduction of the product gave the title nucleoside analog II (R = H). II (R = H) was tritylated to II (R = Ph3C), which was treated with pyridinium 3'-O-acetylthymidine-5'-phosphate in the presence of dicyclohexylcarbodiimide to give 3'-O-acetylthymidylyl(5'→2')-1-(4-O-trityl-2,4-dihydroxybutyl)thymine as an N,N-dicyclohexylpseudourea adduct.

IT 71709-65-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and acetylation of)

RN 71709-65-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-hydroxy-4-(triphenylmethoxy)butyl]-5-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{D} & \mathsf{H} & \mathsf{O} \\ \mathsf{D} & \mathsf{OH} \\ \mathsf{Me} & \mathsf{CH}_2 - \mathsf{CH} - \mathsf{CH}_2 - \mathsf{CH}_2 - \mathsf{O} - \mathsf{CPh}_3 \\ \end{array}$$

IT 71709-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and butylation of)

RN 71709-66-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(acetyloxy)-4-(triphenylmethoxy)butyl]-5-methyl- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L11 ANSWER 121 OF 121 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1971:541165 CAPLUS

DN 75:141165

OREF 75:22281a,22284a

TI Unconventional nucleotide analogs. VI. Synthesis of purinyl- and pyrimidinylpeptides

AU Pandit, U. K.; De Koning, H.

CS Org. Chem. Lab., Univ. Amsterdam, Amsterdam, Neth.

SO Recueil des Travaux Chimiques des Pays-Bas (1971), 90(9-10), 1069-80 CODEN: RTCPA3; ISSN: 0165-0513

DT Journal

LA English

AB Homogeneous and heterogeneous peptides were synthesized from pyrimidinyl and purinyl amino acids HO2CCH(NH2)(CH2)4Q (I) (Q = N1-uracilyl, N1-thyminyl, or N9-adeninyl). Investigation of the oligopeptide derived from I (Q = N1-uracilyl) (Ia) (poly-Ia) showed no secondary structure as a result of base-base interaction; however, the polymer was found to complex weakly with poly(adenylic acid). Poly-Ia also exhibited a stimulation of phenylalanine incorporation in the in vitro synthesis of poly(phenylalanine).

IT 33895-07-7P 33895-08-8P

RN 33895-07-7 CAPLUS

CN 1(2H)-Pyrimidinehexanoic acid, α -[2-(carboxyamino)-6-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)hexanamido]-3,4-dihydro-5-methyl-2,4-dioxo-, N-benzyl methyl ester (8CI) (CA INDEX NAME)

RN 33895-08-8 CAPLUS

CN 1(2H)-Pyrimidinehexanoic acid, α -[2-(carboxyamino)-6-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)hexanamido]-3,4-dihydro-5-methyl-2,4-dioxo-, N-benzyl methyl ester (8CI) (CA INDEX NAME)

=> log y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 684.94 879.20

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

-99.22 -99.22

STN INTERNATIONAL LOGOFF AT 10:42:25 ON 17 AUG 2009